Access DB# 66837

SEARCH REQUEST FORM

469

Scientific and Technical Inf rmation Center

Requester's Full Name: PATEL SUDHAKER Examiner #: 77018 Date: 5/16/02
Art Unit: 1624 Phone Number 30 8 4709 Serial Number: 10/046526
Mail Box and Bldg/Room Location: CM1 4E17 Results Format Preferred (circle): (PAPER) DISK E-MAIL
4E12

If more than one search is submitted, please prioritize searches in order of need.

****

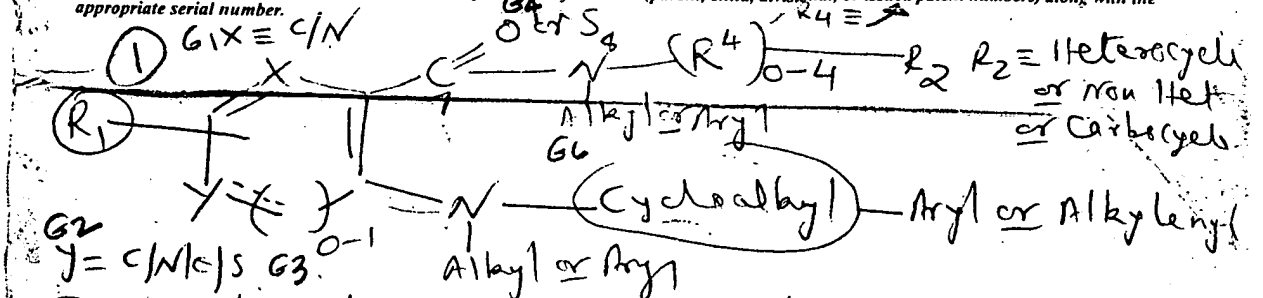
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: SUBSTITUTED ARYLAMINE DERIVATIVES & METHOD OF USE

Inventors (please provide full names): GUOQING CHEN et al

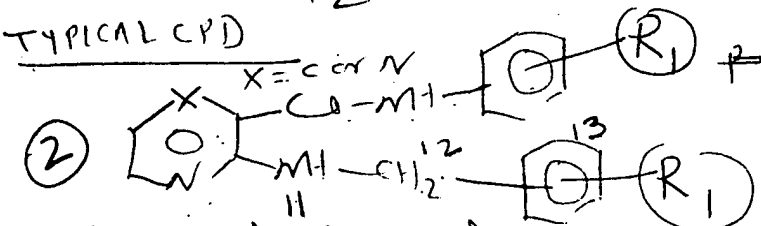
Earliest Priority Filing Date: 1/12/2001

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



R1 = OH / NH2 / Halogen / SH / CO2H / SO2NH2 / NHCOCH3 or CONH2

TYPICAL CPD



Need INFO @ cpds, compositions & USE MY for ANGIOGENESIS MEDIATED DISEASES.
Copy/claim ordered. THX

1624

Point of Contact:
Beverly Shears
Technical Info. Specialist
CM1 1E05 Tel: 308-4994

****

STAFF USE ONLY

Searcher: Beverly 4994
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: 05-31-02
Searcher Prep & Review Time: _____
Clerical Prep Time: _____
Online Time: _____

Type of Search

DNA Sequence (#) _____
AA Sequence (#) _____
Structure (#) _____
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

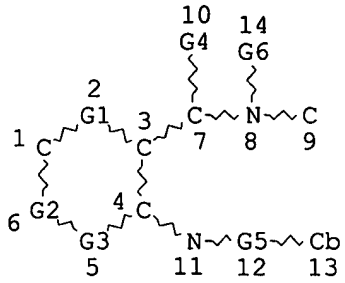
Vendors and cost where applicable

STN ✓
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

PTO-1590 (8-01)

(FILE 'REGISTRY' ENTERED AT 14:37:32 ON 31 MAY 2002)

L4 STR



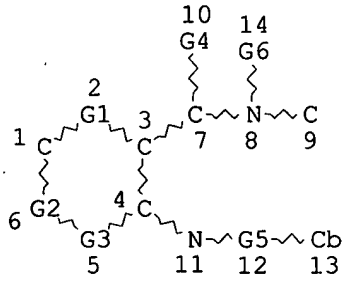
str. 1

VAR G1=C/N
VAR G2=C/N/O/S
REP G3=(0-1) C
VAR G4=O/S
REP G5=(0-1) CH2
VAR G6=H/ET/ME/I-PR/N-PR/I-BU/N-BU/S-BU/T-BU/CY
NODE ATTRIBUTES:
NSPEC IS RC AT 9
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L5 STR

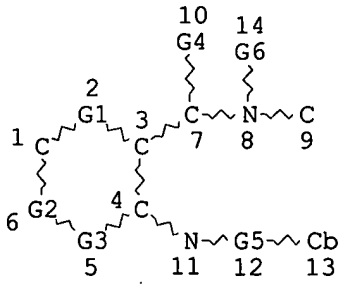


Str. 2

VAR G1=C/N
VAR G2=C/N/O/S
REP G3=(0-1) N
VAR G4=O/S
REP G5=(0-1) CH2
VAR G6=H/ET/ME/I-PR/N-PR/I-BU/N-BU/S-BU/T-BU/CY
NODE ATTRIBUTES:
NSPEC IS RC AT 9
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 14

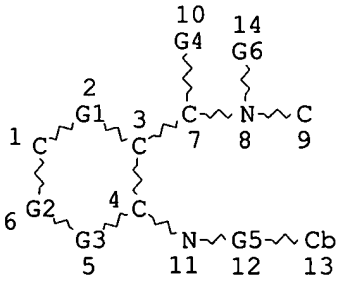
STEREO ATTRIBUTES: NONE
L7 2544 SEA FILE=REGISTRY SSS FUL L4 OR L5 ← Temp saved 7 days
L8 STR



VAR G1=C/N
VAR G2=C/N/O/S
REP G3=(0-1) C
VAR G4=O/S
REP G5=(0-1) CH2
VAR G6=H/ET/ME/I-PR/N-PR/I-BU/N-BU/S-BU/T-BU/CY
NODE ATTRIBUTES:
NSPEC IS RC AT 9
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L9 1687 SEA FILE=REGISTRY SUB=L7 SSS FUL L8
L10 STR



VAR G1=C/N
VAR G2=C/N/O/S
REP G3=(0-1) N
VAR G4=O/S
REP G5=(0-1) CH2
VAR G6=H/ET/ME/I-PR/N-PR/I-BU/N-BU/S-BU/T-BU/CY
NODE ATTRIBUTES:
NSPEC IS RC AT 9
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L11 616 SEA FILE=REGISTRY SUB=L7 SSS FUL L10
L12 2132 SEA FILE=REGISTRY ABB=ON PLU=ON L9 OR L11

35616002 1/NC
L13 2009 L12 AND 1/NC Limit to one (1) compd.

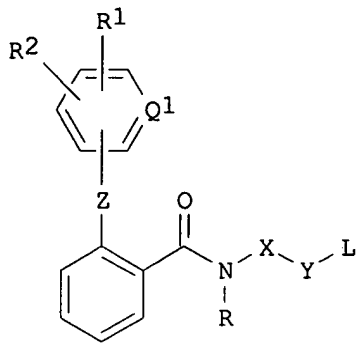
(FILE 'CAPLUS' ENTERED AT 14:41:28 ON 31 MAY 2002)
L14 392 SEA ABB=ON PLU=ON L13 OR L13/D
L15 170 SEA ABB=ON PLU=ON L14 AND (PROPHYLACT? OR PROPHYLAX? OR TREAT? OR THERAP?)
L16 43 SEA ABB=ON PLU=ON L15 AND (DISEAS? OR DISORDER OR MALAD?)

L16 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:275966 CAPLUS
DOCUMENT NUMBER: 136:294739
TITLE: Preparation of pyridinyl-substituted benzamides as Apo B secretion inhibitors
INVENTOR(S): Takasugi, Hisashi; Terasawa, Takeshi; Inoue, Yoshikazu; Nakamura, Hideko; Nagayoshi, Akira; Ohtake, Hiroaki; Furukawa, Yoshiro; Mikami, Masafumi; Hinoue, Kazumasa; Ohtsubo, Makoto
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Daiso Co., Ltd.
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

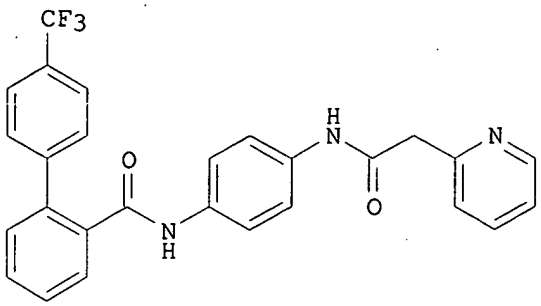
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028835	A1	20020411	WO 2001-JP8581	20010928

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2000-583 A 20001005
AU 2001-6666 A 20010727
OTHER SOURCE(S): MARPAT 136:294739
GI



I



II

AB Title compds. I [wherein R1 and R2 = independently alkyl, alkenyl, acyl, amino, (cyclo)alkoxy, aryl(oxy), sulfoxy, mercapto, sulfo, H, halo, NO2, CN, or OH; or R1R2 = a ring; Q1 = N or CH; L = (un)substituted unsatd. 3 to 10-membered heterocyclic group; X = (un)substituted monocyclic (hetero)arylene; Y = (A1)m(A2)n(A4)k; Z = direct bond, CH2, NH, or O; R = H or alkyl; A1 = (un)substituted alkylene or alkenylene; A2 = NR3, CONR3, NHCONH, CO2, O, O(CH2)2NR3, S, SO, or SO2; A4 = alkylene, alkenylene, or alkynylene; R3 = H or suitable substituent; k, m, and m = independently 0 or 1; or a salt thereof] were prepd. as apolipoprotein B (Apo B) secretion inhibitors. For example, to a suspension of N-(4-aminophenyl)-4'-(trifluoromethyl)-1,1'-biphenyl-2-carboxamide, 2-pyridinylacetic acid.bul.HCl, and HOBT.bul.H2O in CH2Cl2 was added to WSC.bul.HCl, followed by TEA at 5.degree.C. The mixt. was stirred at room temp. for 24 h and worked up to give II. The latter inhibited Apo B secretion by 100% at 10-6 M in HepG2 cells and lowered cholesterol by 83% and triglyceride by 35% after 2 h at a dose of 32 mg/kg in ddY-mice. I are useful for the **prophylaxis** and **treatment** of **diseases** or conditions resulting from elevated circulating levels of Apo B, such as hyperlipemia, hyperlipidemia, hyperlipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, pancreatitis, non-insulin dependent diabetes mellitus, obesity, coronary heart **diseases**, myocardial infarction, stroke, restenosis, and Syndrome X.

IT **408365-70-8P**, tert-Butyl 2-(2-pyridinyl)ethyl[4-[[2-[3-(trifluoromethyl)anilino]benzoyl]amino]phenyl]carbamate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(Apo B inhibitor; prepn. of pyridinyl-substituted benzamides as Apo B secretion inhibitors for **treatment** of obesity, NIDDM, and related conditions)

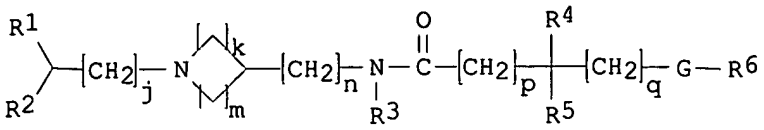
IT **408364-90-9P**, N-[4-[[2-(2-Pyridinyl)ethyl]amino]phenyl]-2-[3-(trifluoromethyl)anilino]benzamide **408365-53-7P**, N-[4-[[2-[3-(Trifluoromethyl)anilino]benzoyl]amino]benzyl]-2-pyridinecarboxamide **408365-66-2P**, N-[4-[Methyl[2-(2-pyridinyl)ethyl]amino]phenyl]-2-[3-(trifluoromethyl)anilino]benzamide **408369-40-4P**, N-[3-Fluoro-4-[[2-(2-pyridinyl)ethyl]amino]phenyl]-2-[3-(trifluoromethyl)anilino]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Apo B inhibitor; prepn. of pyridinyl-substituted benzamides as Apo B secretion inhibitors for **treatment** of obesity, NIDDM, and related conditions)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

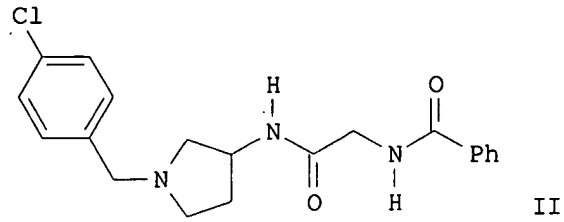
L16 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:237356 CAPLUS
DOCUMENT NUMBER: 136:263090
TITLE: Preparation of cyclic amine derivatives for inhibition of the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells
INVENTOR(S): Shiota, Tatsuki; Kataoka, Ken-Ichiro; Imai, Minoru; Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Minoru; Endo, Noriaki; Tarby, Christine M.; Moree, Wilna; Teig, Steven
PATENT ASSIGNEE(S): Teijin Limited, Japan; Dupont Pharmaceuticals Research Laboratories
SOURCE: U.S., 364 pp., Cont. of U.S. Ser. No. 554,562.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6362177	B1	20020326	US 2001-905078	20010716

PRIORITY APPLN. INFO.: US 2000-554562 A3 20000516
OTHER SOURCE(S): MARPAT 136:263090
GI



I



II

AB The title compds. [I; R1 = (un)substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxycarbonyl, etc.; j = 0-2; k = 0-2; m = 3-4 and k+m = 5 or 6; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH, Ph, etc.; p, q = 0-1; G = CO, SO, CO2, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addn. salts which inhibit the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells and may be useful as a **therapeutic** drug and/or preventative drug in **diseases**, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prepd. Thus, reaction of N-benzoylglycine with 3-amino-1-(4-chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodiimide.HCl, 1-hydroxybenzotriazole and Et3N in CHCl3 afforded 95% II which showed 50-80% inhibition of MIP-1.alpha. binding to THP-1 cells at 10 .mu.M.

IT **226241-50-5P**, Benzamide, 5-chloro-2-[[(4-ethoxyphenyl)methyl]amino]-N-[2-[[[1-[(4-ethoxyphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-52-7P**, Benzamide, 5-bromo-2-[[(4-ethoxyphenyl)methyl]amino]-N-[2-[[[1-[(4-ethoxyphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-63-0P**, Benzamide, 5-chloro-2-[[(4-ethylphenyl)methyl]amino]-N-[2-[[[1-[(4-ethylphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-64-1P**, Benzamide, 5-chloro-2-[[[4-(1-methylethyl)phenyl]methyl]amino]-N-[2-[[[1-[[4-(1-methylethyl)phenyl]methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-65-2P**, Benzamide, 5-chloro-N-[2-oxo-2-[[[1-[(4-propoxyphenyl)methyl]-4-piperidinyl]methyl]amino]ethyl]-2-[[(4-propoxyphenyl)methyl]amino]- **226241-66-3P**, Benzamide, 5-bromo-2-[[(4-ethylphenyl)methyl]amino]-N-[2-[[[1-[(4-ethylphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-67-4P**, Benzamide, 5-bromo-2-[[[4-(1-methylethyl)phenyl]methyl]amino]-N-[2-[[[1-[[4-(1-methylethyl)phenyl]methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-68-5P**, Benzamide, 5-bromo-N-[2-oxo-2-[[[1-[(4-propoxyphenyl)methyl]-4-piperidinyl]methyl]amino]ethyl]-2-[[(4-propoxyphenyl)methyl]amino]- **226241-69-6P**, Benzamide, 5-bromo-2-[[[4-(methylthio)phenyl]methyl]amino]-N-[2-[[[1-[[4-

(methylthio)phenyl]methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-82-3P**, Benzamide, 5-chloro-2-[[(4-hydroxy-3-methoxyphenyl)methyl]amino]-N-[2-[[[1-[(4-hydroxy-3-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226241-83-4P**, Benzamide, 5-bromo-2-[[(4-hydroxy-3-methoxyphenyl)methyl]amino]-N-[2-[[[1-[(4-hydroxy-3-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226242-54-2P**, Benzamide, 5-chloro-2-[[[4-(methylthio)phenyl]methyl]amino]-N-[2-[[[1-[[4-(methylthio)phenyl]methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226243-23-8P**, Benzamide, 5-bromo-2-[[(4-butylphenyl)methyl]amino]-N-[2-[[[1-[(4-butylphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- **226243-25-0P**, Benzamide, 5-bromo-N-[2-oxo-2-[[[1-[(4-propylphenyl)methyl]-4-piperidinyl]methyl]amino]ethyl]-2-[[(4-propylphenyl)methyl]amino]- **226243-27-2P**, Benzamide, 2-[[(4-butylphenyl)methyl]amino]-N-[2-[[[1-[(4-butylphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]-5-chloro- **226243-29-4P**, Benzamide, 5-chloro-N-[2-oxo-2-[[[1-[(4-propylphenyl)methyl]-4-piperidinyl]methyl]amino]ethyl]-2-[[(4-propylphenyl)methyl]amino]- **226245-19-8P**, Benzamide, 5-bromo-2-[[(4-ethylphenyl)methyl]amino]-N-[2-[[(3R)-1-[(4-ethylphenyl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. for inhibition of action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157743 CAPLUS
DOCUMENT NUMBER: 136:217047
TITLE: Preparation of novel phenylalanine derivatives having .alpha.4 integrin-inhibitory activity
INVENTOR(S): Makino, Shingo; Okuzumi, Tatsuya; Yoshimura, Toshihiko; Satake, Yuko; Suzuki, Nobuyasu; Izawa, Hiroyuki; Sagi, Kazuyuki; Chiba, Akira; Nakanishi, Eiji; Murata, Masahiro; Tsuji, Takashi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016329	A1	20020228	WO 2001-JP7039	20010815

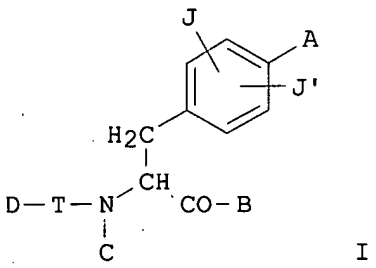
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,

TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-248728 A 20000818
JP 2001-147451 A 20010517

OTHER SOURCE(S): MARPAT 136:217047

GI



I

AB Phenylalanine derivs. [I; A = Q, Q1, Q2, Q3; wherein Arm = cyclic alkyl or arom. ring contg. 1-4 heteroatom(s) selected from O, S, and N; U, V, X = CO, SO2, CR5R6, C(:CR5R6), C:S, S:O, P(O)OH, P(O)H; W = CR7, N; wherein R1 - R7 = H, H, halo, OH, (un)substituted lower alkyl, alkenyl, or alkynyl, cycloalkyl optionally contg. a heteroatom in the ring, aryl, heteroaryl, etc.; B = HO, lower alkoxy, hydroxyamino; C = H, lower alkyl, alkenyl, alkynyl, cycloalkyl-lower alkyl (optionally contg. an heteroatom in the ring), aryl-lower alkyl, heteroaryl-lower alkyl; D = lower alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkyl-lower alkyl (optionally contg. an heteroatom in the ring), aryl, aryl-lower alkyl, heteroaryl-lower alkyl, lower alkoxy, cycloalkyl-lower alkoxy (optionally contg. a heteroatom in the ring), aryloxy, heteroaryloxy, etc.; or C and D are linked to each other to form a ring optionally contg. 1 or 2 O, N, or S atom(s); T = CO, C:S, SO, SO2, NHCO, NHCS; J, J' = H, halo, lower alkyl, lower alkoxy, NO2] are prepd. by the solid phase method using Wang resin. These compds. are useful for the **treatment** or prevention of inflammatory **disease** states related to the .alpha.4 integrin-dependent adhesion process, e.g. rheumatoid arthritis, inflammatory bowel **disease**, systemic lupus erythematosus, multiple sclerosis, Sjoegren's syndrome, asthma, psoriasis, allergy, diabetes, cardiovascular **diseases**, atherosclerosis, restenosis, tumor proliferation, tumor metastasis, and transplant rejection. Thus, a soln. of Fmoc-Phe(4-NO2)-OH, 2,6-dichlorobenzoyl chloride, and pyridine in N-methylpyrrolidone was added to Wang resin and stirred at room temp. for 16 h to give Fmoc-Phe(4-NO2)-Wang resin which was deprotected by 20% piperidine in DMF at room temp. for 15 min to afford H-Phe(4-NO2)-Wang resin and then acylated by 2,6-dichlorobenzoyl chloride and 2,6-lutidine in N-methylpyrrolidone at room temp. for 16 h to give 2,6-dichlorobenzoyl-Phe(4-NO2)-Wang resin. The latter compd.-bound resin was reduced by SnCl2.2H2O in EtOH/N-methylpyrrolidone at room temp. for 16 h to 2,6-dichlorobenzoyl-Phe(4-NH2)-Wang resin which

was cyclocondensed with Me 2-isocyanatobenzoate in N-methylpyrrolidone at room temp. for 16 h to give 2,6-dichlorobenzoyl-Phe(4-Q)-Wang resin (Q = 1,2,3,4-tetrahydro quinazolin-3-yl) and then methylated by Me iodide in the presence of 18-crown-6 ether and K2CO3 in N-methylpyrrolidone at room temp. for 3 days to give 2,6-dichlorobenzoyl-Phe(4-Q)-Wang resin (Q = 1-methyl-1,2,3,4-tetrahydroquinazolin-3-yl). Resin-cleavage reaction with 5% aq. CF3CO2H at room temp. for 1 h gave 2,6-dichlorobenzoyl-Phe(4-Q)-OH (Q = 1-methyl-1,2,3,4-tetrahydroquinazolin-3-yl) (II). II and 2-chloro-6-methylbenzoyl-Phe(4-Q)-OH (Q = 1-methyl-1,2,3,4-tetrahydroquinazolin-3-yl) inhibited the binding of human recombinant VCAM-1 to human T cell Jurikat (ATCC TIB-152) cell expressing integrin .alpha.4.beta.1 with IC50 of 1.0 and 0.2 nM, resp.

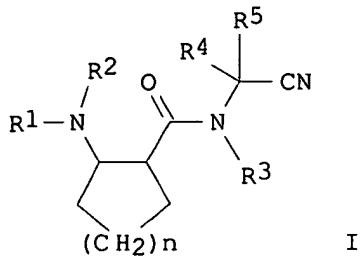
IT **401905-99-5DP**, Wang resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(rejection of)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

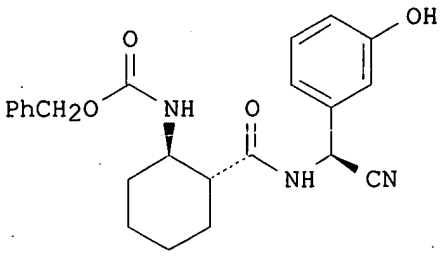
L16 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:923748 CAPLUS
DOCUMENT NUMBER: 136:53544
TITLE: .beta.-amino acid nitrile derivs. useful for the **treatment** of **diseases** which are assocd. with cysteine proteases
INVENTOR(S): Gabriel, Tobias; Pech, Michael; Rodriguez Sarmiento, Rosa Maria
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096285	A1	20011220	WO 2001-EP6541	20010608
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016361	A1	20020207	US 2001-872927	20010601

PRIORITY APPLN. INFO.: EP 2000-112577 A 20000614
OTHER SOURCE(S): MARPAT 136:53544
GI



I



II

AB Compds. of formula I [R1 = H, aryl, C(O)Ra, or SO2Rb (Ra = lower alkyl, lower-alkoxy, cycloalkyl, cycloalkyl-lower-alkyl, cycloalkyl-lower alkoxy, cycloalkoxy, aryl, aryloxy, etc.; Rb = aryl, aryl-lower-alkyl, or heteroaryl); R2, R3, R4 = H or lower-alkyl; R5 = H, lower-alkyl, cycloalkyl, or aryl; n = 1,2] were prepd.. Thus, (1R,2R)-(2-{(S)-[cyano(3-hydroxyphenyl)methyl]carbamoyl}cyclohexyl)carbamic acid benzyl ester (II) was produced from (1R,2R)-2-benzyloxycarbonylaminocyclohexane carboxylic acid and (S)-2-amino-2-(3-hydroxyphenyl)acetonitrile. II was assayed against cathepsins K, S, L, and B and the inhibitory activity (IC50) was detd. to be 0.005, >10, 4.7, and 4.6 .mu.Mol/L, resp. The compds. and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof are useful for the **treatment** of **diseases** which are assocd. with cysteine proteases such as osteoporosis, osteoarthritis, rheumatoid arthritis, tumor metastasis, glomerulonephritis, atherosclerosis, myocardial infarction, angina pectoris, instable angina pectoris, stroke, plaque rupture, transient ischemic attacks, amaurosis fugax, peripheral arterial occlusive **disease**, restenosis after angioplasty and stent placement, abdominal aortic aneurysm formation, inflammation, autoimmune **disease**, malaria, ocular fundus tissue cytopathy and respiratory **disease**. A discussion of pharmaceutical compns. is also included.

IT **381240-25-1P 381240-26-2P 381240-27-3P 381240-28-4P 381240-30-8P 381240-32-0P 381240-33-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of beta-amino acid nitrile derivs. useful for the **treatment** of **diseases** which are assocd. with

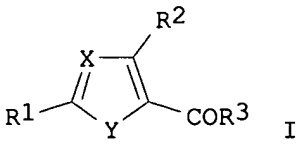
cysteine proteases)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:816647 CAPLUS
DOCUMENT NUMBER: 135:357948
TITLE: Preparation of heterocyclic compounds as phosphodiesterase V (PDE V) inhibitors
INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083460	A1	20011108	WO 2001-JP2034	20010315

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-130371 A 20000428
OTHER SOURCE(S): MARPAT 135:357948
GI



I

AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R2 is either a lower alkylamino or lower alkoxy group which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous arom. heterocyclic group; and R3 is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R3

and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepd. These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or **therapeutic** agents for various **diseases** due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was **treated** wit NaH in THF at room temp. for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine (prepn. given) in THF at room temp. for 1 h to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

IT **330784-43-5P 372115-79-2P 372115-80-5P 372115-84-9P 372115-85-0P 372115-86-1P 372115-93-0P 372115-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as phosphodiesterase V inhibitors preventive or **therapeutic** agents for various **diseases** due to dysfunction of signal transduction through cGMP)

IT **372117-99-2P 372118-10-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. as phosphodiesterase V inhibitors preventive or **therapeutic** agents for various **diseases** due to dysfunction of signal transduction through cGMP)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:780679 CAPLUS
DOCUMENT NUMBER: 135:327362
TITLE: Nonsteroidal antiinflammatory drug (NSAID) and NSAID derivative amyloid A.beta.42 polypeptide-lowering agents for the **treatment** of Alzheimer's **disease**, and screening methods
INVENTOR(S): Koo, Edward Hao Mang; Golde, Todd Eliot; Galasko, Douglas Roger
PATENT ASSIGNEE(S): Mayo Foundation for Medical Education and Research, USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078721	A1	20011025	WO 2001-US11956	20010412

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-196617P P 20000413

AB A method is provided for preventing, delaying, or reversing the progression of Alzheimer's **disease** by administering an A.beta.42-lowering agent to a mammal under conditions in which levels of A.beta.42 are selectively reduced, levels of A.beta.38 are increased, and levels of A.beta.40 are unchanged. The invention provides methods and materials for developing and identifying A.beta.42-lowering agents. In addn., the invention provides methods for identifying agents that increase the risk of developing, or hasten progression of, Alzheimer's **disease**. The invention also provides compns. of A.beta.42-lowering agents and antioxidants, A.beta.42 lowering agents and non-selective secretase inhibitors, and A.beta.42 lowering agents and acetylcholinesterase inhibitors. The invention further provides kits contg. A.beta.42-lowering agents, antioxidants, non-selective secretase inhibitors, and/or acetylcholinesterase inhibitors as well as instructions related to dose regimens for A.beta.42-lowering agents, antioxidants, non-selective secretase inhibitors, and acetylcholinesterase inhibitors. The agents of the invention include nonsteroidal antiinflammatory drugs (NSAIDs) and NSAID derivs.

IT **261766-35-2 261766-36-3 261766-37-4 261766-38-5 261766-41-0 261766-42-1 261766-43-2**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NSAID and NSAID deriv. amyloid A.beta.42 polypeptide-lowering agents for **treatment** of Alzheimer's **disease**, and screening methods)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:661391 CAPLUS

DOCUMENT NUMBER: 135:210946

TITLE: Preparation of pyridylamides as Factor Xa inhibitors.

INVENTOR(S): Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert

PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064642	A2	20010907	WO 2001-US6247	20010228
WO 2001064642	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-185746P P 20000229
US 2000-663420 A 20000915

OTHER SOURCE(S): MARPAT 135:210946

AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R1C(:NR3), (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl, etc.; R1-R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; R1R2 or R2R3 = atoms to form a 3-8 membered (substituted) (heterocyclic) ring; Q = bond, CH2, CO, O, NR7, etc.; R7 = H, alkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, S, SO, SO2, alkoxy, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, heterocyclyl, fused cyclic system; J = bond, NR9CO, O, S, SO, SO2, SO2NR9, CH2, NR9, etc.; R9 = H, alkyl, (alkyl)aryl, etc.; X = (substituted) Ph, naphthyl, heteroaryl, fused bicyclyl], were prepd. as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl) 2-aminophenylcarboxamide (prepn. given), 4-[(2-tert-butylaminosulfonyl)phenyl]benzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 85% N-(5-bromo-2-pyridinyl)-[2-4-[(2-aminosulfonyl)phenyl]phenylcarbonylamino]phenylcarboxamide.

IT **358659-61-7P 358659-62-8P 358659-63-9P**
358659-64-0P 358659-65-1P 358659-66-2P
358659-67-3P 358659-68-4P 358659-69-5P
358659-70-8P 358659-71-9P 358659-72-0P
358659-73-1P 358659-74-2P 358659-75-3P
358659-76-4P 358659-77-5P 358659-78-6P
358659-79-7P 358659-80-0P 358659-81-1P
358659-82-2P 358659-83-3P 358659-84-4P
358659-85-5P 358659-86-6P 358659-87-7P
358659-88-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridylamides as Factor Xa inhibitors)

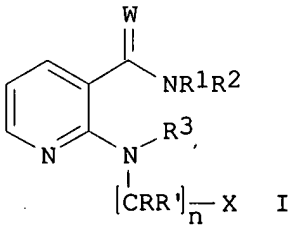
L16 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:565010 CAPLUS
DOCUMENT NUMBER: 135:137407
TITLE: Preparation of 2-aminonicotinamides as VEGF-receptor tyrosine kinase inhibitors

INVENTOR(S): Manley, Paul William; Bold, Guido
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055114	A1	20010802	WO 2001-EP835	20010125

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-1930 A 20000127
OTHER SOURCE(S): MARPAT 135:137407
GI



I

AB The title compds. [I; n = 1-6; W = O, S; R1, R3 = H, alkyl, acyl; R2 = (un)substituted cycloalkyl, aryl, mono- or bicyclic heteroaryl comprising one or more ring N atoms and 0-2 heteroatoms selected from O and S; R, R' = H, alkyl; X = (un)substituted aryl, mono- or bicyclic heteroaryl comprising one or more ring N atoms and 0-2 heteroatoms selected from O and S] and their pharmaceutically acceptable salts, useful for **therapy** of a **disease** which responds to an inhibition of the VEGF-receptor tyrosine kinase activity (such as neoplastic **disease**), were prepd. and formulated. Thus, amidation of 3-aminobenzotrifluoride with 2-chloronicotinoyl chloride followed by reacting 4-pyridineethanamine with the resulting carboxamide afforded I [n = 2; R, R' = H; X = 4-pyridyl; W = O; R1, R3 = H; R2 = 3-(F3C)C6H4].

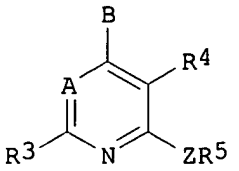
IT **352227-86-2P 352227-92-0P 352228-00-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

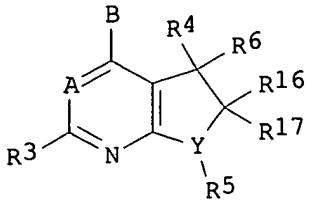
(prepn. of 2-aminonicotinamides as VEGF-receptor tyrosine kinase inhibitors)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

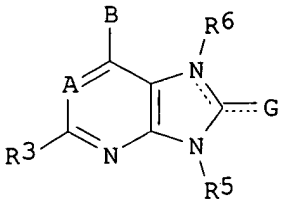
L16 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:545665 CAPLUS
DOCUMENT NUMBER: 135:137515
TITLE: Preparation of pyridines, pyrimidines, purinones, pyrrolopyrimidinones and pyrrolopyridinones as corticotropin releasing factor antagonists
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053263	A1	20010726	WO 2001-IB4	20010105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016328	A1	20020207	US 2001-761995	20010117

PRIORITY APPLN. INFO.: US 2000-176611P P 20000118
OTHER SOURCE(S): MARPAT 135:137515
GI


I


II


III

AB The title compds. [I-III; A = CR7, N; B = NR1R2, COR2, CHR1OR2, etc.; G = H, O, S, etc.; Y = CH, N; Z = NH, O, S, etc.; R1 = CHO, CO(alkyl), alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = Me, Et, F, etc.; R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.; R16, R17 = H, OH, Me, etc.], useful in the **treatment disorders** including CNS and stress-related **disorders**, were prepd. Thus, reacting N-4-(1-ethylpropyl)-6-methyl-2-(2,4,6-trimethylphenoxy)pyridine-3,4-diamine with chloroacetyl chloride in the presence of Et3N in THF afforded 91% I [A = CH; B = NHCHEt2; R3 = Me; R4 = NHCOCH2Cl; Z = O; R5 = 2,4,6-Me3C6H2]. The CRF binding activities for compds. I-III, expressed as IC50 values, generally range from about 0.5 nM to 10 .mu.M.

IT **351380-07-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridines, pyrimidines, purinones, pyrrolopyrimidinones and pyrrolopyridinones as corticotropin releasing factor antagonists)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:453059 CAPLUS
DOCUMENT NUMBER: 135:46172
TITLE: Preparation of N-isoxazolyl biphenylsulfonamides and related compounds as dual angiotensin II and endothelin receptor antagonists.
INVENTOR(S): Murugesan, Natesan; Tellew, John E.; Macor, John E.; Gu, Zhengxiang
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 287 pp.
CODEN: PIXXD2

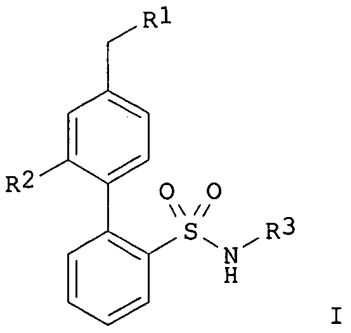
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044239	A2	20010621	WO 2000-US33730	20001213
WO 2001044239	A3	20011101		

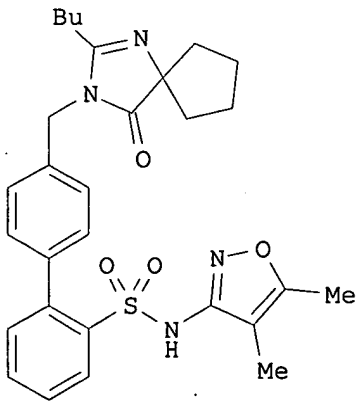
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:			
US 1999-464037	A	19991215	
US 2000-481197	A	20000111	
US 2000-513779	A	20000225	
US 2000-604322	A	20000626	
US 2000-643640	A	20000822	

OTHER SOURCE(S): MARPAT 135:46172
GI



I



II

AB Title compds. (I; R1 = specified oxoimidazolyl, pyridoimidazolyl, pyridylamino, triazolyl, quinolinyloxy, etc.; R2 = H, halo, CHO, (halo)alkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxy, cyano, OH, NO2, etc.; R3 = heteroaryl; with provisos) were prepd. as dual angiotensin II and endothelin receptor antagonists for **treatment** of hypertension and other **diseases** (no data). Thus, 4-BrC6H4CH2OH was coupled with [2-[[(4,5-dimethyl-3-isoxazolyl)[(2-methoxyethoxy)methyl]amino]sulfonyl]phenyl]boronic acid to give N-(4,5-dimethyl-3-isoxazolyl)-4'-(hydroxymethyl)-N-[(2-methoxyethoxy)methyl][1,1'-biphenyl]-2-sulfonamide (66%). This was brominated to give the 4'-bromomethyl deriv. (90%), reacted with

2-butyl-1,3-diazaspiro[4.4]non-1-en-4-one hydrochloride, and deprotected (49% for two steps) to give II.

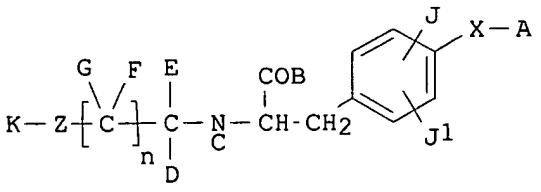
IT **254739-90-7P 254742-75-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-isoxazolyl biphenylsulfonamides and related compds. as dual angiotensin II and endothelin receptor antagonists)

L16 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:380546 CAPLUS
DOCUMENT NUMBER: 134:367194
TITLE: Preparation of novel phenylalanine derivatives as .alpha.4-integrin inhibitors
INVENTOR(S): Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036376	A1	20010525	WO 2000-JP8152	20001120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-328468 A 19991118
JP 2000-197139 A 20000629
OTHER SOURCE(S): MARPAT 134:367194
GI



I

AB Phenylalanine derivs. represented by general formula (I) or

pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO2, N-(un)substituted NH, NHCO, NHSO2, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO2; A represents a specific substituted Ph group or nitrogen-contg. heterocycle such as arom.-fused pyrimidinedione or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other to form a ring optionally contg. 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHNR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO2] are prepd. These derivs. and analogs thereof show an .alpha.4 integrin inhibitory activity and are usable as remedies for various **diseases** relating to .alpha.4 integrin, such as inflammatory **diseases** related to .alpha.4 integrin-dependent adhesion process, arthritis, inflammatory intestinal **diseases**, systemic lupus erythematosus, multiple sclerosis, Sjoegren syndrome, psoriasis, allergy, diabetes, cardiovascular **diseases**, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temp. for 16 h, washed with DMF five times, and condensed with pyrroline using HOAt, DIC, and NMP, followed by oxidn. with OsO4 in dioxane at room temp. for 16 and resin-cleavage in aq. CF3CO2H to give N-[2-[(cis-2,4-dihydroxypyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrin.alpha.4.beta.7 with IC50 of .ltoreq.0.02 .mu.mol/L.

IT **340719-28-0P 340719-29-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel phenylalanine derivs. as .alpha.4-integrin inhibitors)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:319860 CAPLUS

DOCUMENT NUMBER: 134:340354

TITLE: Preparation of anthranilamides as inhibitors of cGMP phosphodiesterase.

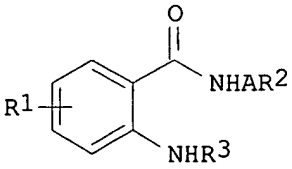
INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio;

Kayakiri, Natsuko; Urano, Yasuharu; Sawada, Yuki; Mizutani, Tsuyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Noriko; Oku, Chikako; Oku, Tomohito
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030745	A1	20010503	WO 2000-JP7308	20001019

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 1999-3652 A 19991025
OTHER SOURCE(S): MARPAT 134:340354
GI



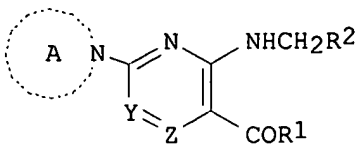
I

AB Title compds. I; [R1 = NO2, amino, cyano, haloalkyl, acyl, halo, etc.; R2 = H, OH, alkoxy, alkyl, cycloalkyl, (substituted) aryl, heterocyclyl; A = alkylene; R3 = (substituted) heterocyclyl, CR4R5R6; R4, R5 (substituted) carbamoyl, alkyl; R4R5C = = (substituted) carbocyclyl; R6 = H, alkyl], were prepd. Thus, reaction of 2-(cyclopentylamino)-5-nitrobenzoic acid with BuNH2 in DMF in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxybenzotriazole gave N-butyl-2-(cyclopentylamino)-5-nitrobenzamide. The latter inhibited human platelet cGMP phosphodiesterase with IC50 <10 nM.
IT **337360-72-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilamides as inhibitors of cGMP phosphodiesterase)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

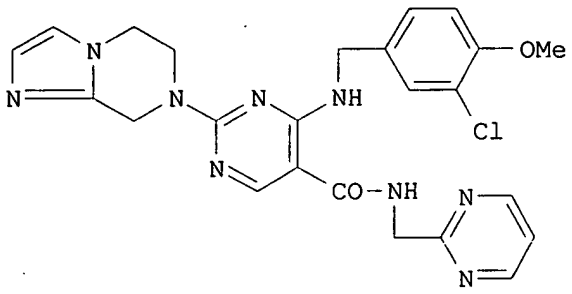
L16 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:208252 CAPLUS
DOCUMENT NUMBER: 134:252363
TITLE: Preparation and effect of nitrogen-containing-six-membered aromatic compounds as PDE V activity inhibitors
INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019802	A1	20010322	WO 2000-JP6258	20000913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2002012587	A2	20020115	JP 2000-277652	20000913

PRIORITY APPLN. INFO.: JP 1999-261852 A 19990916
JP 2000-130371 A 20000428
OTHER SOURCE(S): MARPAT 134:252363
GI



I



II

AB Title compds. [I; A is an optionally substituted nitrogenous heterocyclic group; R1 is optionally substituted lower alkyl, NHQR3 (wherein R3 is an optionally substituted nitrogenous heterocyclic group; and Q is lower alkylene or a single bond), or NHR4 (wherein R4 is optionally substituted cycloalkyl); R2 is optionally substituted aryl; and either of Y and Z is CH and the other is N], pharmacol. acceptable salts are prepd. and are exhibiting an excellent selective inhibitory activity against PDE V and being useful as preventive or **therapeutic** drugs for erectile dysfunction (no data). Thus, the title compd. II was prepd.

IT **330784-43-5P 330784-44-6P 330784-45-7P**
330785-08-5P 330785-09-6P 330785-10-9P
330785-11-0P 330785-12-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of heteroarom. compds. as PDE V activity inhibitors)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:152665 CAPLUS
DOCUMENT NUMBER: 134:207826
TITLE: Preparation of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and benzopyrans as factor Xa and factor IIa inhibitors
INVENTOR(S): Burns, Christopher J.; Dankulich, William P.; McGarry, Daniel G.; Volz, Francis A.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

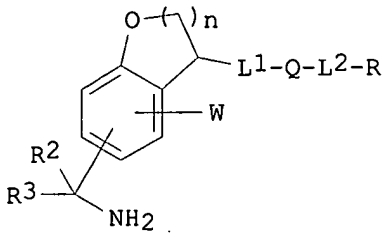
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014358	A2	20010301	WO 2000-IB1562	20000812
WO 2001014358	A3	20010517		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-150767P P 19990826
GB 1999-24155 A 19991012

OTHER SOURCE(S): MARPAT 134:207826
GI



AB The title compds. [I; n = 1 or 2; W is H or a ring system substituent; R is hydrogen, cyano, cycloalkyl, cycloalkenyl, heterocyclyl,fused arylcycloalkyl, fused heteroarylcycloalkyl, etc.; R1 is hydrogen, alkyl, aralkyl, heteroaralkyl, acyl, aroyl, heteroaroyl, alkoxycarbonyl, aryloxycarbonyl or heteroaryloxycarbonyl; R2 and R3 are each hydrogen, or, taken together are :NR4; R4 is hydrogen, R5O2C, HO, cyano, R5CO, HCO, lower alkyl, nitro, etc.; R5 is alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl; L1 is alkylene, alkenylene or alkynylene; L2 is absent, alkylene, alkenylene, alkynylene, alkylene-O, alkenylene-O, etc., provided that when L2 is absent, then R is not hydrogen, and Q is attached to R through a carbon atom thereof; Q is NR8', O, CO, CO2, O2C, NR8'(X1), C(X)NR8', NR8C(X1)O, etc.; provided that a nitrogen atom or oxygen atom of Q is not directly bonded to a carbon atom of L1 or L2 having a double bond or triple bond, or Q-L2-R is cycloalkyl, cycloalkenyl, heterocyclyl, fused arylcycloalkyl, fused heteroarylcycloalkyl, etc., provided that a nitrogen atom or oxygen atom of Q is not directly bonded to a carbon atom of L1 having a double bond or triple bond; X1 is O or S; R8' is hydrogen, alkyl, aralkyl, heteroaralkyl, acyl, aroyl, heteroaroyl or alkoxycarbonyl; R8 is hydrogen, alkyl, aralkyl, heteroaralkyl, acyl, aroyl or heteroaroyl; and m is 0, 1 or 2], oxides thereof, pharmaceutically acceptable salts, solvates thereof, or prodrugs thereof are prepd. These compds. inhibit the formation of simultaneously directly

inhibiting both Factor Xa and Factor IIa (thrombin) and are useful for **treating** pathol. conditions in a patient that may be ameliorated by administration of such compds. The pathol. conditions include venous vasculature, arterial vasculature, abnormal thrombus formation, acute myocardial infarction, unstable angina, thromboembolism, acute vessel closure assocd. with thrombolytic **therapy**, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post coronary or venous angioplasty, maintenance of vascular access patency in longterm hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery, a risk of pulmonary thromboembolism, or disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections or cancer (no data). Thus, To a cooled (0.degree.) soln. of 5-(pyrid-2-yl)thiophene-2-carboxylic acid and 4-methylmorpholine in CH2Cl2 is added dropwise a soln. of iso-Pr chloroformate in toluene, stirred 30 min, **treated** with 2-[5-(N-tert-butoxycarbonyl)carbamimidoyl-2,3-dihydrobenzofuran-3-yl]ethylamine in DMF, and the reaction mixt. was allowed to warm to room temp. overnight to give 5-pyridin-2-ylthiophene-2-carboxylic acid [2-[5-(N-tert-butoxycarbonyl)carbamimidoyl-2,3-dihydrobenzofuran-3-yl]ethyl]amide which was stirred with H2O and CF3CO2H in CH2Cl2 for 3 h to give 5-(pyridin-2-yl)thiophene-2-carboxylic acid [2-(5-carbamimidoyl-2,3-dihydrobenzofuran-3-yl)ethyl]amide.

IT **328124-74-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and -benzopyrans as inhibitors of factor Xa and factor IIa)

IT **328123-93-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted (aminoiminomethyl or aminomethyl)dihydrobenzofurans and -benzopyrans as inhibitors of factor Xa and factor IIa)

L16 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:114982 CAPLUS
DOCUMENT NUMBER: 134:173028
TITLE: Cyclic amine CCR3 antagonists
INVENTOR(S): Shiota, Tatsuki; Sudoh, Masaki; Yokoyama, Tomonori; Muroga, Yumiko; Kamimura, Takashi; Nakanishi, Akinobu
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001010439	A1	20010215	WO 2000-JP5260	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1201239	A1	20020502	EP 2000-950006	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-220864 A 19990804
WO 2000-JP5260 W 20000804

OTHER SOURCE(S): MARPAT 134:173028

AB Drugs contg. as the active ingredient cyclic amine derivs. represented by general formula (Markush's structure given), pharmaceutically acceptable acid addn. salts thereof or pharmaceutically acceptable C1-6 alkyl adducts thereof. These drugs are efficacious in preventing and **treating** **diseases** in which CCR3 participates such as asthma and allergic rhinitis.

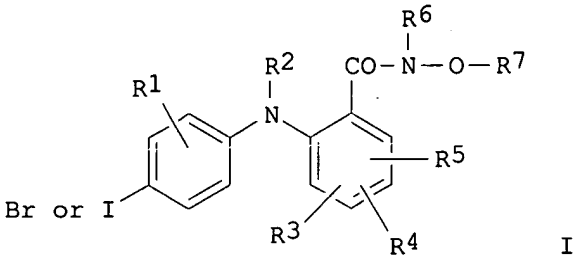
IT **226241-69-6 308361-85-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

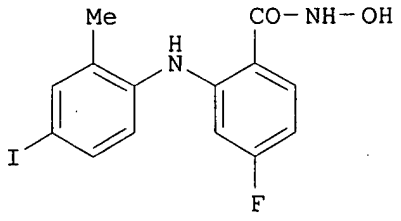
L16 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:63819 CAPLUS
DOCUMENT NUMBER: 134:131317
TITLE: Preparation of 2-phenylaminobenzamides and analogs as MEK inhibitors for the **treatment** of chronic pain
INVENTOR(S): Dixon, Alistair; Lee, Kevin; Pinnock, Robert Denham
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005392	A2	20010125	WO 2000-US18347	20000705
WO 2001005392	A3	20010719		
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI,				

SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1202726 A2 20020508 EP 2000-943383 20000705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
PRIORITY APPLN. INFO.: US 1999-144292P P 19990716
WO 2000-US18347 W 20000705
OTHER SOURCE(S): MARPAT 134:131317
GI



I



II

AB The title compds. (I) [wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, or CN; R2 = H; R3, R4, and R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, or (O or NH)m(CH2)nR9; R9 = H, OH, CO2H, or NR10R11; m = 0 or 1; n = 0-4; R10 and R11 = independently H, alkyl, or taken together with the N to which they are attached form a heterocycle; R6 = H, (cyclo)alkyl, acyl, aryl, or aralkyl; R7 = H, (cyclo)alkyl, alkenyl, alkynyl, or heterocyclyl] were prepd. using conventional and combinatorial synthetic methods for the **treatment** of chronic pain. For example, 2,4-difluorobenzoic acid in THF was added to a soln. of 2-amino-5-iodotoluene and Li diisopropylamide in THF/heptane/EtPh to give 4-fluoro-2-(4-iodo-2-methylphenylamino)benzoic acid (47%). **Treatment** of the acid with O-(tetrahydro-2H-pyran-2-yl)hydroxylamine and diisopropylethylamine in THF/CH2Cl2 in the presence of PyBOP afforded the O-protected intermediate, which was dissolved in ethanolic HCl to give the title N-hydroxybenzamide (II) in 23% yield. Biol. assays indicated that MEK inhibitors exert an antiallodynic effect in CCI-induced neuropathic rats when administered intrathecally and that the antiallodynic effect correlates with the affinity of the compds.

IT **212628-77-8P**, 5-Chloro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212628-80-3P**,

4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-methylbenzamide **212628-81-4P**, N-Ethyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212628-82-5P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N,N-dimethylbenzamide **212628-83-6P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(1H-tetrazol-5-yl)benzamide **212628-85-8P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N,N-dimethylbenzamide **212628-86-9P**, [5-Chloro-2-(4-iodo-2-methylphenylamino)benzoylamino]acetic acid **212628-87-0P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-propylbenzamide **212628-88-1P**, 5-Bromo-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212628-89-2P**, N,N-Diethyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212628-90-5P**, 4-Fluoro-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212628-91-6P**, N,N-Diethyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212628-92-7P**, N-Butyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212628-93-8P**, 5-Chloro-N,N-diethyl-2-(4-iodo-2-methylphenylamino)benzamide **212628-94-9P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N,N-dimethylbenzamide **212628-99-4P**, 5-Bromo-3,4-difluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-00-0P**, N-(2,3-Dihydroxypropyl)-3,4-difluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-01-1P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-02-2P**, 3,4-Difluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-03-3P**, N-(2,3-Dihydroxypropyl)-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-04-4P**, 3,4-Difluoro-N-(3-hydroxypropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-05-5P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-06-6P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyridin-4-ylethyl)benzamide **212629-07-7P**, 4-Fluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-08-8P**, 5-Bromo-N-(3-dimethylaminopropyl)-3,4-difluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-09-9P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-10-2P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-11-3P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-12-4P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyridin-4-ylethyl)benzamide **212629-13-5P**, N-(3-Dimethylaminopropyl)-3,4-difluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-14-6P**, N-Benzyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-15-7P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-hydroxyethyl)benzamide **212629-16-8P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-17-9P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-18-0P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-19-1P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-thiophen-2-ylethyl)benzamide **212629-20-4P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-21-5P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-morpholin-4-

ylethyl)benzamide **212629-22-6P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-23-7P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-24-8P**, 2-(4-Bromo-2-methylphenylamino)-N-(3-dimethylaminopropyl)-3,4-difluorobenzamide **212629-25-9P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-26-0P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyridin-4-ylethyl)benzamide **212629-27-1P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-pyridin-4-ylethyl)benzamide **212629-28-2P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(3-hydroxypropyl)benzamide **212629-29-3P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-30-6P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-phenethylbenzamide **212629-31-7P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-thiophen-2-ylethyl)benzamide **212629-32-8P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-pyridin-4-ylmethylbenzamide **212629-33-9P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-phenethylbenzamide **212629-34-0P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-piperidin-1-ylethyl)benzamide **212629-35-1P**, 5-Chloro-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-36-2P**, 5-Fluoro-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-37-3P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-pyridin-4-ylmethylbenzamide **212629-38-4P**, 5-Bromo-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-39-5P**, 5-Chloro-N-(2-diethylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-40-8P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-41-9P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-42-0P**, 5-Bromo-N-(2-diethylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-43-1P**, N-[2-[Bis(2-hydroxyethyl)amino]ethyl]-5-chloro-2-(4-iodo-2-methylphenylamino)benzamide **212629-44-2P**, N-[2-[Bis(2-hydroxyethyl)amino]ethyl]-5-bromo-2-(4-iodo-2-methylphenylamino)benzamide **212629-46-4P**, N-[3-[4-(2-Hydroxyethyl)piperazin-1-yl]propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-47-5P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-48-6P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-50-0P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-52-2P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-54-4P**, 5-Chloro-N-(3-dimethylaminopropyl)-2-(4-iodo-2-methyl-phenylamino)benzamide **212629-56-6P**, N-[2-[Bis(2-hydroxyethyl)amino]ethyl]-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-58-8P**, 5-Chloro-N-(3-hydroxypropyl)-2-(4-iodo-2-methyl-phenylamino)benzamide **212629-60-2P**, 5-Chloro-N-(3-diethylamino-2-hydroxypropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-62-4P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-64-6P**, 5-Bromo-N-(3-

hydroxypropyl)-2-(4-iodo-2-methyl-phenylamino)benzamide **212629-66-8P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-68-0P**, N-[2-[Bis(2-hydroxyethyl)amino]ethyl]-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212629-69-1P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-71-5P**, 5-Chloro-N-(3-diethylaminopropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-73-7P**, 5-Chloro-N-(2-diisopropylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-75-9P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-77-1P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-(2-piperidin-1-yl-ethyl)benzamide **212629-78-2P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-piperazin-1-ylethyl)benzamide **212629-79-3P**, N-(2-Diethylaminoethyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-80-6P**, 5-Bromo-N-(3-dimethylaminopropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-81-7P**, N-(3-Hydroxypropyl)-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212629-82-8P**, 5-Fluoro-N-(3-hydroxypropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-83-9P**, N-(3-Diethylaminopropyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-84-0P**, N-(3-Diethylaminopropyl)-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212629-85-1P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-86-2P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-(3-piperidin-1-yl-propyl)benzamide **212629-87-3P**, 5-Bromo-N-(2-diisopropylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-88-4P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-89-5P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-90-8P**, N-(3-Diethylamino-2-hydroxypropyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-91-9P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-92-0P**, N-(3-Dimethylaminopropyl)-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212629-93-1P**, N-(2-Diisopropylaminoethyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212630-00-7P**, N-Cyclopropyl-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212630-03-0P**, 5-Fluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212630-06-3P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-(4-sulfamoylbenzyl)benzamide **212630-07-4P**, N-(2-Hydroxyethyl)-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-08-5P**, N-(2-Hydroxyethyl)-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212630-09-6P**, 2-(4-Iodo-2-methylphenylamino)-N-methyl-5-nitro-N-phenylbenzamide **212630-10-9P**, 5-Chloro-N-cyclopropyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-11-0P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-12-1P**, N-Allyl-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212630-14-3P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(4-sulfamoylbenzyl)benzamide **212630-15-4P**, N-Allyl-5-chloro-2-(4-iodo-2-methylphenylamino)benzamide

212630-16-5P, N-Cyclopropyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212630-17-6P**, 5-Bromo-N-cyclopropyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-18-7P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-19-8P**, 5-Iodo-2-(4-iodo-2-methylphenylamino)-N-(4-sulfamoylbenzyl)benzamide **212630-20-1P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(4-sulfamoylbenzyl)benzamide **212630-21-2P**, N-Allyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212630-22-3P**, N-Allyl-5-bromo-2-(4-iodo-2-methylphenylamino)benzamide **212630-23-4P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-24-5P**, N-Cyclopropyl-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-25-6P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-27-8P**, N-Cyclohexyl-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-28-9P**, N-Allyl-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-29-0P**, 5-Iodo-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-30-3P**, 2-(4-Iodo-2-methylphenylamino)-N-(3-methylbenzyl)-5-nitrobenzamide **212630-31-4P**, 5-Iodo-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-32-5P**, N-Cyclohexyl-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212630-33-6P**, 5-Chloro-N-cyclohexyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-34-7P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-35-8P**, 5-Bromo-N-cyclohexyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-36-9P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-37-0P**, N-Cyclohexyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **277335-40-7P**, 5-Bromo-2-(4-iodo-2-ethylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **321438-66-8P**, N-(2-Hydroxyethyl)-2-(4-iodo-2-ethylphenylamino)-5-nitrobenzamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-phenylaminobenzamide and 2-phenylaminobenzoic acid MEK inhibitors by conventional and combinatorial synthetic methods for **treatment** of chronic pain)

L16 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:864913 CAPLUS
DOCUMENT NUMBER: 134:4946
TITLE: Thienopyrimidines, their production and use as gonadotropin releasing hormone antagonists
INVENTOR(S): Furuya, Shuichi; Suzuki, Nobuhiro; Choh, Nobuo; Nara, Yoshi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000056739	A1	20000928	WO 2000-JP1777	20000323	
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
JP 2001278884	A2	20011010	JP 2000-87051	20000323	
JP 3240293	B2	20011217			
JP 2001278885	A2	20011010	JP 2000-120277	20000323	
BR 2000009297	A	20011218	BR 2000-9297	20000323	
EP 1163244	A1	20011219	EP 2000-911308	20000323	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6297379	B1	20011002	US 2000-530495	20000426	
US 6340686	B1	20020122	US 2000-571215	20000516	
NO 2001004603	A	20011126	NO 2001-4603	20010921	

PRIORITY APPLN. INFO.:	JP 1999-79371	A	19990324
	JP 2000-18019	A	20000125
	JP 2000-87051	A3	20000323
	WO 2000-JP1777	W	20000323
	US 2000-530495	A1	20000426

OTHER SOURCE(S): MARPAT 134:4946
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Methods for prepn. of thienopyrimidines I (R1, R2 = H, OH, (un)substituted C1-4 alkoxy, C1-4 alkoxy-carbonyl or C1-4 alkyl; R3 = H, halo, OH or (un)substituted C1-4 alkoxy, n = 0-5, if n = 2 then two adjacent R3 may form C1-4 alkylenedioxy; R4 = H or C1-4 alkyl; R6 = (un)substituted C1-4 alkyl or a group of the formula Q wherein R5 is hydrogen or R4 and R5 may form heterocycle); or a pharmaceutically acceptable salt thereof, having excellent GnRH-antagonizing activity, were disclosed, as well as pharmaceutical compns. for **treating** sex hormone-dependent **diseases**. Thus, compd. II [R7 = MeONHCONH (III)] was prepd. by reacting the starting amine II (R7 = NH2) with N,N'-carbonyldiimidazole followed by O-methylhydroxylamine hydrochloride. The hydrochloride salt of III demonstrated an IC50 value of 0.0001 .mu.M against binding of 125I-leuprorelin at human GnRH receptors expressed in CHO cells.

IT **308832-00-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thienopyrimidines as gonadotropin releasing hormone antagonist)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

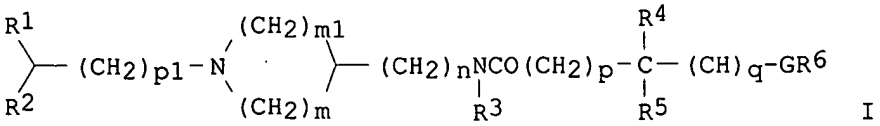
L16 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:824101 CAPLUS
DOCUMENT NUMBER: 134:5154
TITLE: Preparation of cyclic amine derivatives as remedies or preventives for **diseases** in association with chemokines or chemokine receptors
INVENTOR(S): Shiota, Tatsuki; Miyagi, Fuminori; Kamimura, Takashi; Ohta, Tomohiro; Takano, Yasuhiro; Horiuchi, Hideki
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 405 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069432	A1	20001123	WO 2000-JP3203	20000518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1179341	A1	20020213	EP 2000-927808	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001005599	A	20011116	NO 2001-5599	20011116

PRIORITY APPLN. INFO.: JP 1999-175856 A 19990518
JP 1999-251464 A 19990906
WO 2000-JP3203 W 20000518

OTHER SOURCE(S): MARPAT 134:5154
GI



I

AB Remedies or preventives for **diseases** in assocn. with chemokines such as MIP-1.alpha. and/or MCP-1 or chemokine receptors such as CCR1 or CCR2 contain as the active ingredient N-acyl-amino acid N-cyclic amino or N-cyclic aminoalkyl-amide derivs. represented by general formula [I; (un)substituted Ph, C3-8 cycloalkyl, arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N; R2 = H, (un)substituted C1-6 alkyl, C2-7 alkoxycarbonyl, HO, (un)substituted Ph; p1, m1 = 0-2; m = 2-4; n = 0,1; R3 = H, (un)substituted C1-6 alkyl; R4, R5 = H, OH, (un)substituted Ph or

C1-6 alkyl; or R4 and R5 are combined together to form a 3- to 5-membered hydrocarbyl; p, q = 0,1; G = CO, SO2, CO2, NR7CO, CONR7, NR7SO2, or SO2NR7, NHCONH, NHCSNH, NH CO2, O2CNH; R7 = H, C1-6 alkyl; or R7 and R5 are combined together to form C2-5 alkylene; R6 = (un)substituted Ph, C3-8 cycloalkyl, C3-6 cycloalkenyl, CH2Ph, or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N, wherein Ph, CH2Ph, or arom. heterocyclyl group is optionally fused with (un)substituted benzene or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N], pharmaceutically acceptable acid-adducts thereof, or pharmaceutically acceptable C1-6 alkyl-adducts thereof. The above **diseases** include destruction of bone or cartilage (e.g. arthritis, rheumatoid arthritis, osteoarthritis, osteoporosis, injury, and tumor), nephritis, kidney **diseases**, glomerulus or interstitial nephritis, nephrotic syndrome, demyelinating **disease**, or multiple sclerosis. Thus, N-3-ethoxybenzyl-D-methionine-N-[1-(4-chlorobenzyl)-4-piperazinylmethyl]amide in vitro inhibited the binding of human MIP-1.alpha. to THP-1 cells by >80% at 2 .mu.M.

IT **226241-50-5P 226241-52-7P 226241-63-0P**
226241-64-1P 226241-65-2P 226241-66-3P
226241-67-4P 226241-68-5P 226241-69-6P
226241-82-3P 226241-83-4P 226242-54-2P
226243-23-8P 226243-25-0P 226243-27-2P
226243-29-4P 226245-19-8P 308361-84-4P
308361-85-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amine derivs. as remedies or preventives for **diseases** in assocn. with chemokines or chemokine receptors)

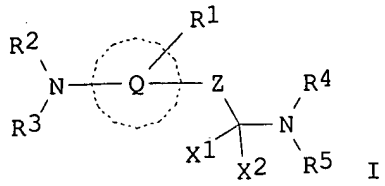
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:756524 CAPLUS
DOCUMENT NUMBER: 133:321878
TITLE: Preparation of cyclic protein tyrosine kinase inhibitors
INVENTOR(S): Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish, Joel C.; Wityak, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

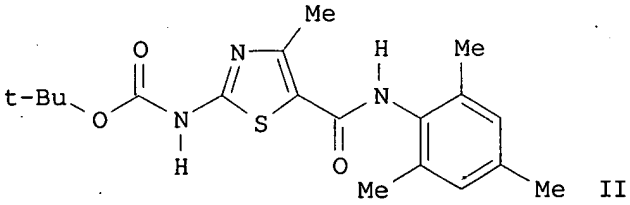
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000062778	A1	20001026	WO 2000-US9753	20000412

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,

LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1169038 A1 20020109 EP 2000-922102 20000412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT, IE, SI, LT, LV, FI, RO
BR 2000009721 A 20020213 BR 2000-9721 20000412
NO 2001004970 A 20011210 NO 2001-4970 20011012
PRIORITY APPLN. INFO.: US 1999-129510P P 19990415
WO 2000-US9753 W 20000412
OTHER SOURCE(S): MARPAT 133:321878
GI



I



II

AB The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl; Z = a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2 together = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the **treatment** of protein tyrosine kinase-assocd. **disorders** such as immunol. and oncol. **disorders** (no data), were prepd. E.g., a multi-step synthesis of thiazole II was given. Compds. I are effective at 0.1-100 mg/kg/day.

IT **302958-78-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic protein tyrosine kinase inhibitors)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:721433 CAPLUS
DOCUMENT NUMBER: 134:25114
TITLE: Aryl ureas represent a new class of anti-trypanosomal agents
AUTHOR(S): Du, Xiaohui; Hansell, Elizabeth; Engel, Juan C.; Caffrey, Conor R.; Cohen, Fred E.; McKerrow, James H.
CORPORATE SOURCE: Department of Cellular and Molecular Pharmacology and Medicine, University of California, San Francisco, CA, 94143-0450, USA
SOURCE: Chemistry & Biology (2000), 7(9), 733-742
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Background: The trypanosomal **diseases** including Changas' **disease**, African sleeping sickness and Nagana have a substantial impact on human and animal health worldwide. Classes of effective **therapeutics** are needed owing to the emergence of drug resistance as well as the toxicity of existing agents. The cysteine proteases of two trypanosomes, Trypanosoma cruzi (cruzain) and Trypanosoma brucei (rhodesain), have been targeted for a structure-based drug design program as mechanistic inhibitors that target these enzymes are effective in cell-based and animal models of trypanosomal infection. Results: We have used computational methods to identify new lead scaffolds for non-covalent inhibitors of cruzain and rhodesain, have demonstrated the efficacy of these compds. in cell-based and animal assays, and have synthesized analogs to explore structure activity relationships. Nine compds. with varied scaffolds identified by DOCK4.0.1 were found to be active at concns. below 10 .mu.M against cruzain and rhodesain in enzymic studies. All hits were calcd. to have substantial hydrophobic interactions with cruzain. Two of the scaffolds, the urea scaffold and the aroyl thiourea scaffold, exhibited activity against T. cruzi in vivo and both enzymes in vitro. They also have predicted pharmacokinetic properties that meet Lipinski's "rule of 5". These scaffolds are synthetically tractable and lend themselves to combinatorial chem. efforts. One of the compds., 5'(1-methyl-3-trifluoromethylpyrazol-5-yl)-thiophene 3'-trifluoromethylphenyl urea (D16) showed a 3.1 .mu.M IC50 against cruzain and a 3 .mu.M IC50 against rhodesain. Infected cells **treated** with D16 survived 22 days in culture compared with 6 days for their untreated counterparts. The mechanism of the inhibitors of these two scaffolds is confirmed to be competitive and reversible. Conclusions: The urea scaffold and the thiourea scaffold are promising leads for the development of new effective chemotherapy for trypanosomal **diseases**. Libraries of compds. of both scaffolds need to be synthesized and screened against a series of homologous parasitic cysteine proteases to optimize the potency of the initial leads.

IT **202827-87-0 312324-33-7**
RL: PRP (Properties)
(aryl ureas, a new class of anti-trypanosomal agents)

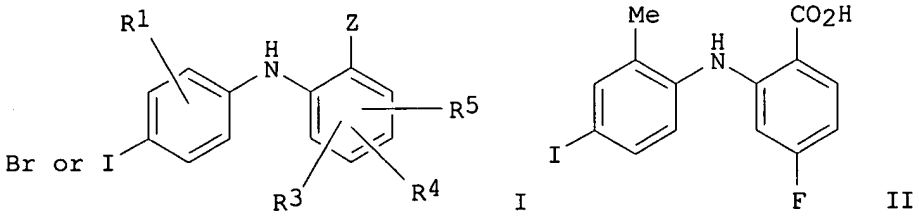
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:475533 CAPLUS
DOCUMENT NUMBER: 133:89332
TITLE: Preparation of 2-(4-bromo or 4-iodo phenylamino)benzoic acid derivatives as MEK inhibitors for the **treatment** of asthma
INVENTOR(S): Bridges, Alexander James; Dudley, David Thomas; Mobley, James Leslie; Saltiel, Alan Robert
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040235	A2	20000713	WO 1999-US30419	19991221
WO 2000040235	A3	20001109		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140062	A2	20011010	EP 1999-968153	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916785	A	20011023	BR 1999-16785	19991221

PRIORITY APPLN. INFO.: US 1999-115086P P 19990107
WO 1999-US30419 W 19991221

OTHER SOURCE(S): MARPAT 133:89332
GI



I II

AB The title compds. (I) [wherein R1 = H, OH, alkyl, alkoxy, halo, CF3, or CN; R3-R5 = independently H, OH, halo, CF3, alkyl, alkoxy, NO2, CN, or (O or NH)m-(CH2)n-R9, where R9 = H, OH, CO2H, or NR10R11; m = 0 or 1; n = 0-4; R10 and R11 = H, alkyl, or taken together with the N to which they are attached form a 3-10 membered ring; Z = CO2R7, tetrazolyl, CONR6R7, CONHNR10R11, or CH2OR7; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, acyl, (hetero)aryl, or taken together with the N to which they are attached form a 3-10 membered ring, etc.] were prepd. by std. or combinatorial synthetic methods involving the addn. of halobenzoic acids to haloanilines and

optional redn. or amidation of the acid. For example, **treatment** of 2-amino-5-iodotoluene in THF with LDA in THF/heptane/ethenylbenzene soln., followed by addn. of 2,4-difluorobenzoic acid in THF afforded II. In an in vitro assay, 2-(2-methyl-4-iodophenylamino)-N-hydroxy-3,4-difluoro-5-bromobenzamide (PD 171984) prevented antigen-induced prodn. of interleukin 5 (IL-5) by OVA-primed splenocytes with IC50 of 117 nM. In an adoptive-transfer assay using OVA-sensitized splenocytes cultured in the presence of PD 171984, the latter inhibited BAL eosinophilic lung inflammation by 99.82% at a dose of 10 .mu.M in mice. PD 171984 also inhibited active OVA-induced eosinophilic lung inflammation in mice dosed orally at 100 .mu.M for 4 days, suppressing BAL eosinophilia by 55.26%. Thus, I are potent MEK inhibitors that are useful in the prevention and **treatment** of asthma.

IT **212628-77-8P**, 5-Chloro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212628-80-3P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-methylbenzamide **212628-81-4P**, N-Ethyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212628-82-5P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N,N-dimethylbenzamide **212628-83-6P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(1H-tetrazol-5-yl)-benzamide **212628-85-8P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N,N-dimethylbenzamide **212628-86-9P**, [[5-Chloro-2-(4-iodo-2-methylphenylamino)benzoyl]amino]acetic acid **212628-87-0P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-propylbenzamide **212628-88-1P**, 5-Bromo-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212628-89-2P**, N,N-Diethyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212628-90-5P**, 4-Fluoro-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212628-91-6P**, N,N-Diethyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212628-92-7P**, N-Butyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212628-93-8P**, 5-Chloro-N,N-diethyl-2-(4-iodo-2-methylphenylamino)benzamide **212628-94-9P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N,N-dimethylbenzamide **212628-99-4P**, 5-Bromo-3,4-difluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-00-0P**, N-(2,3-Dihydroxypropyl)-3,4-difluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-01-1P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-02-2P**, 3,4-Difluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-03-3P**, N-(2,3-Dihydroxypropyl)-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-04-4P**, 3,4-Difluoro-N-(3-hydroxypropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-05-5P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-06-6P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyridin-4-ylethyl)benzamide **212629-07-7P**, 4-Fluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-08-8P**, 5-Bromo-N-(3-dimethylaminopropyl)-3,4-difluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-09-9P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-10-2P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide

212629-11-3P, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-12-4P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyridin-4-ylethyl)benzamide **212629-13-5P**, N-(3-Dimethylaminopropyl)-3,4-difluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-14-6P**, N-Benzyl-4-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-15-7P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-hydroxyethyl)benzamide **212629-16-8P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-17-9P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-18-0P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-19-1P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-thiophen-2-ylethyl)benzamide **212629-20-4P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-21-5P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-morpholin-4-ylethyl)benzamide **212629-22-6P**, 5-Bromo-3,4-difluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-23-7P**, 3,4-Difluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-24-8P**, 2-(4-Bromo-2-methylphenylamino)-N-(3-dimethylaminopropyl)-3,4-difluorobenzamide **212629-25-9P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-26-0P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyridin-4-ylethyl)benzamide **212629-27-1P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-pyridin-4-ylethyl)benzamide **212629-28-2P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(3-hydroxypropyl)benzamide **212629-29-3P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-30-6P**, 4-Fluoro-2-(4-iodo-2-methylphenylamino)-N-phenethylbenzamide **212629-31-7P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-thiophen-2-ylethyl)benzamide **212629-32-8P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-pyridin-4-ylmethylbenzamide **212629-33-9P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-phenethylbenzamide **212629-34-0P**, 2-(4-Bromo-2-methylphenylamino)-3,4-difluoro-N-(2-piperidin-1-ylethyl)benzamide **212629-35-1P**, 5-Chloro-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]-propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-36-2P**, 5-Fluoro-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]-propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-37-3P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-pyridin-4-ylmethylbenzamide **212629-38-4P**, 5-Bromo-N-[3-[4-(2-hydroxyethyl)piperazin-1-yl]-propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-39-5P**, 5-Chloro-N-(2-diethylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-40-8P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-41-9P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-42-0P**, 5-Bromo-N-(2-diethylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-43-1P**, N-[2-[Bis-(2-hydroxyethyl)amino]ethyl]-5-chloro-2-(4-iodo-2-methylphenylamino)benzamide **212629-44-2P**, N-[2-[Bis-(2-hydroxyethyl)amino]ethyl]-5-bromo-2-(4-iodo-2-methylphenylamino)benzamide **212629-46-4P**,

N-[3-[4-(2-Hydroxyethyl)piperazin-1-yl]-propyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-47-5P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-pyridin-4-ylmethylbenzamide **212629-48-6P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-50-0P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-52-2P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide **212629-54-4P**, 5-Chloro-N-(3-dimethylaminopropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-56-6P**, N-[2-[Bis-(2-hydroxyethyl)amino]ethyl]-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-58-8P** , 5-Chloro-N-(3-hydroxypropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-60-2P**, 5-Chloro-N-[3-(N,N-diethylamino)-2-hydroxypropyl]-2-(4-iodo-2-methylphenylamino)benzamide **212629-62-4P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-piperidin-1-ylethyl)benzamide **212629-64-6P**, 5-Bromo-N-(3-hydroxypropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-66-8P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-68-0P**, N-[2-[Bis-(2-hydroxyethyl)amino]ethyl]-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212629-69-1P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-71-5P**, 5-Chloro-N-(3-diethylaminopropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-73-7P**, 5-Chloro-N-(2-diisopropylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-75-9P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-77-1P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-(2-piperidin-1-ylethyl)benzamide **212629-78-2P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-piperazin-1-ylethyl)benzamide **212629-79-3P**, N-(2-Diethylaminoethyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-80-6P**, 5-Bromo-N-(3-dimethylaminopropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-81-7P**, N-(3-Hydroxypropyl)-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212629-82-8P**, 5-Fluoro-N-(3-hydroxypropyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-83-9P**, N-(3-Diethylaminopropyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-84-0P**, N-(3-Diethylaminopropyl)-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212629-85-1P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-86-2P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-(3-piperidin-1-ylpropyl)benzamide **212629-87-3P**, 5-Bromo-N-(2-diisopropylaminoethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212629-88-4P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(2-morpholin-4-ylethyl)benzamide **212629-89-5P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(3-piperidin-1-ylpropyl)benzamide **212629-90-8P**, N-[3-(N,N-Diethylamino)-2-hydroxypropyl]-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212629-91-9P** **212629-92-0P** **212629-93-1P**, N-(2-Diisopropylaminoethyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212630-00-7P**, N-Cyclopropyl-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide

212630-03-0P, 5-Fluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-methylphenylamino)benzamide **212630-06-3P**, 2-(4-Iodo-2-methylphenylamino)-5-nitro-N-(4-sulfamoylbenzyl)benzamide **212630-07-4P**, N-(2-Hydroxyethyl)-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-08-5P**, N-(2-Hydroxyethyl)-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212630-09-6P**, 2-(4-Iodo-2-methylphenylamino)-N-methyl-5-nitro-N-phenylbenzamide **212630-10-9P**, 5-Chloro-N-cyclopropyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-11-0P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-12-1P**, N-Allyl-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212630-14-3P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(4-sulfamoylbenzyl)benzamide **212630-15-4P**, N-Allyl-5-chloro-2-(4-iodo-2-methylphenylamino)benzamide **212630-16-5P**, N-Cyclopropyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212630-17-6P**, 5-Bromo-N-cyclopropyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-18-7P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-19-8P**, 5-Iodo-2-(4-iodo-2-methylphenylamino)-N-(4-sulfamoylbenzyl)benzamide **212630-20-1P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(4-sulfamoylbenzyl)benzamide **212630-21-2P**, N-Allyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **212630-22-3P**, N-Allyl-5-bromo-2-(4-iodo-2-methylphenylamino)benzamide **212630-23-4P**, 5-Fluoro-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-24-5P**, N-Cyclopropyl-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-25-6P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-27-8P**, N-Cyclohexyl-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-28-9P**, N-Allyl-5-iodo-2-(4-iodo-2-methylphenylamino)benzamide **212630-29-0P**, 5-Iodo-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-30-3P**, 2-(4-Iodo-2-methylphenylamino)-N-(3-methylbenzyl)-5-nitrobenzamide **212630-31-4P**, 5-Iodo-2-(4-iodo-2-methylphenylamino)-N-methyl-N-phenylbenzamide **212630-32-5P**, N-Cyclohexyl-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide **212630-33-6P**, 5-Chloro-N-cyclohexyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-34-7P**, 5-Bromo-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-35-8P**, 5-Bromo-N-cyclohexyl-2-(4-iodo-2-methylphenylamino)benzamide **212630-36-9P**, 5-Chloro-2-(4-iodo-2-methylphenylamino)-N-(3-methylbenzyl)benzamide **212630-37-0P**, N-Cyclohexyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide **277315-10-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(4-bromo or 4-iodo phenylamino)benzoic acid derivs. as MEK inhibitors by addn. of halobenzoic acids to haloanilines and optional redn. or amidation of the acid)

L16 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:335387 CAPLUS
DOCUMENT NUMBER: 132:334364

TITLE: Preparation of anthranilic acid amides as vascular endothelial growth factor receptor inhibitors.

INVENTOR(S): Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido; Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin; Ottow, Eckhard; Menrad, Andreas; Schirner, Michael

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany; Novartis Aktiengesellschaft

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

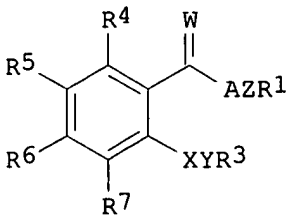
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027819	A2	20000518	WO 1999-EP8478	19991109
WO 2000027819	A3	20000817		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19910396	A1	20000907	DE 1999-19910396	19990303
DE 19910396	C2	20011213		
BR 9915553	A	20010814	BR 1999-15553	19991109
EP 1129074	A2	20010905	EP 1999-953967	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001002245	A	20010710	NO 2001-2245	20010507

PRIORITY APPLN. INFO.: GB 1998-24579 A 19981110
DE 1999-19910396 A 19990303
WO 1999-EP8478 W 19991109

OTHER SOURCE(S): MARPAT 132:334364

GI



I

AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q, alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl,

indazolyl, 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl; R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (prepn. given) was stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC50 = 0.05 .mu.M.

IT **267891-62-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

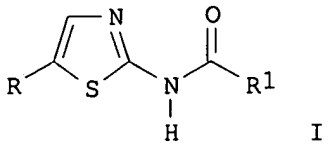
IT **267891-61-2P 267891-63-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

L16 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:314687 CAPLUS
DOCUMENT NUMBER: 132:334454
TITLE: Preparation of 2-amino-thiazole derivatives as antitumor agents
INVENTOR(S): Pevarello, Paolo; Amici, Raffaella; Traquandi, Gabriella; Villa, Manuela; Vulpetti, Anna; Isacchi, Antonella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026202	A1	20000511	WO 1999-EP8306	19991027
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1124810	A1	20010822	EP 1999-955931	19991027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9914958	A	20011218	BR 1999-14958	19991027
NO 2001002057	A	20010628	NO 2001-2057	20010426

PRIORITY APPLN. INFO.: GB 1998-23871 A 19981030
US 1998-823871 A 19981030
WO 1999-EP8306 W 19991027

OTHER SOURCE(S): MARPAT 132:334454
GI



I

AB The title compds. [I; R = halo, NO2, (un)substituted amino NH2, etc.; R1 = alkyl, alkenyl, 3-6 membered carbocycle, etc.], useful for **treating** cell proliferative **disorders** assocd. with an altered cell dependent kinase activity such as cancer, Alzheimer's **disease**, viral infections, autoimmune **diseases** or neurodegenerative **disorders**, were prepd. E.g., thiazole I [R = iso-Pr; R1 = 4-Me2NC6H4CH2] showed Ki of 0.1 .mu.M against cdk2/cyclin A complex.

IT **267656-17-7P 267656-22-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-amino-thiazole derivs. as antitumor agents)

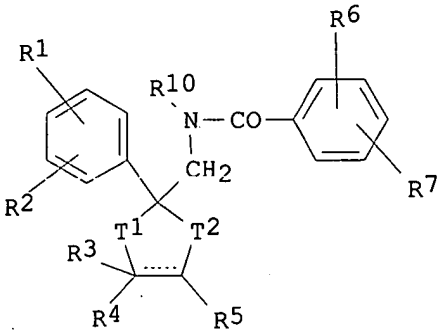
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:314533 CAPLUS
DOCUMENT NUMBER: 132:334285
TITLE: Preparation of phenyloxoazapropylcycloalkane derivatives and analogs as potassium channel inhibitors
INVENTOR(S): Baker, Robert K.; Chee, Jennifer; Bao, Jianming; Garcia, Maria L.; Kaczorowski, Gregory J.; Kotliar, Andrew; Kayser, Frank; Liu, Chou Juitsai; Miao, Shouwu; Rupprecht, Kathleen M.; Parsons, William H.; Schmalhofer, William A.; Claiborne, Christopher F.; Liverton, Nigel; Claremon, David A.; Thompson, Wayne J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000025770	A1	20000511	WO 1999-US24949	19991026

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1143965 A1 20011017 EP 1999-955159 19991026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: US 1998-106416P P 19981030
WO 1999-US24949 W 19991026
OTHER SOURCE(S): MARPAT 132:334285
GI



I

AB The title compds. I [T1 = (CH2)x; T2 = (CH2)y; dotted line indicates a single bond or double bond; x, y = 0 - 2; R1, R2, R6, R7 = halo, hydroxy, alkyl, etc.; R3, R4 = H, cyano, nitro, etc.; further details on R3 and R4 are given; R5 = H, halo, hydoxy, etc.; further details on R3 and R5 are given; R10 = H, etc.], useful as potassium channel inhibitors (no data), are prepd. I are useful in the **treatment** of autoimmune **disorders**, cardiac arrhythmias (no data), etc. Formulations are given.

IT **267405-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of phenyloxoazapropylcycloalkane derivs. and analogs with potassium channel inhibiting activity)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:210152 CAPLUS
DOCUMENT NUMBER: 132:251068
TITLE: Preparation of N-phenylthiopheneimidamides and analogs as NO synthase inhibitors and oxygen scavengers
INVENTOR(S): Bigg, Dennis; Chabrier De Lassauniere, Pierre-Etienne; Auvin, Serge; Harnett, Jeremiah; Ulibarri, Gerard
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'Applications Scientifiques (S.C.R.A.S, Fr.

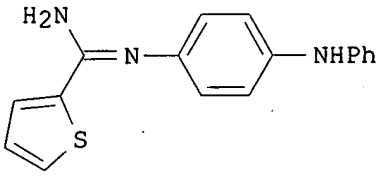
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017191	A2	20000330	WO 1999-FR2251	19990922
WO 2000017191	A3	20001026		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2784678	A1	20000421	FR 1998-11867	19980923
AU 9956315	A1	20000410	AU 1999-56315	19990922
BR 9913899	A	20010703	BR 1999-13899	19990922
EP 1115720	A2	20010718	EP 1999-943025	19990922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001001478	A	20010322	NO 2001-1478	20010322

PRIORITY APPLN. INFO.: FR 1998-11867 A 19980923
WO 1999-FR2251 W 19990922

OTHER SOURCE(S): MARPAT 132:251068

GI



II

AB R1Z1Z2ZNCRNH2 [I; R = CH2NO2, alkyl, (hetero)aryl, (di)(alkyl)amino, etc.; R1 = (un)substituted anilinophenyl, -phenoxyphenyl, -C-attached carbazolyl, etc.; Z = bond or phenylene; Z1 = bond, O, S, NH, CH2NH, CO, CONH, etc.; Z2 = bond, O, NH, oxyalkylene, (heteroatom-interrupted) alkylene, etc.] were prepd. Thus,4-(H2N)C6H4NHPh was amidated by Me 2-thiophenethiocarboximidate hydroiodide to give title compd. II.HI. Data for biol. activity of I were given.

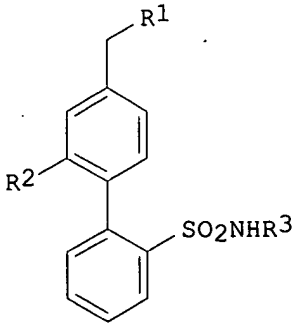
IT **262447-33-6P 262447-34-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-phenylthiopheneimidamides and analogs as NO synthase inhibitors and oxygen scavengers)

L16 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:34745 CAPLUS
DOCUMENT NUMBER: 132:93309
TITLE: Preparation of N-isoxazolyl biphenylsulfonamides and related compounds as dual angiotensin II and endothelin receptor antagonists.
INVENTOR(S): Murugesan, Natesan; Tellew, John E.; Macor, John E.; Gu, Zhengxiang
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 283 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001389	A1	20000113	WO 1999-US15063	19990701
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9950888	A1	20000124	AU 1999-50888	19990701
EP 1094816	A1	20010502	EP 1999-935406	19990701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9911621	A	20011016	BR 1999-11621	19990701
LT 4854	B	20011126	LT 2000-123	20001222
NO 2001000062	A	20010305	NO 2001-62	20010105

PRIORITY APPLN. INFO.: US 1998-91847P P 19980706
WO 1999-US15063 W 19990701

OTHER SOURCE(S): MARPAT 132:93309
GI



I

AB Title compds. (I; R1 = specified oxoimidazolyl, pyridoimidazolyl, pyridylamino, triazolyl, quinolinyloxy, etc.; R2 = H, halo, CHO, alkyl, haloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxy, cyano, OH, NO2, etc.; R3 = heteroaryl; with provisos), were prepd. as dual angiotensin II and endothelin receptor antagonists (no data). Thus, 4-BrC6H4CH2OH was coupled with [2-[[(4,5-dimethyl-3-isoxazolyl)[(2-methoxyethoxy)methyl]amino]sulfonyl]phenyl]boronic acid to give N-(4,5-dimethyl-3-isoxazolyl)-4'-(hydroxymethyl)-N-[(2-methoxyethoxy)methyl][1,1'-biphenyl]-2-sulfonamide. This was brominated to give 4'-bromomethyl-N-(4,5-dimethyl-3-isoxazolyl)-N-[(2-methoxyethoxy)methyl][1,1'-biphenyl]-2-sulfonamide, which reacted with 2-butyl-1,3-diazaspiro[4.4]non-1-en-4-one hydrochloride followed by deprotection to give 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)[1,1'-biphenyl]-2-sulfonamide.

IT **254739-90-7P 254742-75-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-isoxazolyl biphenylsulfonamides and related compds. as dual angiotensin II and endothelin receptor antagonists)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:795681 CAPLUS
DOCUMENT NUMBER: 132:35606
TITLE: Preparation of multibinding piperidinylindole derivatives as **therapeutic** agents that modulate 5-HT receptors
INVENTOR(S): Marquess, Daniel; Griffin, John H.; Choi, Seok-Ki
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 24
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964044	A1	19991216	WO 1999-US12751	19990607
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318894	AA	19991216	CA 1999-2318894	19990604
AU 9945435	A1	19991230	AU 1999-45435	19990604
EP 1003540	A1	20000531	EP 1999-928344	19990604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,				

PT, IE, FI

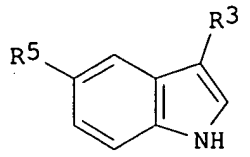
CA 2318055	AA	19991216	CA 1999-2318055	19990607
CA 2318286	AA	19991216	CA 1999-2318286	19990607
CA 2319068	AA	19991216	CA 1999-2319068	19990607
CA 2319159	AA	19991216	CA 1999-2319159	19990607
CA 2319174	AA	19991216	CA 1999-2319174	19990607
CA 2319175	AA	19991216	CA 1999-2319175	19990607
CA 2319496	AA	19991216	CA 1999-2319496	19990607
CA 2319751	AA	19991216	CA 1999-2319751	19990607
CA 2319756	AA	19991216	CA 1999-2319756	19990607
CA 2321170	AA	19991216	CA 1999-2321170	19990607
CA 2321273	AA	19991216	CA 1999-2321273	19990607
AU 9944234	A1	19991230	AU 1999-44234	19990607
AU 9944253	A1	19991230	AU 1999-44253	19990607
AU 9944265	A1	19991230	AU 1999-44265	19990607
AU 9945491	A1	19991230	AU 1999-45491	19990607
AU 9945520	A1	19991230	AU 1999-45520	19990607
AU 9946727	A1	19991230	AU 1999-46727	19990607
AU 9946751	A1	19991230	AU 1999-46751	19990607
AU 9946752	A1	19991230	AU 1999-46752	19990607
AU 9946754	A1	19991230	AU 1999-46754	19990607
EP 1019360	A1	20000719	EP 1999-930123	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1080080	A1	20010307	EP 1999-930158	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1083917	A1	20010321	EP 1999-927291	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1083918	A1	20010321	EP 1999-927317	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1083893	A1	20010321	EP 1999-927331	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1083888	A1	20010321	EP 1999-928425	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085887	A2	20010328	EP 1999-928349	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085870	A2	20010328	EP 1999-930157	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1094826	A1	20010502	EP 1999-930156	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1100519	A1	20010523	EP 1999-930155	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1107753	A1	20010620	EP 1999-928457	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CA 2319497	AA	19991216	CA 1999-2319497	19990608
CA 2319643	AA	19991216	CA 1999-2319643	19990608
CA 2319651	AA	19991216	CA 1999-2319651	19990608
CA 2320926	AA	19991216	CA 1999-2320926	19990608

CA 2321120	AA	19991216	CA 1999-2321120	19990608
CA 2321152	AA	19991216	CA 1999-2321152	19990608
CA 2319650	AA	19991229	CA 1999-2319650	19990608
AU 9943368	A1	19991230	AU 1999-43368	19990608
AU 9943376	A1	19991230	AU 1999-43376	19990608
AU 9946747	A1	19991230	AU 1999-46747	19990608
AU 9952039	A1	19991230	AU 1999-52039	19990608
AU 9946776	A1	20000110	AU 1999-46776	19990608
EP 1082289	A1	20010314	EP 1999-930185	19990608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1083921	A1	20010321	EP 1999-955430	19990608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085889	A2	20010328	EP 1999-928451	19990608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085847	A2	20010328	EP 1999-928520	19990608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085868	A1	20010328	EP 1999-930150	19990608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085894	A1	20010328	EP 1999-937155	19990608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1102597	A1	20010530	EP 1999-955431	19990608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6288055	B1	20010911	US 2000-499476	20000207

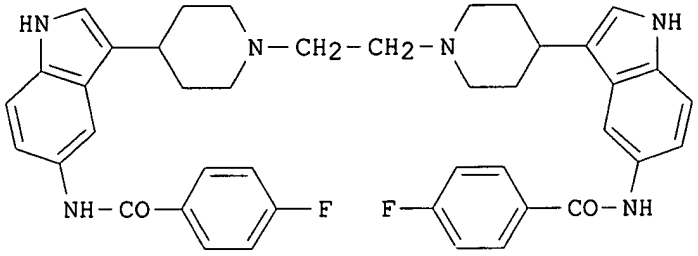
PRIORITY APPLN. INFO.:

US 1998-88466P	P	19980608
US 1998-92938P	P	19980715
US 1998-96606P	P	19980814
WO 1999-US11786	W	19990604
US 1999-327044	B1	19990607
WO 1999-US11803	W	19990607
WO 1999-US11805	W	19990607
WO 1999-US12669	W	19990607
WO 1999-US12673	W	19990607
WO 1999-US12727	W	19990607
WO 1999-US12728	W	19990607
WO 1999-US12730	W	19990607
WO 1999-US12731	W	19990607
WO 1999-US12751	W	19990607
WO 1999-US12778	W	19990607
WO 1999-US12782	W	19990607
WO 1999-US12626	W	19990608
WO 1999-US12770	W	19990608
WO 1999-US12876	W	19990608
WO 1999-US12907	W	19990608
WO 1999-US12989	W	19990608
WO 1999-US12994	W	19990608
WO 1999-US12995	W	19990608

OTHER SOURCE(S): MARPAT 132:35606
GI



I



II

AB Novel multibinding piperidinylindole compds, LpXq [where L = a ligand capable of binding to a 5-HT receptor; X = a linker; p = 2-10; q = 1-2], that modulate 5-HT receptors are disclosed. Preferred ligands are of formula I [where R3 and R5 = independently point of attachment of the linker, H, alkyl, heterocyclic, heteroaryl(alkyl), amidoalkyl, (di)alkylaminosulfonylalkyl, arylsulfonylalkyl, heterocyclosulfonylalkyl, arylcarbonylamino, alkylsulfonamido, or alkylsufonylalkyl]. Over 140 multibinding compds., formed from two piperidinylindole derivs. and a difunctional linker, were prepd. For example, condensation of 5-(4-fluorobenzoyl)amino-3-(piperidin-4-yl)-1H-indole with 1,2-dibromoethane at 72.degree. in DMF, after workup and chromatog., yielded the dimer II. Compds. of this invention are useful in the **treatment** of migraine, headache, itch, motion sickness, depression, emesis, memory loss, anxiolytic **disorders**, obesity, gastrointestinal **disorders**, and irritable bowel syndrome (no data). The multibinding compds. provide greater biol. and/or **therapeutic** effects than the aggregate of the unlinked ligands due to their multibinding properties (no data). Combinatorial arrays, methods of synthesis, and methods of assaying the dimeric and multimeric compds. are also embodied by the invention.

IT **252355-17-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of multibinding piperidinylindole derivs. as **therapeutic** agents that modulate 5-HT receptors and are useful for the **treatment** of migraine)

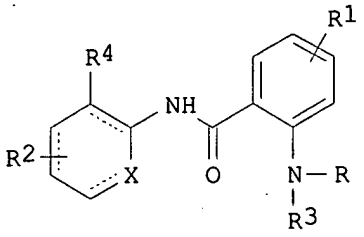
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:409260 CAPLUS
DOCUMENT NUMBER: 131:73440

TITLE: Preparation of aromatic amide derivatives as ACC inhibitor
INVENTOR(S): Igawa, Hiroshi; Nishimura, Masato; Okada, Keiji; Nakamura, Takashi
PATENT ASSIGNEE(S): Fujirebio, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 72 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11171848	A2	19990629	JP 1998-270721	19980925

PRIORITY APPLN. INFO.: JP 1997-277942 19970926
OTHER SOURCE(S): MARPAT 131:73440
GI



I

AB Title compds. [I; R = 3-CF3C6H4, C6H5(CH2)2, C6H5, CH3(CH2)5, CH3(CH2)3, CH3(CH2)2, CH3CH2, CH3, C6H5(CH2)3, etc.; R1 = H, CH3(CH2)4, 5-CH3(CH2)5CC, 5-CH3CH2CC, 5-(CH3)3CCC, 4-C6H5CH2O, 4-C6H5CC, 3-C6H5CC, 3-C6H5CC, 3-(4-NO2C6H4)CC, 3-(4-NCC6H4)CC, 3-(4-HOC6H4)CC, etc.; R2 = 5-OH, 5-Cl, 5-OMe, 5-Me, 5-Br, etc.; R3 = H, CH3, etc.; R4 = CO2H, AcNHSO2, CH3(CH2)4CONHSO2, 4-CF3C6H4CONHSO2, PHCONHSO2, (CH3)3CONHSO2, CH3(CH2)2NHCONHSO2, etc.; X = CH, N; dotted bond = single, double] are prepd. and tested as ACC (acetyl-CoA carboxylase) inhibitors in **treatment** of lipids oxidn. related **diseases**, such as myocardial infarction, cerebral infarction, and diabetes. The title compd. I (R = 3-CF3C6H4; R1 = H; R2 = H; R3 = H; X = CH; dotted bonds were double bonds) was prepd. with 72% yield from 3-EtO2CC6H4NH2 and 3-(2-HO2CC6H4NH)C6H4CF3.

IT **228580-56-1P 228580-57-2P 228580-59-4P**
228580-72-1P 228580-98-1P 228581-26-8P
228581-28-0P 228581-31-5P 228581-32-6P
228581-34-8P 228581-35-9P 228581-36-0P
228581-38-2P 228581-39-3P 228581-40-6P
228581-42-8P 228581-43-9P 228581-44-0P
228581-57-5P 228581-58-6P 228581-59-7P
228581-60-0P 228581-61-1P 228581-62-2P
228581-63-3P 228581-64-4P 228581-65-5P
228581-66-6P 228581-68-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of arom. amide derivs. as ACC inhibitor)
IT **228580-47-0P 228580-49-2P 228580-51-6P**
228580-54-9P 228580-58-3P 228580-60-7P
228580-62-9P 228580-89-0P 228581-54-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arom. amide derivs. as ACC inhibitor)
IT **228580-48-1P 228580-50-5P 228580-52-7P**
228580-53-8P 228580-55-0P 228580-61-8P
228580-63-0P 228581-08-6P 228581-27-9P
228581-29-1P 228581-30-4P 228581-33-7P
228581-37-1P 228581-56-4P 228581-69-9P
228581-70-2P 228581-71-3P 228581-72-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. amide derivs. as ACC inhibitor)

L16 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:350650 CAPLUS
DOCUMENT NUMBER: 131:18925
TITLE: Preparation of cyclic amine derivatives for inhibition of the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells
INVENTOR(S): Shiota, Tatsuki; Kataoka, Kenichiro; Imai, Minoru; Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Monoru; Endo, Noriaki; Tarby, Christine M.; Moree, Wil A.; Teig, Steven L.
PATENT ASSIGNEE(S): Teijin Ltd., Japan; Combichem, Inc.
SOURCE: PCT Int. Appl., 374 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

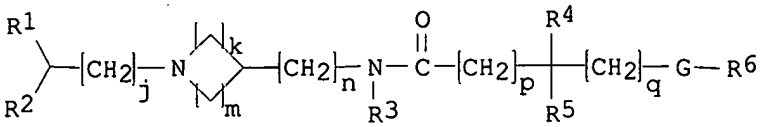
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925686	A1	19990527	WO 1998-US23254	19981117
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309328	AA	19990527	CA 1998-2309328	19981117
AU 9913741	A1	19990607	AU 1999-13741	19981117
AU 744685	B2	20020228		
EP 1030840	A1	20000830	EP 1998-957495	19981117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9814645	A	20010731	BR 1998-14645	19981117
JP 2001523661	T2	20011127	JP 2000-521070	19981117
NO 2000002486	A	20000718	NO 2000-2486	20000512

PRIORITY APPLN. INFO.: US 1997-972484 A 19971118

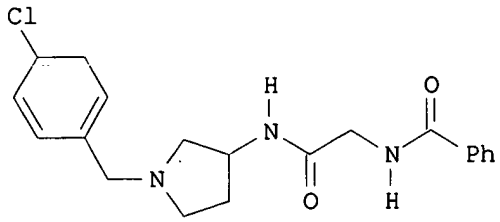
US 1998-55285 A 19980406
US 1998-133434 A 19980813
WO 1998-US23254 W 19981117

OTHER SOURCE(S): MARPAT 131:18925

GI



I



II

AB The title compds. [I; R1 = (un)substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxycarbonyl, etc.; j = 0-2; k = 0-2; m = 2-4; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH< Ph, etc.; p = 0-1; q = 0-1; G = CO, SO, CO2, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addn. salts which inhibit the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells and may be useful as a **therapeutic** drug and/or preventative drug in **diseases**, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prepd. Thus, reaction of N-benzoylglycine with 3-amino-1-(4-chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodiimide.HCl, 1-hydroxybenzotriazole and Et3N in CHCl3 afforded 95% II which showed 50-80% inhibition of MIP-1.alpha. binding to THP-1 cells at 10 .mu.M.

IT **226241-50-5P 226241-52-7P 226241-63-0P**
226241-64-1P 226241-65-2P 226241-66-3P
226241-67-4P 226241-68-5P 226241-69-6P
226241-82-3P 226241-83-4P 226242-54-2P
226243-23-8P 226243-25-0P 226243-27-2P
226243-29-4P 226245-19-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amine derivs. for inhibition of the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN

THE RE FORMAT

L16 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:282200 CAPLUS
DOCUMENT NUMBER: 130:311817
TITLE: Preparation of piperidine and piperazine glycoprotein IIb/IIIa antagonists
INVENTOR(S): Carceller, Elena; Jimenez, Pere J.; Salas, Jorge
PATENT ASSIGNEE(S): J. Uriach & Cia. S.A., Spain
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920606	A2	19990429	WO 1998-EP6751	19981023
WO 9920606	A3	19990429		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9921513	A1	19990510	AU 1999-21513	19981023
PRIORITY APPLN. INFO.:			ES 1997-2188	19971023
			WO 1998-EP6751	19981023

OTHER SOURCE(S): MARPAT 130:311817

AB R1Z(CH2)mZ1Z2R [I; R = CO2H or metabolically labile ester or amide group; R1 = 1-(4-piperidinyl)-4-piperidinyl, 4-(4-piperidinyl)-1-piperidinyl, 4-(4-piperidinyl)-1-piperazinyl, etc.; Z = phenylene, pyridinediyl, pyrimidinediyl, etc.; Z1 = CONH, NHCO, SO2NH, etc.; Z2 - (un)substituted alkylene; Z1 = CO and Z = 1,n-azacycloalkylene; m = 0 or 1] were prepd. Thus, N-protected 4-R1C6H4CO2H (R1 = 4,4'-bipiperidin-1-yl)(prepn. given) was amidated by H2NCH2CH2CO2Me to give, after deprotection and sapon., 4-R1C6H4CONHCH2CH2CO2H (R1 = 4,4'-bipiperidin-1-yl). Data for biol. activity of I were given.

IT **223535-05-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine and piperazine glycoprotein IIb/IIIa antagonists)

IT **223535-91-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidine and piperazine glycoprotein IIb/IIIa antagonists)

L16 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:48694 CAPLUS
DOCUMENT NUMBER: 130:124898

TITLE: Preparation of 2-(4-bromo or 4-iodo phenylamino)benzoic acid derivatives as MEK inhibitors

INVENTOR(S): Barrett, Stephen Douglas; Bridges, Alexander James; Cody, Donna Reynolds; Doherty, Annette Marian; Dudley, David Thomas; Saltiel, Alan Robert; Schroeder, Mel Conrad; Tecle, Haile

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2

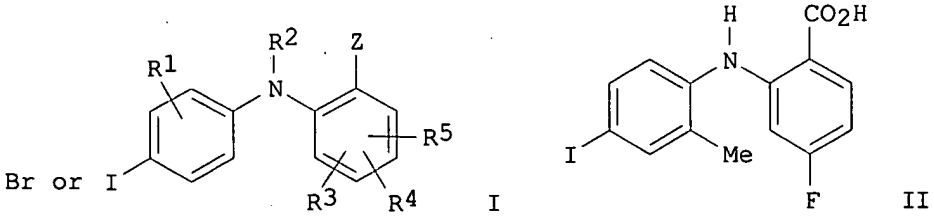
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901421	A1	19990114	WO 1998-US13105	19980624
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9882626	A1	19990125	AU 1998-82626	19980624
EP 993437	A1	20000419	EP 1998-932829	19980624
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9810385	A	20000905	BR 1998-10385	19980624
JP 2002509536	T2	20020326	JP 1999-507227	19980624
ZA 9805726	A	19990127	ZA 1998-5726	19980630
US 6310060	B1	20011030	US 2000-462319	20000105
US 2002022647	A1	20020221	US 2001-931596	20010816

PRIORITY APPLN. INFO.: US 1997-51433P P 19970701
WO 1998-US13105 W 19980624
US 2000-462319 A3 20000105

OTHER SOURCE(S): MARPAT 130:124898

GI



AB The title compds. [I; R1 = H, OH, C1-8 alkyl, etc.; R2 = H; R3-R5 = H, OH, halo, etc.; Z = COOR7, tetrazolyl, CONR6R7, etc.; R6, R7 = H, C1-8 alkyl, C2-8 alkenyl, etc.], which are potent inhibitors of MEK and, as such, are effective in **treating** cancer and other proliferative **diseases** such as inflammation, psoriasis and restenosis, as well as stroke, heart failure, and immunodeficiency

disorders, were prepd. and formulated. Thus, **treatment** of 2-amino-5-iodotoluene in THF with LDA in THF/heptane/ethylbenzene soln. followed by addn. of 2,4-difluorobenzoic acid in THF afforded II which showed IC50 of 0.019 .mu.M against MEK in vitro.

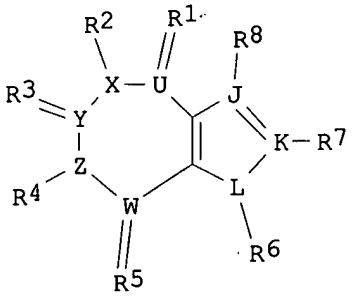
IT **212628-77-8P 212628-80-3P 212628-81-4P**
212628-82-5P 212628-83-6P 212628-85-8P
212628-86-9P 212628-87-0P 212628-88-1P
212628-89-2P 212628-90-5P 212628-91-6P
212628-92-7P 212628-93-8P 212628-94-9P
212628-99-4P 212629-00-0P 212629-01-1P
212629-02-2P 212629-03-3P 212629-04-4P
212629-05-5P 212629-06-6P 212629-07-7P
212629-08-8P 212629-09-9P 212629-10-2P
212629-11-3P 212629-12-4P 212629-13-5P
212629-14-6P 212629-15-7P 212629-16-8P
212629-17-9P 212629-18-0P 212629-19-1P
212629-20-4P 212629-21-5P 212629-22-6P
212629-23-7P 212629-24-8P 212629-25-9P
212629-26-0P 212629-27-1P 212629-28-2P
212629-29-3P 212629-30-6P 212629-31-7P
212629-32-8P 212629-33-9P 212629-34-0P
212629-35-1P 212629-36-2P 212629-37-3P
212629-38-4P 212629-39-5P 212629-40-8P
212629-41-9P 212629-42-0P 212629-43-1P
212629-44-2P 212629-46-4P 212629-47-5P
212629-48-6P 212629-50-0P 212629-52-2P
212629-54-4P 212629-56-6P 212629-58-8P
212629-60-2P 212629-62-4P 212629-64-6P
212629-66-8P 212629-68-0P 212629-69-1P
212629-71-5P 212629-73-7P 212629-75-9P
212629-77-1P 212629-78-2P 212629-79-3P
212629-80-6P 212629-81-7P 212629-82-8P
212629-83-9P 212629-84-0P 212629-85-1P
212629-86-2P 212629-87-3P 212629-88-4P
212629-89-5P 212629-90-8P 212629-91-9P
212629-92-0P 212629-93-1P 212630-00-7P
212630-03-0P 212630-06-3P 212630-07-4P
212630-08-5P 212630-09-6P 212630-10-9P
212630-11-0P 212630-12-1P 212630-14-3P
212630-15-4P 212630-16-5P 212630-17-6P
212630-18-7P 212630-19-8P 212630-20-1P
212630-21-2P 212630-22-3P 212630-23-4P
212630-24-5P 212630-25-6P 212630-27-8P
212630-28-9P 212630-29-0P 212630-30-3P
212630-31-4P 212630-32-5P 212630-33-6P
212630-34-7P 212630-35-8P 212630-36-9P
212630-37-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(4-bromo or 4-iodo phenylamino)benzoic acid derivs. as MEK inhibitors)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:785657 CAPLUS
DOCUMENT NUMBER: 130:38644
TITLE: Preparation of ring-expanded nucleosides and nucleotides as virucides and bactericides
INVENTOR(S): Hosmane, Ramachandra; Burns, Barry
PATENT ASSIGNEE(S): University of Maryland, USA; Nabi
SOURCE: U.S., 24 pp., Cont.-in-part of U.S. Ser. No. 268,570, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843912	A	19981201	US 1995-518278	19950823

PRIORITY APPLN. INFO.: US 1994-268570 19940706
OTHER SOURCE(S): MARPAT 130:38644
GI



I

AB The present invention relates to compns. comprising analogs of purine nucleosides contg. a ring-expanded ("fat") heterocyclic ring, I (R1, R3, R5 = independently NH, NH2, O, OH, S, SH. NH-alkyl, N-alkyl, O-alkyl, S-alkyl, NH-aryl, O-aryl, S-aryl; R2, R4, R7, R8 = independently , H, alkyl, substituted Ph, heterocycle, aralkyl; R6 = H, alkyl, Ph, substituted Ph, heterocycle, aralkyl, glycosyl, ; U, X, Y, Z, W, J, K, L = C, N) in place of purine, and an unmodified or modified sugar residue, pharmaceutically acceptable derivs. of such compns., as well as methods of use thereof. In particular, these compns. may be utilized in the **treatment** of certain cancers, bacterial, fungal, parasitic, and viral infections, including, but not limited to, Acquired Immunodeficiency Syndrome (AIDS) and hepatitis. 6-Amino-6-methoxycarbonyl-4,5,7,8-tetrahydro-6H-imidazo[4,5,e]-[1,4]-diazepine-5,8-dione was prepd. as adenosine deaminase and guanase inhibitor and tested for its anti-retroviral and antibacterial activities.

IT **169317-90-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of ring-expanded nucleosides and as virucides and bactericides)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:236274 CAPLUS
DOCUMENT NUMBER: 128:282780
TITLE: Preparation of heterocyclic inhibitors of microsomal triglyceride transfer protein
INVENTOR(S): Biller, Scott A.; Dickson, John K.; Lawrence, R. Michael; Magnin, David R.; Poss, Michael A.; Sulsky, Richard B.; Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 185 pp. Cont.-in-part of U.S. Ser. No. 391,901, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5739135	A	19980414	US 1995-472067	19950606
CA 2091102	AA	19930907	CA 1993-2091102	19930305
HU 67962	A2	19950529	HU 1993-627	19930305
HU 218419	B	20000828		
JP 06038761	A2	19940215	JP 1993-46499	19930308
EP 584446	A2	19940302	EP 1993-103697	19930308
EP 584446	A3	19950426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 670930	B2	19960808	AU 1993-34064	19930309
AU 9334064	A1	19930909		
US 5595872	A	19970121	US 1993-117362	19930903
US 5789197	A	19980804	US 1995-486924	19950607
US 5712279	A	19980127	US 1996-548811	19960111
IL 116917	A1	20000831	IL 1996-116917	19960126
CA 2213466	AA	19960829	CA 1996-2213466	19960201
WO 9626205	A1	19960829	WO 1996-US824	19960201
W: AU, BG, CA, CN, CZ, EE, FI, GE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647631	A1	19960911	AU 1996-47631	19960201
AU 699865	B2	19981217		
CN 1176640	A	19980318	CN 1996-192015	19960201
EP 886637	A1	19981230	EP 1996-903604	19960201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 11500442	T2	19990112	JP 1996-525679	19960201
ZA 9601340	A	19970911	ZA 1996-1340	19960220
US 5883099	A	19990316	US 1997-896872	19970721
US 6034098	A	20000307	US 1997-898304	19970721
US 6066650	A	20000523	US 1997-898303	19970721
FI 9703416	A	19970820	FI 1997-3416	19970820

NO 9703821	A	19970820	NO 1997-3821		19970820
LT 4367	B	19980825	LT 1997-152		19970919
LV 11951	B	19981120	LV 1997-171		19970919
PRIORITY APPLN. INFO.:			US 1993-117362	A2	19930903
			US 1994-284808	B2	19940805
			US 1995-391901	B2	19950221
			US 1992-847503	A	19920306
			US 1993-15449	B2	19930222
			US 1995-472067	A2	19950606
			WO 1996-US824	W	19960201

OTHER SOURCE(S): MARPAT 128:282780
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I-V; Q = C(O), S(O)2; X = CHR8, C(O), CHR9CHR10, CR9:CR10 (wherein R8-R10 = H, alkyl, alkenyl, etc.); Y = (CH2)m, C(O) (m = 2-3); R1 = alkyl, alkenyl, alkynyl, etc.; R2-R4 = H, halo, alkyl, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; R6 = H, C1-4 alkyl, C1-4 alkenyl] which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and **treating** atherosclerosis and related **diseases** such as hyperglycemia and obesity, were prepd. Thus, reaction of 1-(3,3-diphenylpropyl)-4-piperidinamine.HCl (prepn. described) with benzoyl chloride in the presence of Et3N in CH2Cl2 afforded 84% the title compd. III.HCl [Q = C(O); R1 = 3,3-diphenylpropyl; R5 = Ph; R6 = H]. Compds. I-V are effective at 5-500 mg/day.

IT **163267-27-4P 182429-76-1P 182429-79-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic inhibitors of microsomal triglyceride transfer protein)

L16 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:115356 CAPLUS
DOCUMENT NUMBER: 128:154011
TITLE: Preparation of 9-thioxanthenecarboxamides and 9-fluorenecarboxamides as inhibitors of microsomal triglyceride transfer protein
INVENTOR(S): Biller, Scott A.; Dickson, John K.; Lawrence, R. Michael; Magnin, David R.; Poss, Michael A.; Robl, Jeffrey A.; Sulsky, Richard B.; Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 98 pp., Cont.-in-part of U. S. Ser. No.472,067.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

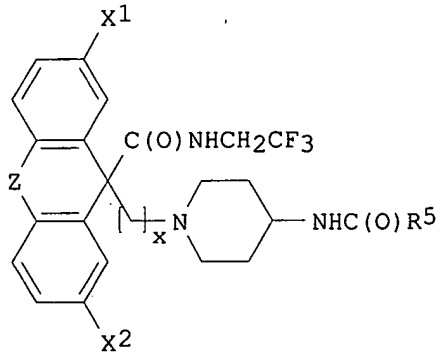
US 5712279	A	19980127	US 1996-548811	19960111
CA 2091102	AA	19930907	CA 1993-2091102	19930305
HU 67962	A2	19950529	HU 1993-627	19930305
HU 218419	B	20000828		
JP 06038761	A2	19940215	JP 1993-46499	19930308
EP 584446	A2	19940302	EP 1993-103697	19930308
EP 584446	A3	19950426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 670930	B2	19960808	AU 1993-34064	19930309
AU 9334064	A1	19930909		
US 5739135	A	19980414	US 1995-472067	19950606
ZA 9601340	A	19970911	ZA 1996-1340	19960220
LT 4367	B	19980825	LT 1997-152	19970919

PRIORITY APPLN. INFO.:

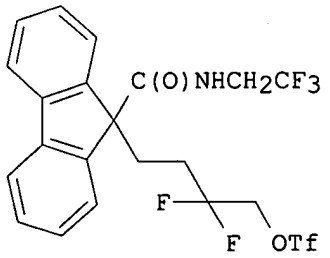
US 1995-391901	B2	19950221
US 1995-472067	A2	19950606
US 1992-847503	A	19920306
US 1993-117362	A2	19930903
US 1994-284808	B2	19940805

OTHER SOURCE(S): MARPAT 128:154011

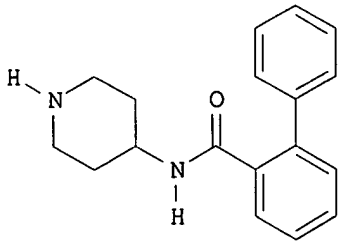
GI



I



II



III

AB The title compds. [I; Z = a bond, S; X1, X2 = H, halo; x = 2-6; (CH2)x is optionally substituted with 1-3 substituents such as alkyl or halo; R5 = (un)substituted heteroaryl, aryl, heterocycloalkyl, cycloalkyl] and their piperidine N-oxides, which inhibit microsomal triglyceride transfer protein and thus are useful for preventing or

treating atherosclerosis, pancreatitis secondary to hypertriglyceridemia, hyperglycemia, or obesity, and for lowering serum lipid levels, or preventing and/or **treating** hyperlipemia, hyperlipidemia, hyperlipoproteinemia, hypercholesterolemia, and/or hypertriglyceridemia, were prepd. Thus, reaction of 9-fluorenecarboxamide II (prepn. of both reagents is described) with piperidine III in PhMe/DMF afforded the title compd. I [Z = a bond; X1 = X2 = H; (CH2)x = (CH2)2CF2CH2; R5 = 2-biphenyl]. Compds. I are effective at 5-500 mg/day.

IT **182431-88-5P 182432-11-7P 182434-95-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 9-thioxanthenecarboxamides and 9-fluorenecarboxamides as inhibitors of microsomal triglyceride transfer protein)

L16 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:858623 CAPLUS
DOCUMENT NUMBER: 123:256357
TITLE: Preparation of anthranilic acid amide derivative as cyclic guanosine monophosphate-phosphodiesterase inhibitors
INVENTOR(S): Ozaki, Fumihiro; Ishibashi, Keiji; Ikuta, Hironori; Ishihara, Hiroki; Souda, Shigeru
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518097	A1	19950706	WO 1994-JP2262	19941227
W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2155662	AA	19950706	CA 1994-2155662	19941227
AU 9512824	A1	19950717	AU 1995-12824	19941227
AU 694465	B2	19980723		
EP 686625	A1	19951213	EP 1995-903999	19941227
EP 686625	B1	19990526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1118595	A	19960313	CN 1994-191311	19941227
JP 08188563	A2	19960723	JP 1994-336920	19941227
HU 74450	A2	19961230	HU 1995-2512	19941227
RU 2128644	C1	19990410	RU 1995-120194	19941227
AT 180468	E	19990615	AT 1995-903999	19941227
FI 9503968	A	19951019	FI 1995-3968	19950823
NO 9503305	A	19951025	NO 1995-3305	19950823
US 5716993	A	19980210	US 1995-507476	19950914

PRIORITY APPLN. INFO.: JP 1993-347092 A 19931227
JP 1994-299110 A 19941109
WO 1994-JP2262 W 19941227
OTHER SOURCE(S): MARPAT 123:256357

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilamide derivs. [I; R1, R2, R3, R4 = H, halo, OH, (halo)alkyl, (halo)alkoxy, nitro, hydroxyalkyl, cyano, (CH2)pNR9R10, S(O)qR13, (un)protected CO2H, (un)substituted tetrazolyl, CONH2, pyrazolyl, or imidazolyl; or adjacent two substituents selected from R1 - R4 together with the C atoms bonded to them forms a ring; wherein R9, R10 = H, (halo)alkyl, arylalkyl, heteroarylalkyl, acyl, (un)protected CO2H; or NR9R10 forms a ring; p = 0, 1-6; R13 = H, (halo)alkyl; q = 0, 1-2; R5, R6 = H, halo, OH, cyano, (halo)alkyl, (halo)alkoxy; or R5 and R6 together with the C atoms bonded to them form cycloalkane, oxolane, 1,3-dioxolane, or 1,4-dioxane ring; W = N, CH; R7, R8 = H, (halo)alkyl; or R1 and R7 together with the C atoms bonded to them form a ring optionally contg. other N, O, or S atom; A = H, (halo)alkyl, X(CH2)mZ; wherein X = CO, CS, CH2, SO2; Z = OH, (halo)alkoxy, cyano, halo, etc.; Y = O, S; n = 0, 1-6] or pharmacol. acceptable salts thereof are prepd. These compds. are useful for the **treatment** of ischemic heart **disease**, angina pectoris, hypertension, pulmonary hypertension, heart failure, and asthma. Thus, 2-nitro-5-chlorobenzoic acid was refluxed with SOCl2 in benzene for 4 h and concd. to give 2-nitro-5-chlorobenzoyl chloride which was amidated with piperonylamine in the presence of Et3N in THF to give a benzamide (II; R = NO2). This compd. was reduced by Fe powder in a mixt. of AcOH, H2O, and MeOH under gentle refluxing to give, after concn. and **treatment** with concd. HCl in EtOH, N-piperonylanthranilamide deriv. II. HCl (R = NH2). An anthranilamide deriv. (III) showed IC50 of 0.4 nM against cyclic guanosine monophosphate-phosphodiesterase prepn. from pig aorta.

IT **169043-59-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)

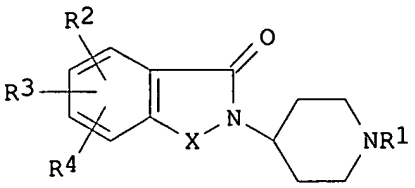
L16 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:568500 CAPLUS
DOCUMENT NUMBER: 123:169516
TITLE: Preparation of acylaminopiperidines and piperazines as inhibitors of microsomal triglyceride transfer protein.
INVENTOR(S): Wetterau, John R., II; Sharp, Daru Young; Gregg, Richard E.; Biller, Scott A.; Dickson, John K.; Lawrence, Michael R.; Lawson, John E.; Holava, Henry M.; Partyka, Richard A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: Eur. Pat. Appl., 134 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 643057	A1	19950315	EP 1994-113800	19940902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2091102	AA	19930907	CA 1993-2091102	19930305
ZA 9301601	A	19931005	ZA 1993-1601	19930305
HU 67962	A2	19950529	HU 1993-627	19930305
HU 218419	B	20000828		
JP 06038761	A2	19940215	JP 1993-46499	19930308
EP 584446	A2	19940302	EP 1993-103697	19930308
EP 584446	A3	19950426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 670930	B2	19960808	AU 1993-34064	19930309
AU 9334064	A1	19930909		
US 5595872	A	19970121	US 1993-117362	19930903
CA 2131430	AA	19950304	CA 1994-2131430	19940902
FI 9404048	A	19950304	FI 1994-4048	19940902
NO 9403260	A	19950306	NO 1994-3260	19940902
AU 9471642	A1	19950316	AU 1994-71642	19940902
AU 690125	B2	19980423		
ZA 9406772	A	19950403	ZA 1994-6772	19940902
JP 07165712	A2	19950627	JP 1994-210057	19940902
CN 1106003	A	19950802	CN 1994-115640	19940902
HU 70613	A2	19951030	HU 1994-2542	19940902
US 5789197	A	19980804	US 1995-486924	19950607

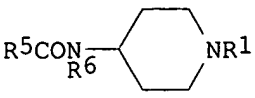
PRIORITY APPLN. INFO.: US 1993-117362 A 19930903
US 1992-847503 A 19920306
US 1993-15449 B2 19930222

OTHER SOURCE(S): MARPAT 123:169516

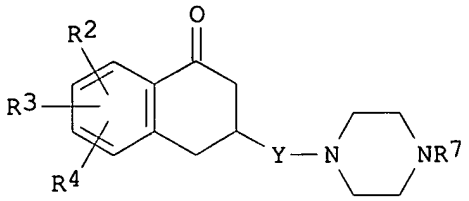
GI



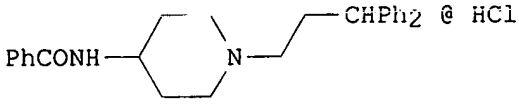
I



II



III



IV

AB Title compds. [I-III; X = CHR8, CHR9CHR10, CR9:CR10; R8-R10 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl; Y = (CH2)m, CO; m = 2, 3; R1 = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, diarylalkyl, diarylalkenyl, diarylalkynyl, diarylalkylaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, etc.; R2-R4 = H, halo, alkyl, haloalkyl, alkenyl, alkoxy, aryloxy, aryl, arylalkyl, alkylthio, arylthio, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, OH, haloalkyl; R5 = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, cycloalkenyl, cycloalkenylalkyl, heteroarylcarbonyl, etc.; R6 = H, alkyl, alkenyl; R7 = alkyl, aryl, aralkyl, oxoalkyl, aryloxoalkyl], were prepd. as inhibitors of microsomal triglyceride transfer protein. Thus, tert-Bu 4-piperidinylcarbamate (prepn. given) and 3,3-diphenyl-1-propanol tosylate (prepn. given) were stirred with K2CO3 in Me2CHOH overnight to give 76% tert-Bu [1-(3,3-diphenylpropyl)-4-piperidinyl]carbamate. This was deprotected with 4N HCl in dioxane and the product was **treated** with PhCOCl and Et3N in CH2Cl2 to give title compd. (IV).

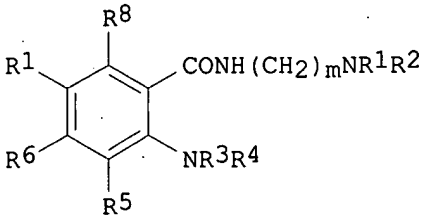
IT **163267-27-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acylaminopiperidines and piperazines as inhibitors of microsomal triglyceride transfer protein)

L16 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:242543 CAPLUS
DOCUMENT NUMBER: 122:31131
TITLE: Preparation of benzamides in gastro-intestinal pathologies.

INVENTOR(S): Baldazzi, Claudia; Piani, Silvano; Barbanti, Maria; Marchi, Egidio
PATENT ASSIGNEE(S): Alfa Wassermann S.p.A., Italy
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 620210	A1	19941019	EP 1994-105463	19940408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, PT, SE				
CA 2120214	AA	19941017	CA 1994-2120214	19940329
JP 06321881	A2	19941122	JP 1994-73637	19940412

PRIORITY APPLN. INFO.: IT 1993-BO154 19930416
OTHER SOURCE(S): MARPAT 122:31131
GI



I

AB Title compds. I (m = 1-4; R1, R2 = H, C1-6 alkyl, R1R2N = heterocyclyl; R3, R4 = H, C1-10 alkyl, PhCH2; R5-8 = H, C1-6 alkyl, halo) and salts thereof, are prepd. I have prokinetic effects, such as stimulation on gastro-intestinal motility, and possess anti-emetic qualities, without side effects involving the central nervous system. To 5-chloroisatoic anhydride in dimethylacetamide was added NaH to give 5-chloroN-methylisatoic anhydride to which in dioxane was added N,N-diethylaminoethylamine to give I (m = 2, R1 = R2 = Et, R3 = R5-7 - H, R4 = Me, R8 = Cl) converted to the citrate. The biol. activity of I was demonstrated both in vitro and in vivo.

IT **159619-35-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamides in gastro-intestinal pathologies.)

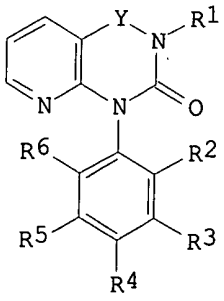
L16 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:164213 CAPLUS
DOCUMENT NUMBER: 120:164213
TITLE: Pyrido[2,3-d]pyrimidinone phosphodiesterase inhibitors
INVENTOR(S): Wilhelm, Robert Stephen; Chin, Ronnie Lipp; Devens, Bruce Henry; Alvarez, Robert
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9319068	A1	19930930	WO 1993-US2273	19930318
W: AU, CA, FI, HU, JP, KR, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5264437	A	19931123	US 1992-855179	19920320
AU 9339186	A1	19931021	AU 1993-39186	19930318
AU 669520	B2	19960613		
ZA 9301945	A	19940918	ZA 1993-1945	19930318
EP 631580	A1	19950104	EP 1993-908322	19930318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 67552	A2	19950428	HU 1994-2653	19930318
JP 07504676	T2	19950525	JP 1993-516634	19930318
JP 3241384	B2	20011225		
IL 105092	A1	19980615	IL 1993-105092	19930318
CN 1040327	B	19981021	CN 1993-103352	19930318
FI 9404305	A	19940916	FI 1994-4305	19940916
NO 9403456	A	19940916	NO 1994-3456	19940916

PRIORITY APPLN. INFO.: US 1992-855179 A 19920320
WO 1993-US2273 A 19930318

OTHER SOURCE(S): MARPAT 120:164213
GI



I

AB The title compds. I [R1 = H, (CH2)nR7; R7 = aryl, heteroaryl; n = 1, 2; R2-R6 = H, lower alkyl, halogen, CO2H, CO2Me, carbamoyl, etc.; Y = CH2, CO; only one of R2-R6 may be other than H], useful for the **treatment** of asthma, pain, inflammatory **diseases**, etc., are prepd. and I-contg. formulations presented. Thus, I (R1= 3-pyridylmethyl, R2 = R4 = R6 = H, R3 = NO2, Y = CO) was prepd. and demonstrated 50% inhibitory concn. for human lymphocyte cAMP phosphodiesterase (PDE 4) of 0.00026 .mu.M.

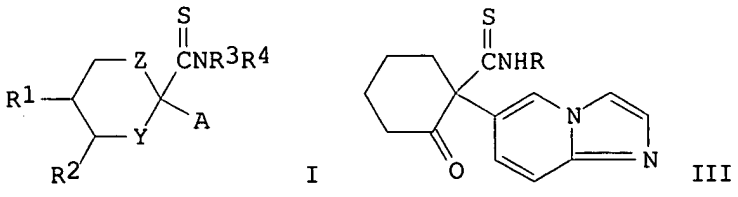
IT **152814-88-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (
(prepn. and reaction of, in prepn. of phosphodiestera
inhibitors)

L16 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:625951 CAPLUS
DOCUMENT NUMBER: 119:225951
TITLE: Preparation of cyclohexane- and tetrahydro(thio)pyranthioformamide derivatives and analogs as ATP-sensitive potassium channel openers
INVENTOR(S): Kabasawa, Yasuhiro; Ozaki, Fumihiro; Ishibashi, Keiji; Hasegawa, Takashi; Oinuma, Hitoshi; Ogawa, Toshiaki; Adachi, Hideyuki; Kato, Hiroshi; Kodama, Kotaro; et al.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9308168	A1	19930429	WO 1992-JP1297	19921006
W: AU, CA, FI, HU, KR, NO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
JP 06025154	A2	19940201	JP 1992-265948	19921005
AU 9226742	A1	19930521	AU 1992-26742	19921006
AU 661044	B2	19950713		
EP 609442	A1	19940810	EP 1992-920995	19921006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
HU 66229	A2	19941028	HU 1994-1074	19921006
RU 2125055	C1	19990120	RU 1994-20984	19921006
KR 9711277	B1	19970709	KR 1994-71154	19940409
NO 9401294	A	19940614	NO 1994-1294	19940411
FI 9401681	A	19940412	FI 1994-1681	19940412
US 5444066	A	19950822	US 1994-211701	19940426
US 5498634	A	19960312	US 1995-380589	19950130
US 5606061	A	19970225	US 1995-531335	19950920

PRIORITY APPLN. INFO.:
JP 1991-264622 A 19911014
JP 1992-197 A 19920106
WO 1992-JP1297 A 19921006
US 1994-211701 A3 19940426
US 1995-380589 A3 19950130

OTHER SOURCE(S): MARPAT 119:225951
GI



I III

AB The title compds. [I; Y = O, S(O)n (wherein n = 0-2), CO, CS, (un)substituted C(:CH2), C(:NH), CH2; Z = O, S(O)m (wherein m =

0-2), (CH2)p (wherein p = 0-2); A = (un)substituted aryl, thienyl, furyl, benzofurazanyl, pyrrolyl, imidazolyl, triazolyl, tetrazolyl, pyridinyl, pyrazolyl, isoxazolyl, isothiazolyl, oxazolyl, benzimidazolyl, imidazopyridyl, imidazopyrazinyl, imidazopyrimidinyl, etc., provided that when Y or Z = O or S A .noteq. unsubstituted imidazolyl; R1, R2 = H, lower alkyl, (un)substituted arylalkyl or heteroarylalkyl, or R1 R2 forms a benzene ring; R3, R4 = H, lower alkyl, cycloalkyl, lower alkoxy, OH, aryl, arylalkyl, heteroaryl, heteroarylalkyl, or R3R4 forms a ring optionally contg. O, N, or S], useful as antihypertensives and antiasthmatics and for the **treatment** of angina pectoris, are prepd. Thus, Grignard reaction of 6-bromoimidazo[1,2-a]pyridine with EtMgBr in refluxing THF followed by addn. reaction with 2-methoxycyclohexanone and hydrolysis with concd. H2SO4 to give 2-(imidazo[1,2-a]pyridin-6-yl)cyclohexanone (II). Stirring II with KOCMe3 in THF followed by addn. reaction with MeNCS in THF-DMF gave a imidazo[1,2-a]pyridinylcyclohexanecarbothiamide deriv. [(.+-.)-III; R = Me] which was resolved by (+)-dibenzoyl-D-tartaric acid monohydrate to give(-)-III (R = Me) (IV). IV and (-)-III (R = Et) showed -log(IC50) of 5.58 and 6.16, resp., for shortening the action potential duration time (APD90) in isolated cardiac papillary muscles of guinea pigs and at 1 mg/kg p.o. reduced 22.1 and 40.9%, resp., the blood pressure of spontaneously hypertensive rats (SHR). A total of 25 I were prepd.

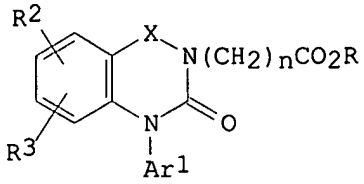
IT **150780-12-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as ATP-sensitive potassium channel opener)

L16 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:559174 CAPLUS
DOCUMENT NUMBER: 115:159174
TITLE: Preparation of quinazoline-3-alkanoates as platelet aggregation and aldose reductase inhibitors
INVENTOR(S): Fujimori, Shizuyoshi; Ohnota, Michiro; Hirata, Yoshihiro; Murakami, Koji
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9109024	A1	19910627	WO 1990-JP1600	19901210
W: AU, CA, HU, KR, US				
RW: BE, CH, DE, ES, FR, GB, IT, NL, SE				
JP 03181469	A2	19910807	JP 1989-321097	19891211
JP 07047582	B4	19950524		
CA 2046603	AA	19910612	CA 1990-2046603	19901210
AU 9168905	A1	19910718	AU 1991-68905	19901210
AU 640194	B2	19930819		
EP 456835	A1	19911121	EP 1991-900052	19901210
EP 456835	B1	19960515		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
HU 58304	A2	19920228	HU 1991-2399	19901210

HU 207999	B	19930728		
ES 2087991	T3	19960801	ES 1991-900052	19901210
US 5234928	A	19930810	US 1991-721610	19910717
PRIORITY APPLN. INFO.:			JP 1989-321097	19891211
			WO 1990-JP1600	19901210

OTHER SOURCE(S): MARPAT 115:159174
GI



I

AB The title compds. [I; R = H, carboxy-protective group; R1 = alkyl, alkenyl, alkynyl, alkoxy, alkylthio, halo, (substituted) Ph, heterocyclyl, or benzoyl, naphthyl, cycloalkyl; R2, R3 = H, halo, alkyl, alkoxy, (substituted) aralkyl, NO2, imidazolyl, imidazolylmethyl, NR4R5; R4, R5 = H, alkyl; or NR4R5 = 5- or 6-membered heterocyclyl optionally contg. other heteroatom(s); X = CO, C(S), (alkyl-substituted) CH2; A = alkylene, alkenylene; n = 1-3], useful for **treatment** of thrombosis, heart **diseases**, or diabetes complications, are prepd. Thus, condensation of H2NCH2CO2Et.HCl with 6-chloro-2H-3,1-benzoxazine-2,4(1H)-dione in dioxane contg. Et3N and cyclocondensation of the resulting 2,5-(H2N)ClC6H3CONHCH2CO2Et with N,N'-carbonyldiimidazole in dioxane at 150.degree. gave Et 6-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolineacetate which was alkylated with 2-ClC6H4CH2Cl in the presence of NaH in DMF at 70.degree. to give Et 6-chloro-1-(4-chlorophenyl)methyl)-1,4-dihydro-2,4-dioxo-3(2H)-quinazolineacetate. A total of 196 I were prepd. and in vitro inhibited aldose reductase with IC50 of 10-7 - 10-8 M and arachidonic acid-induced rabbit's platelet aggregation with IC50 of 10-5 - 10-7 M.

IT **136148-82-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for aldose reductase and platelet aggregation inhibitor quinazolinealkanoic acid deriv.)

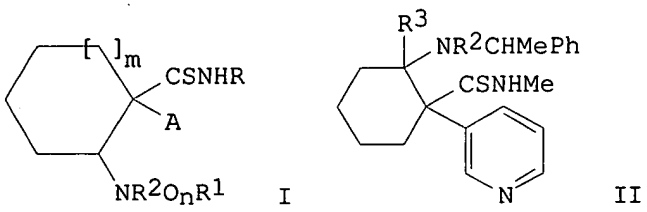
IT **136148-82-8**
RL: RCT (Reactant)
(reaction of, in prepn. of aldose reductase and platelet aggregation inhibitor quinazolinealkanoic acid deriv.)

L16 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:207038 CAPLUS
DOCUMENT NUMBER: 114:207038
TITLE: Preparation of 1-pyridyl-2-(substituted amino)cyclohexanecarbothioamides and analogs as smooth muscle relaxants
INVENTOR(S): Hart, Terance William; Vacher, Bernard Yvon Jack; Walsh, Roger John Aitchison
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
SOURCE: Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 403398	A1	19901219	EP 1990-401735	19900615
EP 403398	B1	19941130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9057128	A1	19901220	AU 1990-57128	19900614
ZA 9004621	A	19910327	ZA 1990-4621	19900614
CA 2019106	AA	19901216	CA 1990-2019106	19900615
JP 03063260	A2	19910319	JP 1990-157400	19900615
HU 54983	A2	19910429	HU 1990-3875	19900615
ES 2064681	T3	19950201	ES 1990-401735	19900615
US 5276045	A	19940104	US 1992-860599	19920330
PRIORITY APPLN. INFO.:			GB 1989-13863	19890616
			GB 1989-13864	19890616
			US 1990-538714	19900615

OTHER SOURCE(S): MARPAT 114:207038
GI



I II

AB The title compds. [I; A = (un)substituted N-contg. heterocyclyl, Ph; R = alkyl; when n = 0, R1 = H, acyl, (un)substituted (cyclo)alkyl, aryl, etc.; when n = 1, R1 = (un)substituted alkyl, PhCH2, naphthylmethyl, pyridylmethyl, etc.; R2 = groups cited for R1 (n = 0); n = 0, 1; m = 0-2] were prepd. for **prophylaxis** and/or **treatment** of **disorders** assocd. with vascular, respiratory, or gastrointestinal smooth muscle contraction. Thus, 2-(3-pyridyl)cyclohexanone was condensed with (R)-PhMeCHNH2 and the product **treated**, sequentially, with BuLi and MeNCS in THF to give pyridylcyclohexanecarbothioamide II (R2R3 = bond) which was reduced with NaBH3CN to give (2R,1S)-II (R2 = R3 = H) which had EC90 of 10-5 .mu.M for redn. of K+-induced contractions of rat aorta strips.

IT **133667-58-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of smooth muscle relaxants)

IT **133667-59-1P 133670-75-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as smooth muscle relaxant)

L16 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:156252 CAPLUS
DOCUMENT NUMBER: 106:156252

TITLE: Potential antitumor agents. 50. In vivo solid-tumor activity of derivatives of N-[2-(dimethylamino)ethyl]acridine-4-carboxamide

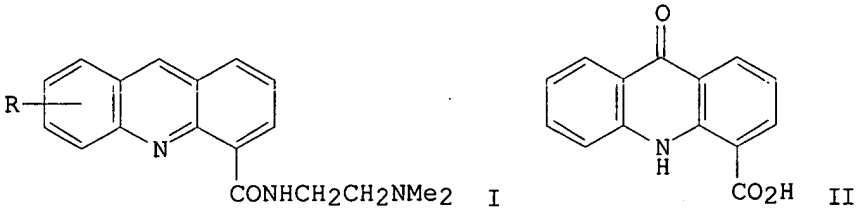
AUTHOR(S): Atwell, Graham J.; Rewcastle, Gordon W.; Baguley, Bruce C.; Denny, William A.

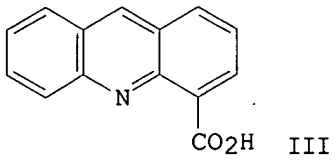
CORPORATE SOURCE: Sch. Med., Univ. Auckland, Auckland, N. Z.

SOURCE: J. Med. Chem. (1987), 30(4), 664-9
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:156252

GI





AB The synthesis, physicochem. properties, and antitumor activity of a series of N-[2-(dialkylamino)alkyl]acridine-4-carboxamides (e.g., I; R = H, 5-Cl, 8-Me) are reported. Thus, the K salt of oxoacridancarboxylic acid II was **treated** with Al amalgam in aq. EtOH, followed by **treatment** with HCl and FeCl3, to give 64% the acridinecarboxylic acid III, which was **treated** with 1,1'-carbonyldiimidazole in DMF and then Me2NCH2CH2NH2 to give 60% I (R = H). The title compds. bind to DNA by intercalation, but exist under physiol. conditions as monocations due to the weakly basic acridine chromophore (pKa = 3.5-4.5). The acridine-4-carboxamides show very broad structure-activity relationships (SAR) for antileukemic activity, with substituents at nearly all acridine positions proving acceptable. The compds. also show remarkable activity against the Lewis lung solid tumor in vivo, with several analogs (e.g., I; R = H) capable of effecting 100% cures of the advanced **disease**. The broad SAR and high solid-tumor activity of the 9-acridine-4-carboxamides imply they should be considered as a completely new class of antitumor agent.

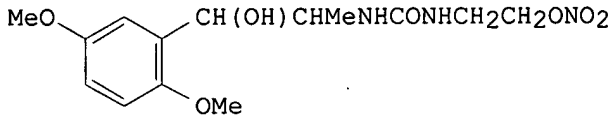
IT **89459-32-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and intramol. cyclocondensation of)

L16 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:592973 CAPLUS

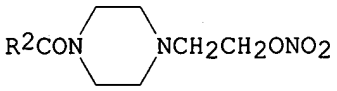
DOCUMENT NUMBER: 91:192973
TITLE: 2-(Substituted amino)ethanol nitrate esters
INVENTOR(S): Nagano, Hiroyuki; Matsunaga, Isao; Shindo, Minoru
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54081222	A2	19790628	JP 1977-147109	19771209

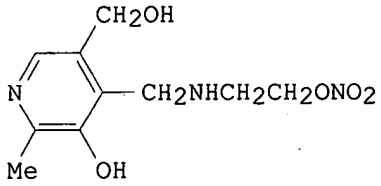
GI



II



III



IV

AB Nineteen nitrate esters RR1NCH2CH2ONO2 (I; RR1N = 3,4,5-trimethoxybenzamido, o-AcOC6H4CONH, o-EtO2CC6H4O2CNH, 3-pyridinesulfonamido, 2,3-pyridinedicarboximido, etc.), e.g., II, III.HCl (R2 = 2-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-pyridyl), or IV.HCl, useful for **treating** circulatory **disorders** (no data), were prepd. by, e.g., acylating H2NCH2CH2ONO2 (V). IV.HCl was prepd. by NaBH4 redn. of a Schiff base from pyridoxal and V. Thus, 20 mL C6H6 satd.with COCl2 was **treated** dropwise with 1 g V and 1 g Et3N in Et2O, excess COCl2 was removed, and the mixt. was stirred with 2.47 g methoxamine hydrochloride and aq. NaHCO3 in EtOAc to give 0.5 g II.

IT **71908-18-4P 71908-19-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=> sel hit l16 1-43 rn
E1 THROUGH E314 ASSIGNED

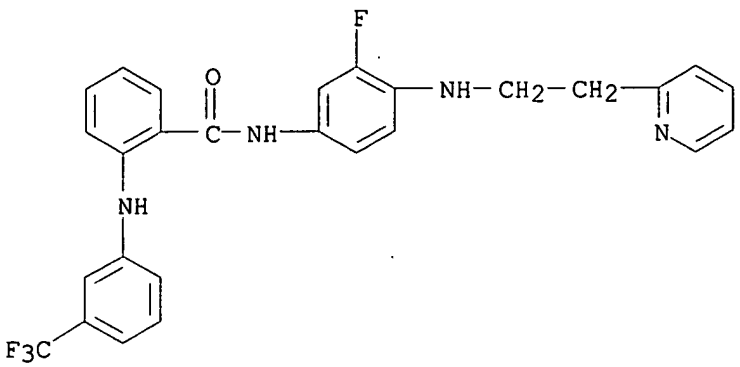
FILE 'REGISTRY' ENTERED AT 14:48:45 ON 31 MAY 2002
L17 314 SEA FILE=REGISTRY ABB=ON PLU=ON (226241-69-6/BI OR 212628-77-8/BI OR 212628-80-3/BI OR 212628-81-4/BI OR 212628-82-5/BI OR 212628-83-6/BI OR 212628-85-8/BI OR 212628-86-9/BI OR 212628-87-0/BI OR 212628-88-1/BI OR

212628-89-2/BI OR 212628-90-5/BI OR 212628-91-6/BI OR
212628-92-7/BI OR 212628-93-8/BI OR 212628-94-9/BI OR
212628-99-4/BI OR 212629-00-0/BI OR 212629-01-1/BI OR
212629-02-2/BI OR 212629-03-3/BI OR 212629-04-4/BI OR
212629-05-5/BI OR 212629-06-6/BI OR 212629-07-7/BI OR
212629-08-8/BI OR 212629-09-9/BI OR 212629-10-2/BI OR
212629-11-3/BI OR 212629-12-4/BI OR 212629-13-5/BI OR
212629-14-6/BI OR 212629-15-7/BI OR 212629-16-8/BI OR
212629-17-9/BI OR 212629-18-0/BI OR 212629-19-1/BI OR
212629-20-4/BI OR 212629-21-5/BI OR 212629-22-6/BI OR
212629-23-7/BI OR 212629-24-8/BI OR 212629-25-9/BI OR
212629-26-0/BI OR 212629-27-1/BI OR 212629-28-2/BI OR
212629-29-3/BI OR 212629-30-6/BI OR 212629-31-7/BI OR
212629-32-8/BI OR 212629-33-9/BI OR 212629-34-0/BI OR
212629-35-1/BI OR 212629-36-2/BI OR 212629-37-3/BI OR
212629-38-4/BI OR 212629-39-5/BI OR 212629-40-8/BI OR
212629-41-9/BI OR 212629-42-0/BI OR 212629-43-1/BI OR
212629-44-2/BI OR 212629-46-4/BI OR 212629-47-5/BI OR
212629-48-6/BI OR 212629-50-0/BI OR 212629-52-2/BI OR
212629-54-4/BI OR 212629-56-6/BI OR 212629-58-8/BI OR
212629-60-2/BI OR 212629-62-4/BI OR 212629-64-6/BI OR
212629-66-8/BI OR 212629-68-0/BI OR 212629-69-1/BI OR
212629-71-5/BI OR 212629-73-7/BI OR 212629-75-9/BI OR
212629-77-1/BI OR 212629-78-2/BI OR 212629-79-3/BI OR
212629-80-6/BI OR 212629-81-7/BI OR 212629-82-8/BI OR
212629-83-9/BI OR 212629-84-0/BI OR 212629-85-1/BI OR
212629-86-2/BI OR 212629-87-3/BI OR 212629-88-4/BI OR
212629-89-5/BI OR 212629-90-8/BI OR 212629-91-9/BI OR
212629-92-0/BI OR 212629-93-1/BI OR 212630-00-7/BI OR
212630-03-0/BI OR 212630-06-3/BI OR 212

Random RNs/ STRs displayed

=> d
1,2,5-7,14-16,23,51,52,54,55,57,58,63,66-71,73-76,79,81,82,84,91-94,130,150,151,156,
155,167,169,201,280,296-310,312,313 ide can

L17 ANSWER 1 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **408369-40-4** REGISTRY
CN Benzamide, N-[3-fluoro-4-[[2-(2-pyridinyl)ethyl]amino]phenyl]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-[3-Fluoro-4-[[2-(2-pyridinyl)ethyl]amino]phenyl]-2-[3-(trifluoromethyl)anilino]benzamide
FS 3D CONCORD
MF C27 H22 F4 N4 O
SR CA
LC STN Files: CA, CAPLUS

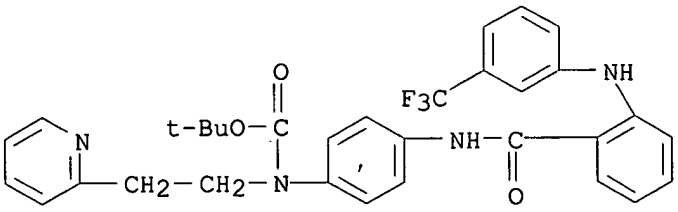


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:294739

L17 ANSWER 2 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **408365-70-8** REGISTRY
CN Carbamic acid, [2-(2-pyridinyl)ethyl][4-[[2-[[3-(trifluoromethyl)phenyl]amino]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN tert-Butyl 2-(2-pyridinyl)ethyl[4-[[2-[3-(trifluoromethyl)anilino]benzoyl]amino]phenyl]carbamate
FS 3D CONCORD
MF C32 H31 F3 N4 O3
SR CA
LC STN Files: CA, CAPLUS



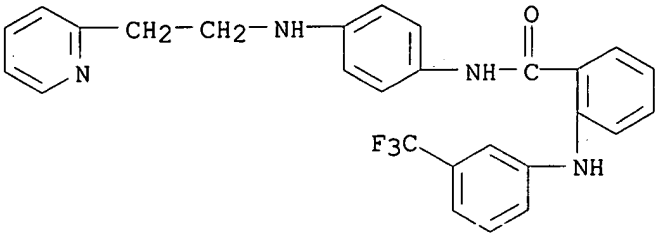
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:294739

L17 ANSWER 5 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **408364-90-9** REGISTRY

CN Benzamide, N-[4-[[2-(2-pyridinyl)ethyl]amino]phenyl]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-[4-[[2-(2-Pyridinyl)ethyl]amino]phenyl]-2-[3-(trifluoromethyl)anilino]benzamide
FS 3D CONCORD
MF C27 H23 F3 N4 O
SR CA
LC STN Files: CA, CAPLUS



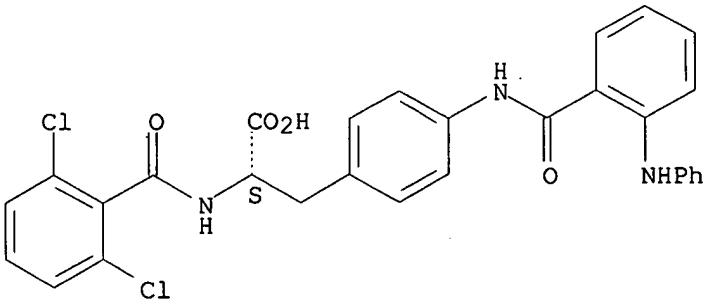
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:294739

L17 ANSWER 6 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **401905-99-5** REGISTRY
CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[[2-(phenylamino)benzoyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H23 Cl2 N3 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

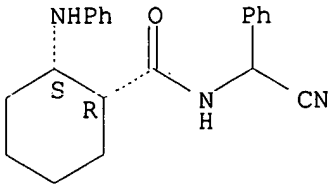
1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:217047

L17 ANSWER 7 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **381240-33-1** REGISTRY
CN Cyclohexanecarboxamide, N-(cyanophenylmethyl)-2-(phenylamino)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H23 N3 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Relative stereochemistry.

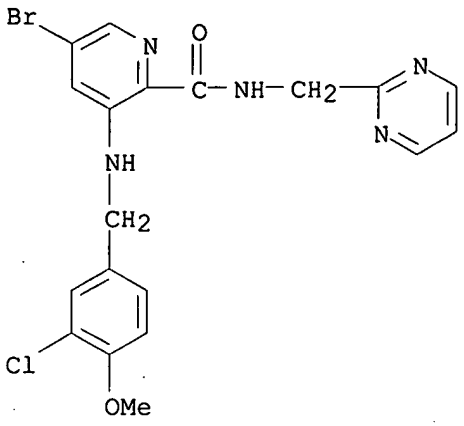


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:53544

L17 ANSWER 14 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **372118-10-0** REGISTRY
CN 2-Pyridinecarboxamide, 5-bromo-3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H17 Br Cl N5 O2
SR CA
LC STN Files: CA, CAPLUS

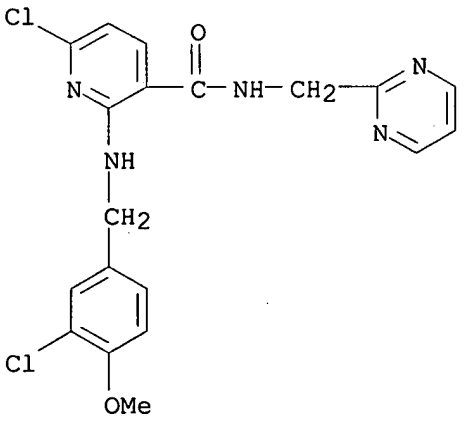


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:357948

L17 ANSWER 15 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **372117-99-2** REGISTRY
CN 3-Pyridinecarboxamide, 6-chloro-2-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H17 Cl2 N5 O2
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

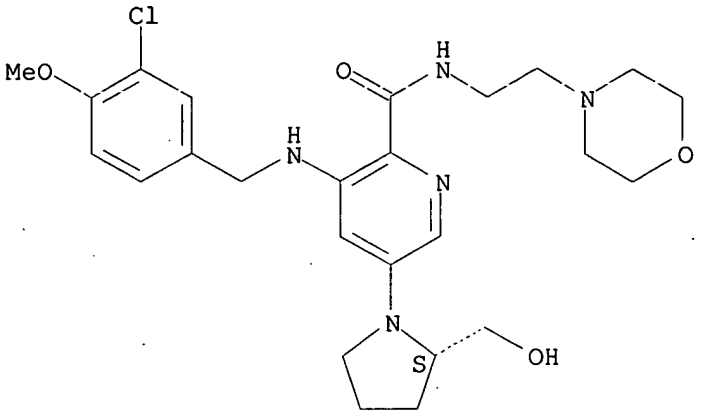
1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:357948

L17 ANSWER 16 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **372115-94-1** REGISTRY
CN 2-Pyridinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H34 Cl N5 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

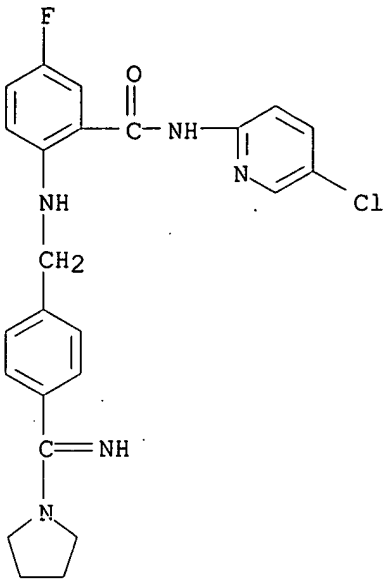


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:357948

L17 ANSWER 23 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **358659-88-8** REGISTRY
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H23 Cl F N5 O
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

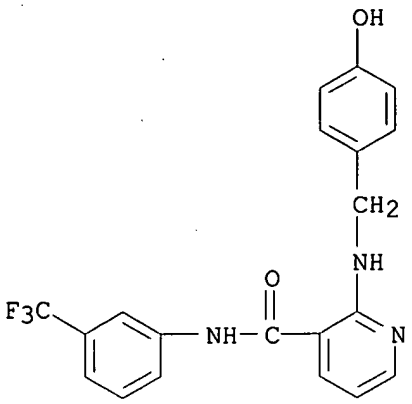
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:69743

REFERENCE 2: 135:226888

REFERENCE 3: 135:210946

L17 ANSWER 51 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **352228-00-3** REGISTRY
CN 3-Pyridinecarboxamide, 2-[[(4-hydroxyphenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H16 F3 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

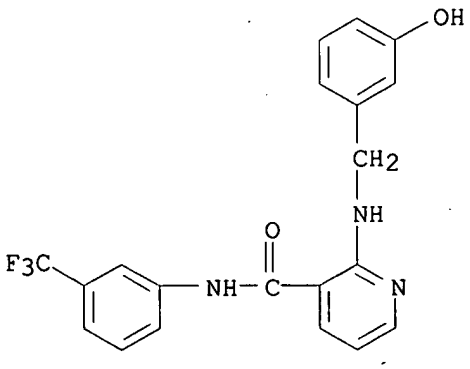


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:137407

L17 ANSWER 52 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **352227-92-0** REGISTRY
CN 3-Pyridinecarboxamide, 2-[[(3-hydroxyphenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H16 F3 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

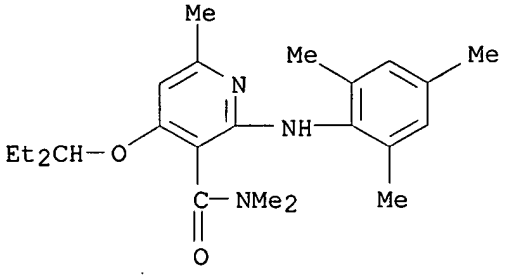


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:137407

L17 ANSWER 54 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **351380-07-9** REGISTRY
CN 3-Pyridinecarboxamide, 4-(1-ethylpropoxy)-N,N,6-trimethyl-2-[(2,4,6-trimethylphenyl)amino]- (9CI) (CA INDEX NAME)
MF C23 H33 N3 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



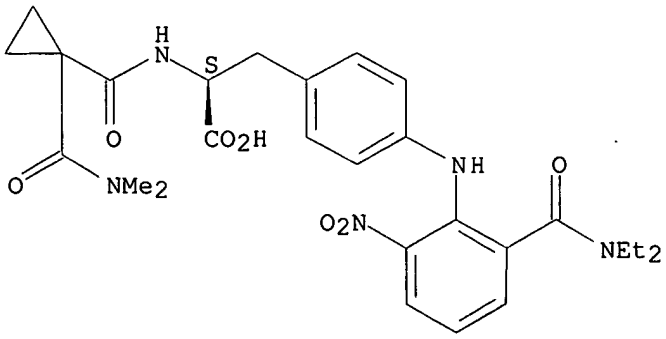
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:137515

L17 ANSWER 55 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **340719-29-1** REGISTRY
CN L-Phenylalanine, 4-[[2-[(diethylamino)carbonyl]-6-nitrophenyl]amino]-N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H33 N5 O7
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



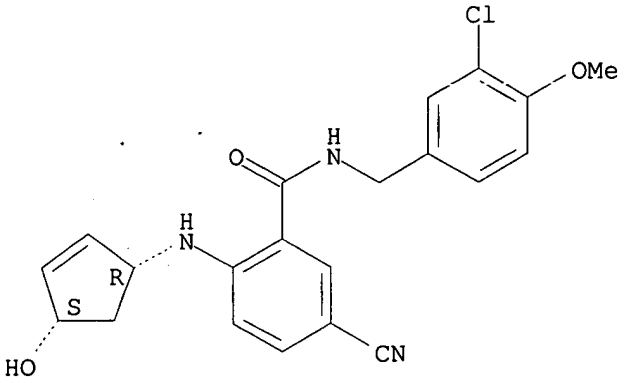
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:367194

L17 ANSWER 57 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **337360-72-2** REGISTRY
CN Benzamide, N-[(3-chloro-4-methoxyphenyl)methyl]-5-cyano-2-[[(1R,4S)-4-hydroxy-2-cyclopenten-1-yl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H20 Cl N3 O3
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

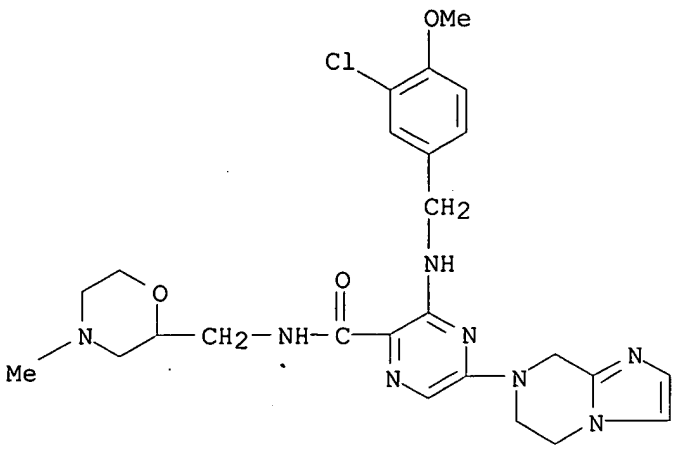


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:340354

L17 ANSWER 58 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **330785-12-1** REGISTRY
CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H31 Cl N8 O3
SR CA
LC STN Files: CA, CAPLUS



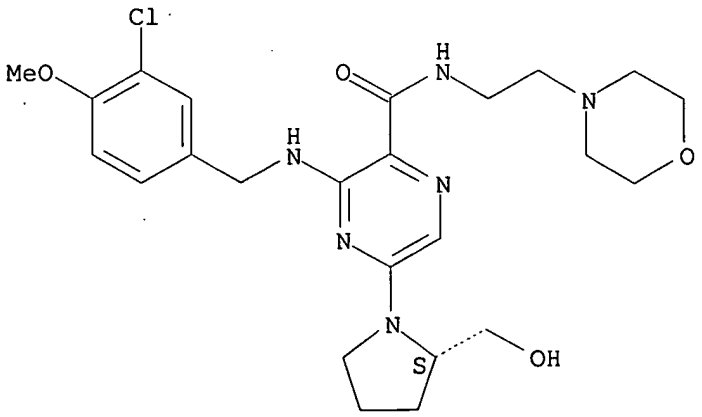
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:252363

L17 ANSWER 63 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **330784-45-7** REGISTRY
CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H33 Cl N6 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

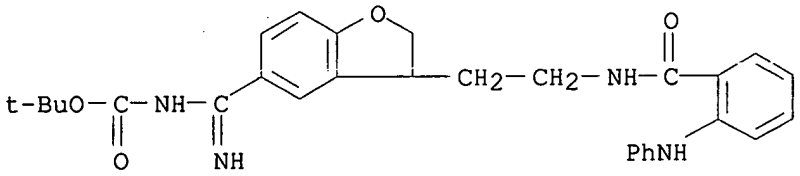


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:252363

L17 ANSWER 66 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **328124-74-9** REGISTRY
CN Carbamic acid, [[2,3-dihydro-3-[2-[[2-(phenylamino)benzoyl]amino]ethyl]-5-benzofuranyl]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H32 N4 O4
SR CA
LC STN Files: CA, CAPLUS

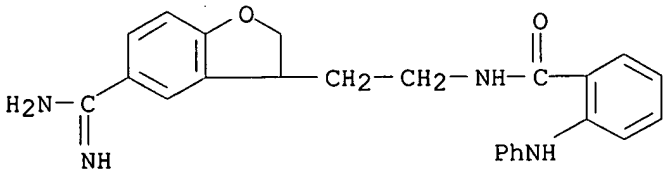


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:207826

L17 ANSWER 67 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **328123-93-9** REGISTRY
CN Benzamide, N-[2-[5-(aminoiminomethyl)-2,3-dihydro-3-benzofuranyl]ethyl]-2-(phenylamino)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H24 N4 O2
SR CA
LC STN Files: CA, CAPLUS

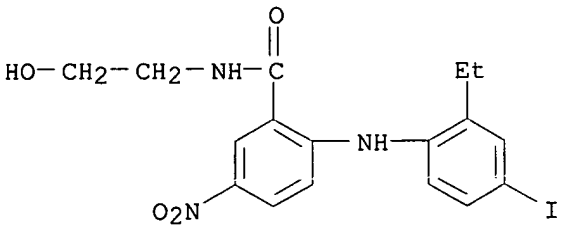


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:207826

L17 ANSWER 68 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **321438-66-8** REGISTRY
CN Benzamide, 2-[(2-ethyl-4-iodophenyl)amino]-N-(2-hydroxyethyl)-5-nitro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-(2-Hydroxyethyl)-2-(4-iodo-2-ethylphenylamino)-5-nitrobenzamide
FS 3D CONCORD
MF C17 H18 I N3 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

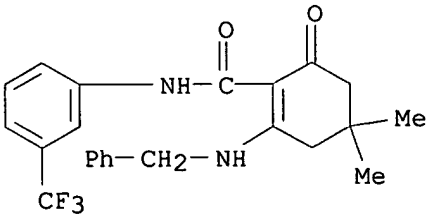


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:131317

L17 ANSWER 69 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **312324-33-7** REGISTRY
CN 1-Cyclohexene-1-carboxamide, 4,4-dimethyl-6-oxo-2-[(phenylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H23 F3 N2 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

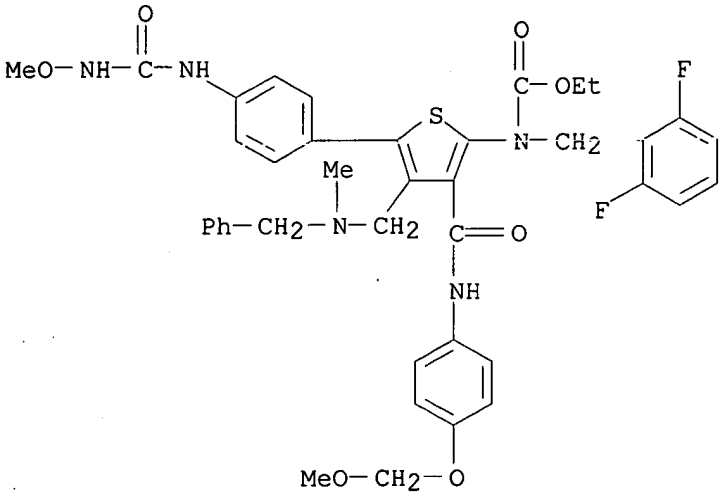


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:25114

L17 ANSWER 70 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **308832-00-0** REGISTRY
CN Carbamic acid, [(2,6-difluorophenyl)methyl][5-[4-[[(methoxyamino)carbonyl]amino]phenyl]-3-[[[4-(methoxymethoxy)phenyl]amino]carbonyl]-4-[[methyl(phenylmethyl)amino]methyl]-2-thienyl]-, ethyl ester (9CI) (CA INDEX NAME)
MF C40 H41 F2 N5 O7 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

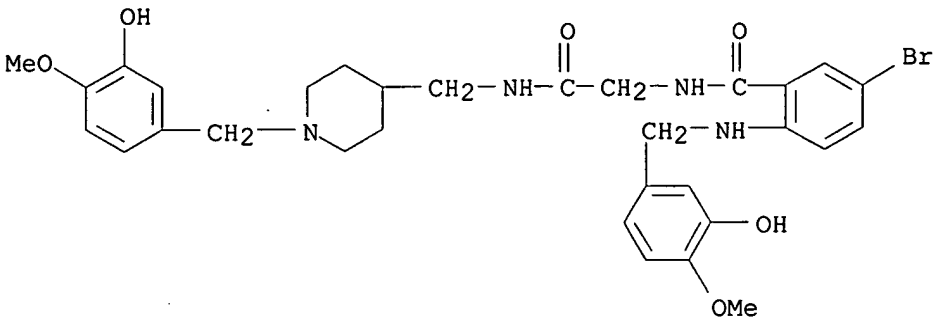


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:4946

L17 ANSWER 71 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **308361-85-5** REGISTRY
CN Benzamide, 5-bromo-2-[[(3-hydroxy-4-methoxyphenyl)methyl]amino]-N-[2-[[[1-[(3-hydroxy-4-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H37 Br N4 O6
SR CA
LC STN Files: CA, CAPLUS



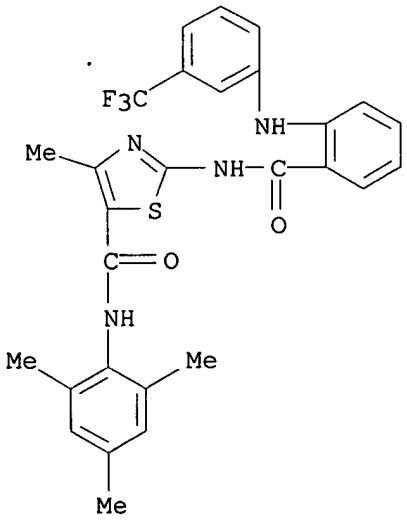
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:173028

REFERENCE 2: 134:5154

L17 ANSWER 73 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **302958-78-7** REGISTRY
CN 5-Thiazolecarboxamide, 4-methyl-2-[[2-[[3-(trifluoromethyl)phenyl]amino]benzoyl]amino]-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)
MF C28 H25 F3 N4 O2 S
SR CA
LC STN Files: CA, CAPLUS

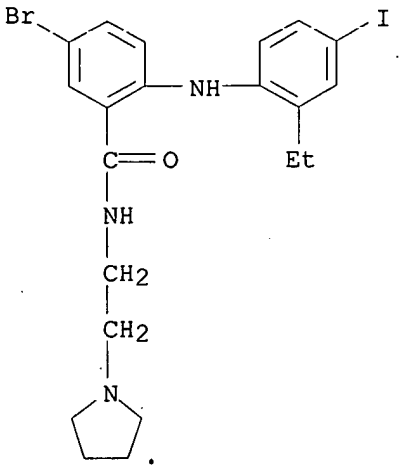


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:321878

L17 ANSWER 74 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **277335-40-7** REGISTRY
CN Benzamide, 5-bromo-2-[(2-ethyl-4-iodophenyl)amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 5-Bromo-2-(4-iodo-2-ethylphenylamino)-N-(2-pyrrolidin-1-ylethyl)benzamide
FS 3D CONCORD
MF C21 H25 Br I N3 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



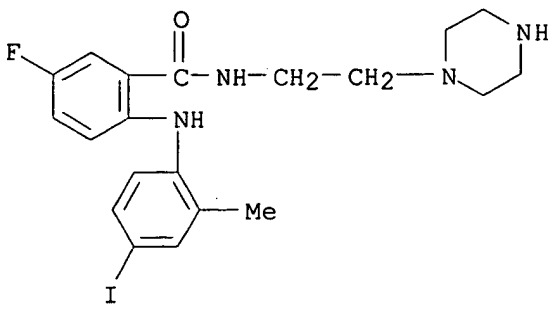
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:131317

REFERENCE 2: 133:73860

L17 ANSWER 75 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **277315-10-3** REGISTRY
CN Benzamide, 5-fluoro-2-[(4-iodo-2-methylphenyl)amino]-N-[2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H24 F I N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1967 TO DATE)
5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:89333

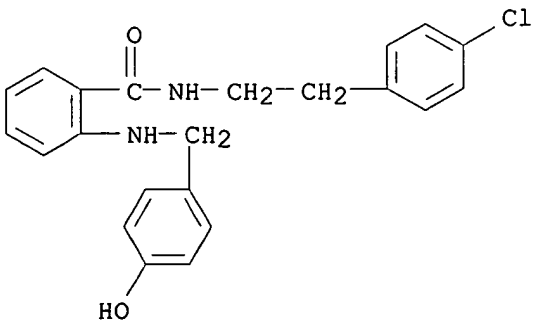
REFERENCE 2: 133:89332

REFERENCE 3: 133:73860

REFERENCE 4: 133:73859

REFERENCE 5: 133:58616

L17 ANSWER 76 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **267891-63-4** REGISTRY
CN Benzamide, N-[2-(4-chlorophenyl)ethyl]-2-[[(4-hydroxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H21 Cl N2 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

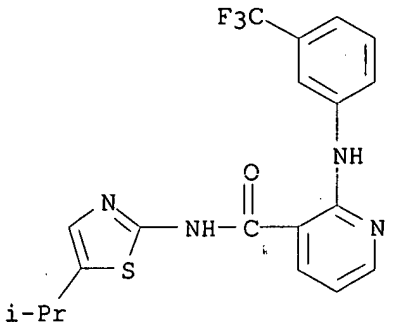


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:334364

L17 ANSWER 79 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **267656-22-4** REGISTRY
CN 3-Pyridinecarboxamide, N-[5-(1-methylethyl)-2-thiazolyl]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H17 F3 N4 O S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



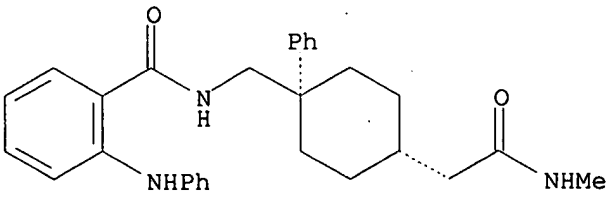
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:334454

L17 ANSWER 81 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **267405-06-1** REGISTRY
CN Benzamide, N-[[trans-4-[2-(methylamino)-2-oxoethyl]-1-phenylcyclohexyl]methyl]-2-(phenylamino)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H33 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

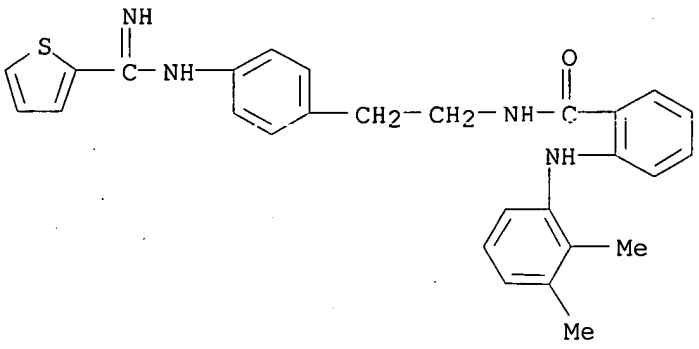


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:334285

L17 ANSWER 82 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **262447-34-7** REGISTRY
CN Benzamide, 2-[(2,3-dimethylphenyl)amino]-N-[2-[4-[(imino-2-thienylmethyl)amino]phenyl]ethyl]- (9CI) (CA INDEX NAME)
MF C28 H28 N4 O S
CI COM
SR CA
LC STN Files: CA, CAPLUS

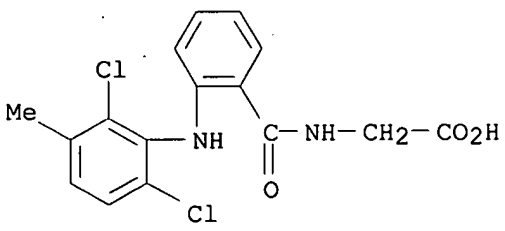


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:251068

L17 ANSWER 84 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **261766-43-2** REGISTRY
CN Glycine, N-[2-[(2,6-dichloro-3-methylphenyl)amino]benzoyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H14 Cl2 N2 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



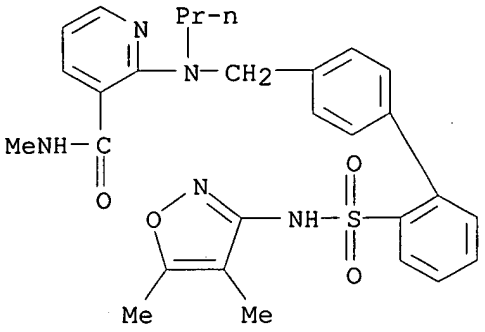
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:327362

REFERENCE 2: 132:231510

L17 ANSWER 91 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **254742-75-1** REGISTRY
CN 3-Pyridinecarboxamide, 2-[[[2'-[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]propylamino]-N-methyl- (9CI) (CA INDEX NAME)
MF C28 H31 N5 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



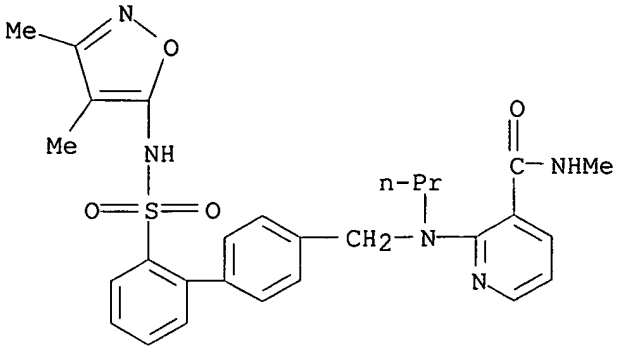
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:46172

REFERENCE 2: 132:93309

L17 ANSWER 92 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **254739-90-7** REGISTRY
CN 3-Pyridinecarboxamide, 2-[[[2'-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]propylamino]-N-methyl- (9CI) (CA INDEX NAME)
MF C28 H31 N5 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



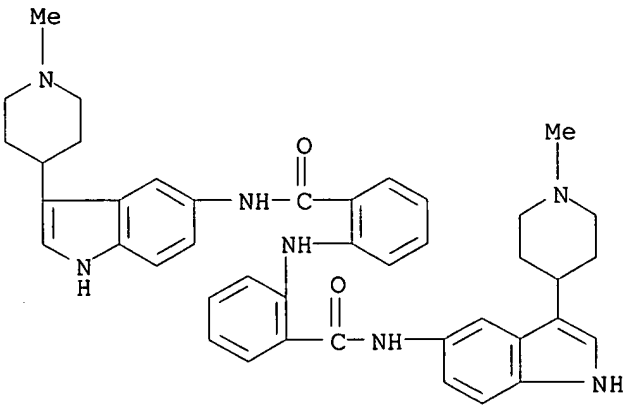
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:46172

REFERENCE 2: 132:93309

L17 ANSWER 93 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **252355-17-2** REGISTRY
CN Benzamide, 2,2'-iminobis[N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C42 H45 N7 O2
SR CA
LC STN Files: CA, CAPLUS



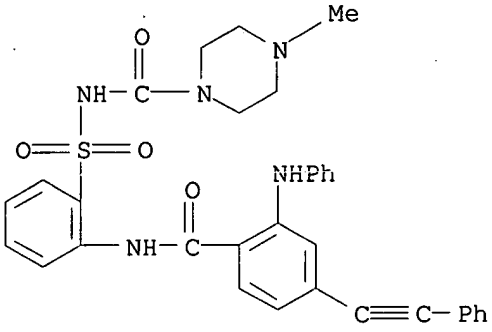
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Searcher : Shears 308-4994

REFERENCE 1: 132:35606

L17 ANSWER 94 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **228581-72-4** REGISTRY
CN 1-Piperazinecarboxamide, 4-methyl-N-[[2-[[2-(phenylamino)-4-(phenylethynyl)benzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)
MF C33 H31 N5 O4 S
SR CA
LC STN Files: CA, CAPLUS

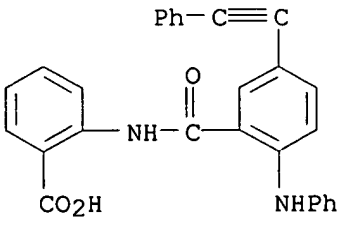


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:73440

L17 ANSWER 130 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **228580-98-1** REGISTRY
CN Benzoic acid, 2-[[2-(phenylamino)-5-(phenylethynyl)benzoyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H20 N2 O3
SR CA
LC STN Files: CA, CAPLUS



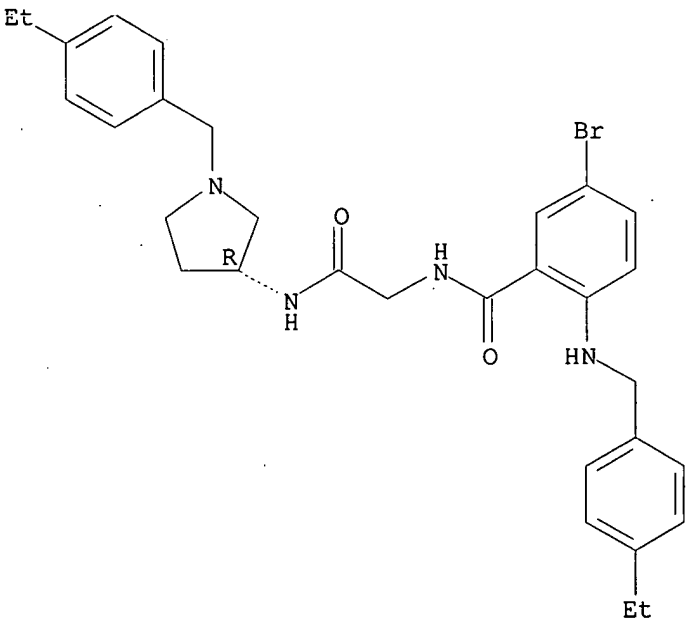
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:73440

L17 ANSWER 150 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **226245-19-8** REGISTRY
CN Benzamide, 5-bromo-2-[[(4-ethylphenyl)methyl]amino]-N-[2-[[(3R)-1-[(4-ethylphenyl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H37 Br N4 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

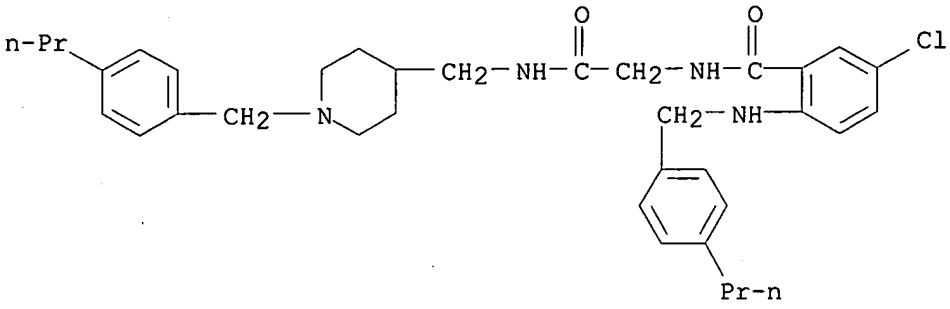
REFERENCE 1: 136:263090

REFERENCE 2: 134:5154

REFERENCE 3: 131:18925

L17 ANSWER 151 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **226243-29-4** REGISTRY
CN Benzamide, 5-chloro-N-[2-oxo-2-[[[1-[(4-propylphenyl)methyl]-4-

piperidinyl]methyl]amino]ethyl]-2-[[(4-propylphenyl)methyl]amino]-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C35 H45 Cl N4 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

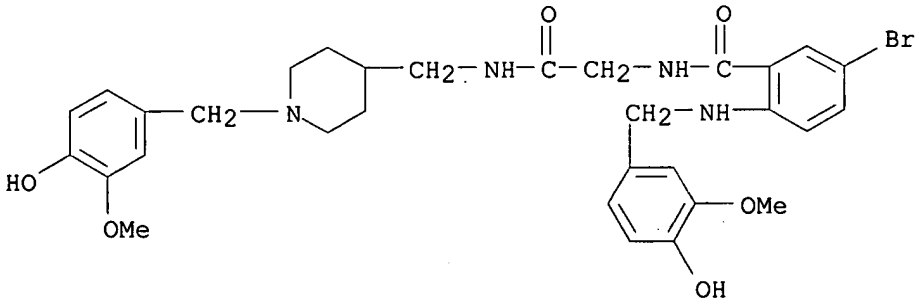
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:263090

REFERENCE 2: 134:5154

REFERENCE 3: 131:18925

L17 ANSWER 156 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **226241-83-4** REGISTRY
CN Benzamide, 5-bromo-2-[[(4-hydroxy-3-methoxyphenyl)methyl]amino]-N-[2-
[[[1-[(4-hydroxy-3-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]-
2-oxoethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H37 Br N4 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

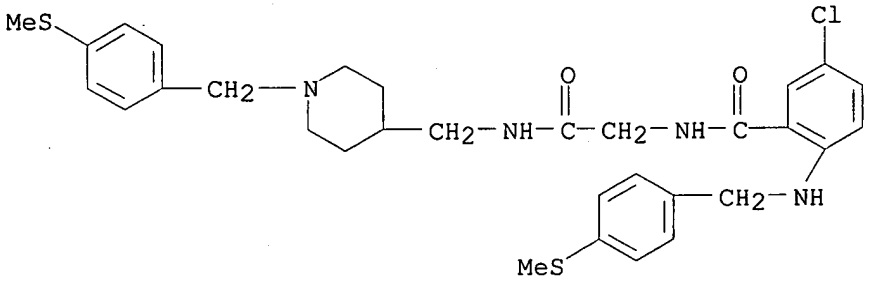
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:263090

REFERENCE 2: 134:5154

REFERENCE 3: 131:18925

L17 ANSWER 155 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **226242-54-2** REGISTRY
CN Benzamide, 5-chloro-2-[[[4-(methylthio)phenyl]methyl]amino]-N-[2-[[[1-[[4-(methylthio)phenyl]methyl]-4-piperidinyl]methyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H37 Cl N4 O2 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

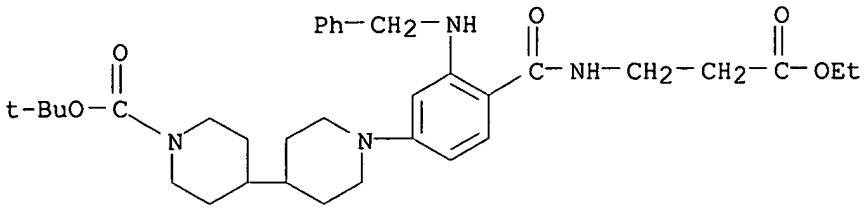
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:263090

REFERENCE 2: 134:5154

REFERENCE 3: 131:18925

L17 ANSWER 167 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **223535-91-9** REGISTRY
CN [4,4'-Bipiperidine]-1-carboxylic acid, 1'-[4-[[(3-ethoxy-3-oxopropyl)amino]carbonyl]-3-[(phenylmethyl)amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C34 H48 N4 O5
SR CA
LC STN Files: CA, CAPLUS

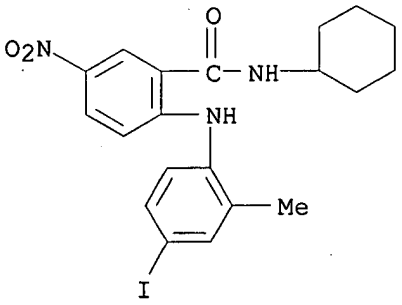


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:311817

L17 ANSWER 169 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **212630-37-0** REGISTRY
CN Benzamide, N-cyclohexyl-2-[(4-iodo-2-methylphenyl)amino]-5-nitro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-Cyclohexyl-2-(4-iodo-2-methylphenylamino)-5-nitrobenzamide
FS 3D CONCORD
MF C20 H22 I N3 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

8 REFERENCES IN FILE CA (1967 TO DATE)
8 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:131317

REFERENCE 2: 133:89333

REFERENCE 3: 133:89332

REFERENCE 4: 133:73860

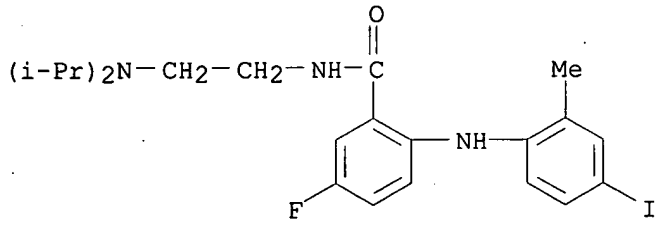
REFERENCE 5: 133:73859

REFERENCE 6: 133:58616

REFERENCE 7: 130:124898

REFERENCE 8: 129:230537

L17 ANSWER 201 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **212629-93-1** REGISTRY
CN Benzamide, N-[2-[bis(1-methylethyl)amino]ethyl]-5-fluoro-2-[(4-iodo-2-methylphenyl)amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-(2-Diisopropylaminoethyl)-5-fluoro-2-(4-iodo-2-methylphenylamino)benzamide
FS 3D CONCORD
MF C22 H29 F I N3 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

8 REFERENCES IN FILE CA (1967 TO DATE)
8 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:131317

REFERENCE 2: 133:89333

REFERENCE 3: 133:89332

REFERENCE 4: 133:73860

REFERENCE 5: 133:73859

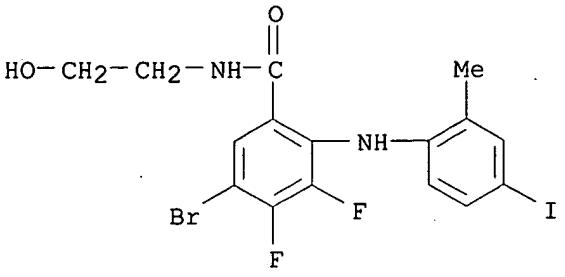
REFERENCE 6: 133:58616

REFERENCE 7: 130:124898

REFERENCE 8: 129:230537

L17 ANSWER 280 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **212628-99-4** REGISTRY
CN Benzamide, 5-bromo-3,4-difluoro-N-(2-hydroxyethyl)-2-[(4-iodo-2-methylphenyl)amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 5-Bromo-3,4-difluoro-N-(2-hydroxyethyl)-2-(4-iodo-2-

methylphenylamino)benzamide
FS 3D CONCORD
MF C16 H14 Br F2 I N2 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

8 REFERENCES IN FILE CA (1967 TO DATE)
8 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:131317

REFERENCE 2: 133:89333

REFERENCE 3: 133:89332

REFERENCE 4: 133:73860

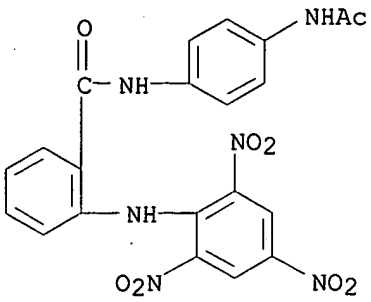
REFERENCE 5: 133:73859

REFERENCE 6: 133:58616

REFERENCE 7: 130:124898

REFERENCE 8: 129:230537

L17 ANSWER 296 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **202827-87-0** REGISTRY
CN Benzamide, N-[4-(acetylamino)phenyl]-2-[(2,4,6-trinitrophenyl)amino]-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H16 N6 O8
SR CAS Registry Services
LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER



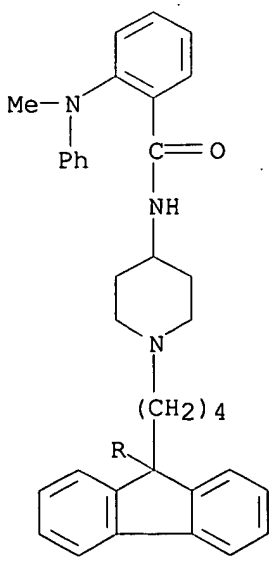
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

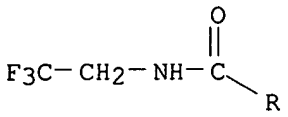
REFERENCE 1: 134:25114

L17 ANSWER 297 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **182434-95-3** REGISTRY
CN 9H-Fluorene-9-carboxamide, 9-[4-[4-[[2-(methylphenylamino)benzoyl]amino]-1-piperidinyl]butyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C39 H41 F3 N4 O2
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

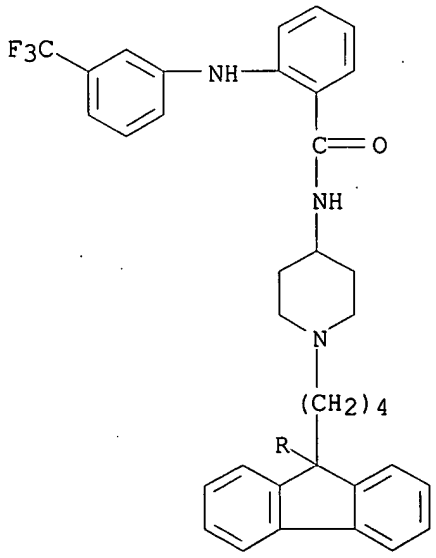
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:154011

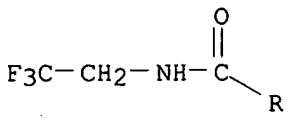
REFERENCE 2: 125:275663

L17 ANSWER 298 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **182432-11-7** REGISTRY
CN 9H-Fluorene-9-carboxamide, N-(2,2,2-trifluoroethyl)-9-[4-[4-[[2-[[3-(trifluoromethyl)phenyl]amino]benzoyl]amino]-1-piperidinyl]butyl]-(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C39 H38 F6 N4 O2
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

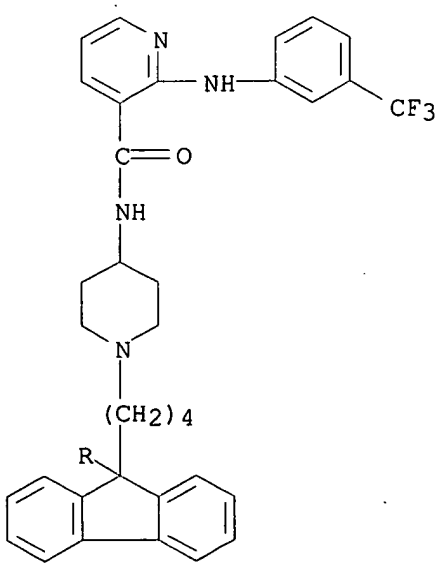
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:154011

REFERENCE 2: 125:275663

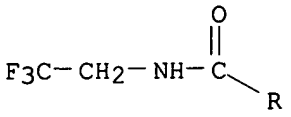
L17 ANSWER 299 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **182431-88-5** REGISTRY
CN 3-Pyridinecarboxamide, N-[1-[4-[9-[[(2,2,2-trifluoroethyl)amino]carbonyl]-9H-fluoren-9-yl]butyl]-4-piperidinyl]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C38 H37 F6 N5 O2
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



Searcher : Shears 308-4994

PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

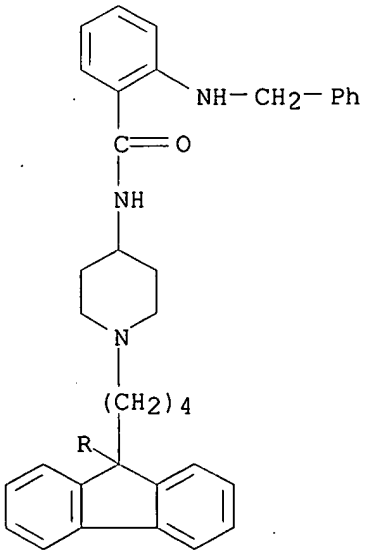
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:154011

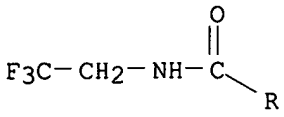
REFERENCE 2: 125:275663

L17 ANSWER 300 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **182429-79-4** REGISTRY
CN 9H-Fluorene-9-carboxamide, 9-[4-[4-[[2-[(phenylmethyl)amino]benzoyl]amino]-1-piperidinyl]butyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C39 H41 F3 N4 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

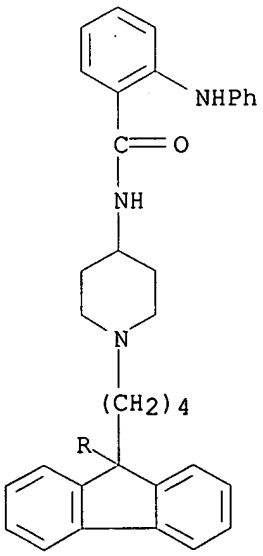
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

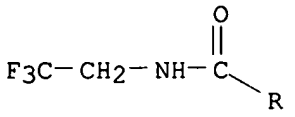
REFERENCE 1: 128:282780

REFERENCE 2: 125:275663

L17 ANSWER 301 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **182429-76-1** REGISTRY
CN 9H-Fluorene-9-carboxamide, 9-[4-[4-[[2-(phenylamino)benzoyl]amino]-1-piperidinyl]butyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C38 H39 F3 N4 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A





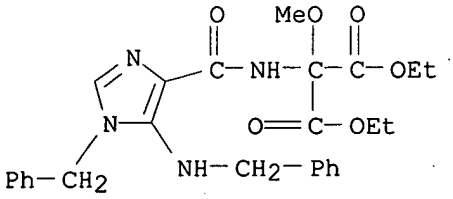
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:282780

REFERENCE 2: 125:275663

L17 ANSWER 302 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **169317-90-2** REGISTRY
CN Propanedioic acid, methoxy[[[1-(phenylmethyl)-5-[(phenylmethyl)amino]-1H-imidazol-4-yl]carbonyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H30 N4 O6
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



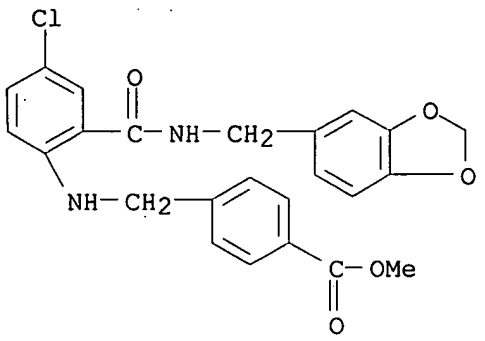
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:38644

REFERENCE 2: 123:286534

L17 ANSWER 303 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **169043-59-8** REGISTRY
CN Benzoic acid, 4-[[[2-[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-chlorophenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H21 Cl N2 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

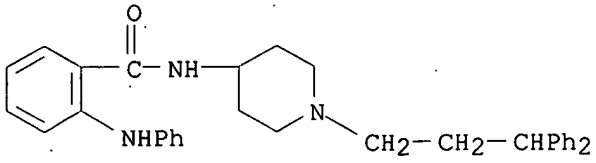


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:256357

L17 ANSWER 304 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **163267-27-4** REGISTRY
CN Benzamide, N-[1-(3,3-diphenylpropyl)-4-piperidinyl]-2-(phenylamino)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C33 H35 N3 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

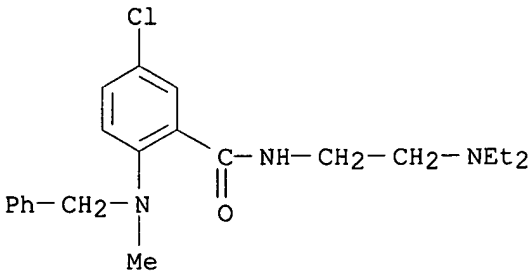
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:282780

REFERENCE 2: 123:169516

L17 ANSWER 305 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **159619-35-9** REGISTRY
CN Benzamide, 5-chloro-N-[2-(diethylamino)ethyl]-2-[methyl(phenylmethyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H28 Cl N3 O
CI COM

SR CA
LC STN Files: CA, CAPLUS



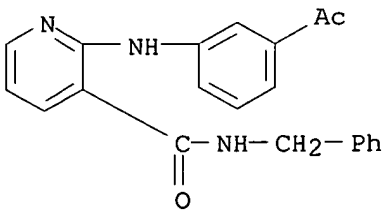
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:265033

REFERENCE 2: 122:31131

L17 ANSWER 306 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **152814-88-5** REGISTRY
CN 3-Pyridinecarboxamide, 2-[(3-acetylphenyl)amino]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H19 N3 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



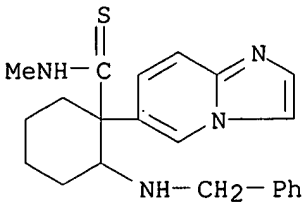
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:164213

L17 ANSWER 307 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **150780-12-4** REGISTRY
CN Cyclohexanecarbothioamide, 1-imidazo[1,2-a]pyridin-6-yl-N-methyl-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:
CN Imidazo[1,2-a]pyridine, cyclohexanecarbothioamide deriv.
MF C22 H26 N4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

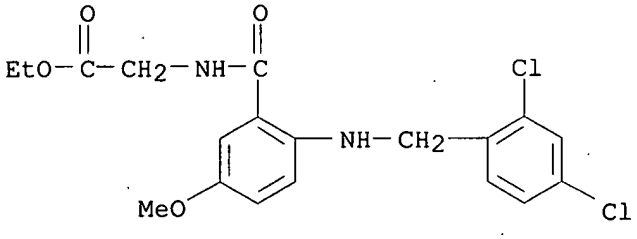


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:225951

L17 ANSWER 308 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **136148-82-8** REGISTRY
CN Glycine, N-[2-[[(2,4-dichlorophenyl)methyl]amino]-5-methoxybenzoyl]-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
DR 136148-79-3
MF C19 H20 Cl2 N2 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

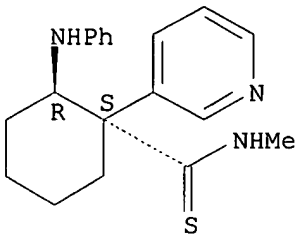
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:159174

L17 ANSWER 309 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **133670-75-4** REGISTRY
CN Cyclohexanecarbothioamide, N-methyl-2-(phenylamino)-1-(3-pyridinyl)-, trans- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CN Cyclohexanecarbothioamide, N-methyl-2-(phenylamino)-1-(3-pyridinyl)-, trans-(.+-.)-
FS STEREOSEARCH
MF C19 H23 N3 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Relative stereochemistry.



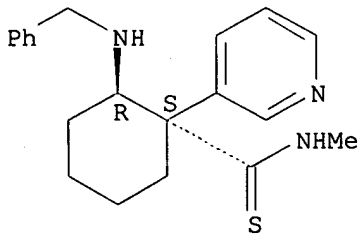
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:207038

L17 ANSWER 310 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **133667-59-1** REGISTRY
CN Cyclohexanecarbothioamide, N-methyl-2-[(phenylmethyl)amino]-1-(3-pyridinyl)-, trans- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Cyclohexanecarbothioamide, N-methyl-2-[(phenylmethyl)amino]-1-(3-pyridinyl)-, trans-(.+-.)-
FS STEREOSEARCH
MF C20 H25 N3 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Relative stereochemistry.

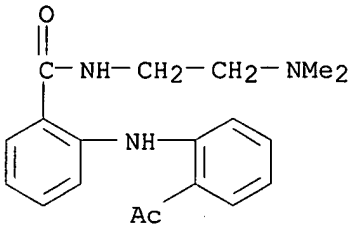


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:207038

L17 ANSWER 312 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **89459-32-5** REGISTRY
CN Benzamide, 2-[(2-acetylphenyl)amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H23 N3 O2
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)



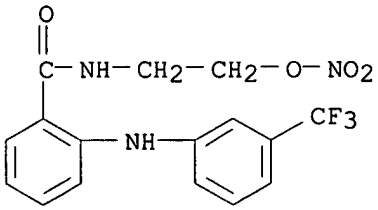
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:156252

REFERENCE 2: 100:138978

L17 ANSWER 313 OF 314 REGISTRY COPYRIGHT 2002 ACS
RN **71908-19-5** REGISTRY
CN Benzamide, N-[2-(nitrooxy)ethyl]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H14 F3 N3 O4
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 91:192973

FILE 'CAOLD' ENTERED AT 14:53:51 ON 31 MAY 2002

Searcher : Shears 308-4994

L18 0 S L17
FILE 'USPATFULL' ENTERED AT 14:53:58 ON 31 MAY 2002)
L19 26 SEA ABB=ON PLU=ON L17
L20 26 SEA ABB=ON PLU=ON L19 AND (PROPHYLACT? OR PROPHYLAX? OR TREAT? OR THERAP?)
L21 25 SEA ABB=ON PLU=ON L20 AND (DISEAS? OR DISORDER OR MALAD?)

L21 ANSWER 1 OF 25 USPATFULL

ACCESSION NUMBER: 2002:63897 USPATFULL
TITLE: Cyclic amine derivatives and their use as drugs
INVENTOR(S): Shiota, Tatsuki, Hino, JAPAN
Kataoka, Ken-ichiro, Hino, JAPAN
Imai, Minoru, Hino, JAPAN
Tsutsumi, Takaharu, Hino, JAPAN
Sudoh, Masaki, Handa, JAPAN
Sogawa, Ryo, Hino, JAPAN
Morita, Takuya, Hino, JAPAN
Hada, Takahiko, Okayama, JAPAN
Muroga, Yumiko, Hino, JAPAN
Takenouchi, Osami, Hino, JAPAN
Furuya, Minoru, Hino, JAPAN
Endo, Noriaki, Hino, JAPAN
Tarby, Christine M., Wilmington, DE, United States
Moree, Wilna, San Diego, CA, United States
Teig, Steven, Palo Alto, CA, United States
PATENT ASSIGNEE(S): Teijin Limited, Osaka, JAPAN (non-U.S. corporation)
Dupont Pharmaceuticals Research Laboratories, San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6362177	B1	20020326
APPLICATION INFO.:	US 2001-905078		20010716 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-554562, filed on 16 May 2000
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Aulakh, Charanjit S.
LEGAL REPRESENTATIVE: Sughrue Mion, PLLC
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 7859
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB ##STR1##

A compound represented by the general formula (I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C.sub.1-C.sub.6 alkyl addition salt thereof, and their medical applications. These compounds inhibit the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells, and are useful as **therapeutic** and/or preventative drugs in **diseases**, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 2 OF 25 USPATFULL
ACCESSION NUMBER: 2002:37927 USPATFULL
TITLE: 2-(4-bromo or 4-iodo phenylamino) benzoic acid derivatives
INVENTOR(S): Barrett, Stephen Douglas, Livonia, MI, UNITED STATES
Bridges, Alexander James, Saline, MI, UNITED STATES
Cody, Donna Reynolds, Saline, MI, UNITED STATES
Doherty, Annette Marian, Paris, FRANCE
Dudley, David Thomas, Ann Arbor, MI, UNITED STATES
Saltiel, Alan Robert, Ann Arbor, MI, UNITED STATES
Schroeder, Mel Conrad, Dexter, MI, UNITED STATES
Tecle, Haile, Ann Arbor, MI, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002022647	A1	20020221
APPLICATION INFO.:	US 2001-931596	A1	20010816 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-462319, filed on 5 Jan 2000, GRANTED, Pat. No. US 6310060 A 371 of International Ser. No. WO 1998-US13105, filed on 24 Jun 1998, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-60051433	19970701
	US 1997-51433P	19970701 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, MI, 48105
NUMBER OF CLAIMS: 34
EXEMPLARY CLAIM: 1
LINE COUNT: 1564
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Phenylamino benzoic acid, benzamides, and benzyl alcohol derivatives of the formula ##STR1##

where R.sub.1, R.sub.2, R.sub.3, R.sub.4, R.sub.5, and R.sub.6 are hydrogen or substituent groups such as alkyl, and where R.sub.7 is hydrogen or an organic radical, and Z is COOR.sub.7,

tetrazolyl, CONR.sub.6R.sub.7, or CH.sub.2OR.sub.7, are potent inhibitors of MEK and, as such, are effective in **treating** cancer and other proliferative **diseases** such as inflammation, psoriasis and restenosis, as well as stroke, heart failure, and immunodeficiency **disorders**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 3 OF 25 USPATFULL
ACCESSION NUMBER: 2002:32592 USPATFULL
TITLE: N-aryl(thio)anthranilic acid amide derivatives,

their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND
Bold, Guido, Gipf-Oberfrick, SWITZERLAND
Furet, Pascal, Thann, FRANCE
Manley, Paul William, Arlesheim, SWITZERLAND
Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
Ferrari, Stefano, Muttenz, SWITZERLAND
Hofmann, Francesco, Bottmingen, SWITZERLAND
Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002019414	A1	20020214
APPLICATION INFO.:	US 2001-850434	A1	20010507 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 2620
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

Described are compounds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10-(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y.dbd.SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the **treatment**

of a neoplastic **disease** which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the **treatment** e.g. of a neoplastic **disease**, such as a tumor **disease**, of retinopathy and age-related macular degeneration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 4 OF 25 USPATFULL
ACCESSION NUMBER: 2002:27513 USPATFULL
TITLE: Beta-amino acid nitrile derivatives as cathepsin K inhibitors
INVENTOR(S): Gabriel, Tobias, Loerrach, GERMANY, FEDERAL REPUBLIC OF
Pech, Michael, Hartheim, GERMANY, FEDERAL REPUBLIC OF
Rodriguez Sarmiento, Rosa Maria, Basle, SWITZERLAND

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002016361	A1	20020207
APPLICATION INFO.:	US 2001-872927	A1	20010601 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	EP 2000-112577	20000614

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS: 294
EXEMPLARY CLAIM: 1
LINE COUNT: 3380
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to beta-amino acid nitrile derivatives and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof. The compounds are cysteine protease inhibitors useful for the **treatment** of **diseases** associated with cysteine proteases, such as osteoporosis, osteoarthritis, rheumatoid arthritis, tumor metastasis, glomerulonephritis, atherosclerosis, myocardial infarction, angina pectoris, instable angina pectoris, stroke, plaque rupture, transient ischemic attacks, amaurosis fugax, peripheral arterial occlusive **disease**, restenosis after angioplasty and stent placement, abdominal aortic aneurysm formation, inflammation, autoimmune **disease**, malaria, ocular fundus tissue cytopathy and respiratory **disease**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 5 OF 25 USPATFULL
ACCESSION NUMBER: 2002:27480 USPATFULL
TITLE: Corticotropin releasing factor antagonists
INVENTOR(S): Chen, Yuhpyng L., Waterford, CT, UNITED STATES

	NUMBER	KIND	DATE

PATENT INFORMATION:	US 2002016328	A1	20020207
APPLICATION INFO.:	US 2001-761995	A1	20010117 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-176611P	20000118 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 5425
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Corticotropin-releasing factor (CRF) antagonists having the formulae ##STR1##

wherein the dashed lines, A, B, Y, Z, G, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.16 and R.sub.17 are as defined in the application, and processes for preparing them. These compounds and their pharmaceutically acceptable salts are useful in the **treatment disorders** including CNS and stress-related **disorders**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 6 OF 25 USPATFULL
ACCESSION NUMBER: 2002:14003 USPATFULL
TITLE: Thienopyrimidine compounds, their production and use
INVENTOR(S): Furuya, Shuichi, Tsukuba, JAPAN
Suzuki, Nobuhiro, Tsukuba, JAPAN
Choh, Nobuo, Tsukuba, JAPAN
Nara, Yoshi, Suita, JAPAN
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6340686	B1	20020122
APPLICATION INFO.:	US 2000-571215		20000516 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 530495

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-79371	19990324
	JP 2000-18019	20000125

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Ford, John M.
LEGAL REPRESENTATIVE: Chao, Mark, Ramesh, Elaine M.
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1944
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula: ##STR1##

wherein R.sup.1 and R.sup.2 each is hydrogen, hydroxy, C.sub.1-4 alkoxy, C.sub.1-4 alkoxy-carbonyl or C.sub.1-4 alkyl which may be substituted; R.sup.3 is hydrogen, halogen, hydroxy or C.sub.1-4 alkoxy which may be substituted; or adjacent two R.sup.3 may form C.sub.1-4 alkylenedioxy; R.sup.4 is hydrogen or C.sub.1-4 alkyl; R.sup.6 is C.sub.1-4 alkyl which may be substituted or a group of the formula: ##STR2##

wherein R.sup.5 is hydrogen or R.sup.4 and R.sup.5 may form heterocycle; and n is 0-5, or a salt thereof, has an excellent GnRH-antagonizing activity, and is useful for preventing or **treating** sex hormone-dependent **diseases**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 7 OF 25 USPATFULL

ACCESSION NUMBER:	2002:4204 USPATFULL
TITLE:	Benzamides and related inhibitors of factor Xa
INVENTOR(S):	Zhu, Bing-Yan, Belmont, CA, UNITED STATES Zhang, Penglie, Foster City, CA, UNITED STATES Wang, Lingyan, Chatham, NJ, UNITED STATES Huang, Wenrong, Cupertino, CA, UNITED STATES Goldman, Erick A., San Francisco, CA, UNITED STATES Li, Wenhao, South San Francisco, CA, UNITED STATES Zuckett, Jingmei, Glendale, AZ, UNITED STATES Song, Yonghong, Foster City, CA, UNITED STATES Scarborough, Robert, Half Moon Bay, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002002183	A1	20020103
	US 6376515	B2	20020423
APPLICATION INFO.:	US 2001-794225	A1	20010228 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2000-663420, filed on 15 Sep 2000, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-185746P	20000229 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	MORGAN, LEWIS & BOCKIUS, 1800 M STREET NW, WASHINGTON, DC, 20036-5869
NUMBER OF CLAIMS:	72
EXEMPLARY CLAIM:	1
LINE COUNT:	5918

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel benzamide compounds including their pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives having activity against mammalian factor Xa are described. Compositions containing such compounds are also described. The compounds and compositions are useful in vitro or in vivo for preventing or **treating** coagulation **disorders**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 8 OF 25 USPATFULL
ACCESSION NUMBER: 2001:191130 USPATFULL
TITLE: 2-(4-bromo or 4-iodo phenylamino) benzoic acid derivatives and their use as MEK inhibitors
INVENTOR(S): Barrett, Stephen Douglas, Livonia, MI, United States
Bridges, Alexander James, Saline, MI, United States
Cody, Donna Reynolds, Saline, MI, United States
Doherty, Annette Marian, Paris, France
Dudley, David Thomas, Ann Arbor, MI, United States
Saltiel, Alan Robert, Ann Arbor, MI, United States
Schroeder, Mel Conrad, Dexter, MI, United States
Tecle, Haile, Ann Arbor, MI, United States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6310060	B1	20011030	
	WO 9901421		19990114	
APPLICATION INFO.:	US 2000-462319		20000105	(9)
	WO 1998-US13105		19980624	
			20000105	PCT 371 date
			20000105	PCT 102(e) date

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Higel, Floyd D.
ASSISTANT EXAMINER: Sackey, Ebenezer
LEGAL REPRESENTATIVE: Ashbrook, Charles W.
NUMBER OF CLAIMS: 37
EXEMPLARY CLAIM: 1
LINE COUNT: 1524
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Phenylamino benzoic acid, benzamides, and benzyl alcohol derivatives of the formula ##STR1##

where R.sub.1, R.sub.2, R.sub.3, R.sub.4, R.sub.5, and R.sub.6 are hydrogen or substituent groups such as alkyl, and where R.sub.7 is hydrogen or an organic radical, and Z is COOR.sub.7, tetrazolyl, CONR.sub.6 R.sub.7, or CH.sub.2 OR.sub.7, are potent inhibitors of MEK and, as such, are effective in **treating** cancer and other proliferative **diseases** such as inflammation, psoriasis and restenosis, as well as stroke, heart failure, and immunodeficiency **disorders**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 9 OF 25 USPATFULL
ACCESSION NUMBER: 2001:168259 USPATFULL
TITLE: Thienopyrimidine compounds, their production and use
INVENTOR(S): Furuya, Shuichi, Ibaraki, Japan
Suzuki, Nobuhiro, Ibaraki, Japan

	Choh, Nobuo, Ibaraki, Japan Nara, Yoshi, Osaka, Japan			
PATENT ASSIGNEE(S):	Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6297379	B1	20011002	
	WO 2000056739		20000928	
APPLICATION INFO.:	US 2000-530495		20000426	(9)
	WO 2000-JP1777		20000323	
			20000426	PCT 371 date
			20000426	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-79371	19990324

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Rao, Deepak R.
LEGAL REPRESENTATIVE: Riesen, Philippe Y., Chao, Mark
NUMBER OF CLAIMS: 1
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1679
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

A compound of formula (I) wherein R.sup.1 and R.sup.2 each is hydrogen, hydroxy, C.sub.1-4 alkoxy, C.sub.1-4 alkoxy-carbonyl or C.sub.1-4 alkyl which may be substituted; R.sup.3 is hydrogen, halogen, hydroxy or C.sub.1-4 alkoxy which may be substituted; or adjacent two R.sup.3 may form C.sub.1-4 alkylenedioxy; R.sup.4 is hydrogen or C.sub.1-4 alkyl; R.sup.6 is C.sub.1-4 alkyl which may be substituted or a group of the formula (A) wherein R.sup.5 is hydrogen of R.sup.4 and R.sup.5 may form heterocycle; and n is 0-5, or a salt thereof, has an excellent GnRH-antagonizing activity, and is useful for preventing or **treating** sex hormone-dependent **diseases**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 10 OF 25 USPATFULL

ACCESSION NUMBER:	2000:64876 USPATFULL
TITLE:	Inhibitors of microsomal triglyceride transfer protein and method
INVENTOR(S):	Biller, Scott A., Hopewell, NJ, United States Dickson, John K., Eastampton, NJ, United States Lawrence, R. Michael, Yardley, PA, United States Magnin, David R., Hamilton, NJ, United States Poss, Michael A., Lawrenceville, NJ, United States Sulsky, Richard B., Franklin Park, NJ, United States Tino, Joseph A., Lawrenceville, NJ, United States Lawson, John E., Wallingford, CT, United States Holava, Henry M., Meriden, CT, United States

Searcher : Shears 308-4994

	Partyka, Richard A., Neshanic, NJ, United States
PATENT ASSIGNEE(S):	Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6066650		20000523
APPLICATION INFO.:	US 1997-898303		19970721 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-472067, filed on 6 Jun 1995, now patented, Pat. No. US 5739135 which is a continuation-in-part of Ser. No. US 1995-391901, filed on 21 Feb 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-284808, filed on 5 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-117362, filed on 3 Sep 1993, now patented, Pat. No. US 5595872

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Raymond, Richard L.
ASSISTANT EXAMINER: Coleman, Brenda
LEGAL REPRESENTATIVE: Rodney, Burton
NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1
LINE COUNT: 5783
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds are provided which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and **treating** atherosclerosis and related **diseases**. The compounds have the structure ##STR1## wherein R.sup.1 to R.sup.7, Q, X and Y are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 11 OF 25 USPATFULL
ACCESSION NUMBER: 2000:27994 USPATFULL
TITLE: Inhibitors of microsomal triglyceride transfer protein and method
INVENTOR(S): Biller, Scott A., Hopewell, NJ, United States
Dickson, John K., Eastampton, NJ, United States
Lawrence, R. Michael, Yardley, PA, United States
Magnin, David R., Hamilton, NJ, United States
Poss, Michael A., Lawrenceville, NJ, United States
Sulsky, Richard B., Franklin Park, NJ, United States
Tino, Joseph A., Lawrenceville, NJ, United States
Lawson, John E., Wallingford, CT, United States
Holava, Henry M., Meriden, CT, United States
Partyka, Richard A., Neshanic, NJ, United States
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6034098		20000307
APPLICATION INFO.:	US 1997-898304		19970721 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1995-472067, filed on 6

Jun 1995, now patented, Pat. No. US 5739135 which is a continuation-in-part of Ser. No. US 1995-391901, filed on 21 Feb 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-284808, filed on 5 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-117362, filed on 3 Sep 1993, now patented, Pat. No. US 5595872

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Raymond, Richard L.
ASSISTANT EXAMINER: Coleman, Brenda
LEGAL REPRESENTATIVE: Rodney, Burton
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 5940
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds are provided which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and treating atherosclerosis and related diseases. The compounds have the structure ##STR1## wherein R.sup.1 to R.sup.7, Q, X and Y are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 12 OF 25 USPATFULL
ACCESSION NUMBER: 1999:34002 USPATFULL
TITLE: Inhibitors of microsomal triglyceride transfer protein and method
INVENTOR(S): Biller, Scott A., Hopewell, NJ, United States
Dickson, John K., Eastampton, NJ, United States
Lawrence, R. Michael, Yardley, PA, United States
Magnin, David R., Hamilton, NJ, United States
Poss, Michael A., Lawrenceville, NJ, United States
Sulsky, Richard B., Franklin Park, NJ, United States
Tino, Joseph A., Lawrenceville, NJ, United States
Lawson, John E., Wallingford, CT, United States
Holava, Henry M., Meriden, CT, United States
Partyka, Richard A., Neshanic, NJ, United States
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5883099		19990316
APPLICATION INFO.:	US 1997-896872		19970721 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1995-472067, filed on 6 Jun 1995, now patented, Pat. No. US 5739135 which is a continuation-in-part of Ser. No. US 1995-391901, filed on 21 Feb 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-284808, filed on 5 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-117362, filed on 3 Sep 1993, now patented, Pat. No. US 5595872
DOCUMENT TYPE: Utility

Searcher : Shears 308-4994

FILE SEGMENT: Granted
PRIMARY EXAMINER: Huang, Evelyn
LEGAL REPRESENTATIVE: Rodney, Burton
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 5860
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds are provided which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and **treating** atherosclerosis and related **diseases**. The compounds have the structure ##STR1## wherein R.sup.1 to R.sup.7, Q, X and Y are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 13 OF 25 USPATFULL
ACCESSION NUMBER: 1998:150915 USPATFULL
TITLE: Ring-expanded nucleosides and nucleotides
INVENTOR(S): Hosmane, Ramachandra, Columbia, MD, United States
Burns, Barry, Owings Mills, MD, United States
PATENT ASSIGNEE(S): University of Maryland, Baltimore, MD, United States (U.S. corporation)
Nabi, Boca Raton, FL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5843912		19981201
APPLICATION INFO.:	US 1995-518278		19950823 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-268570, filed on 6 Jul 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kunz, Gary L.
LEGAL REPRESENTATIVE: Cushman, Darby & Cushman, IP Group of Pillsbury, Madison & Sutro LLP
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 7 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT: 1891
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to compositions comprising analogues of purine nucleosides containing a ring-expanded ("fat") heterocyclic ring, in place of purine, and an unmodified or modified sugar residue, pharmaceutically acceptable derivatives of such compositions, as well as methods of use thereof. In particular, these compositions may be utilized in the **treatment** of certain cancers, bacterial, fungal, parasitic, and viral infections, including, but not limited to, Acquired Immunodeficiency Syndrome (AIDS) and hepatitis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 14 OF 25 USPATFULL
ACCESSION NUMBER: 1998:91828 USPATFULL
TITLE: Microsomal triglyceride transfer protein
INVENTOR(S): Wetterau, II, John R., Langhorne, PA, United States

Sharp, Daru Young, Perrineville, NJ, United States
Gregg, Richard E., Pennington, NJ, United States
PATENT ASSIGNEE(S): E. R. Squibb & Sons, Inc., Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5789197		19980804
APPLICATION INFO.:	US 1995-486924		19950607 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1993-117362, filed on 3 Sep 1993, now patented, Pat. No. US 5595872 which is a continuation-in-part of Ser. No. US 1993-15449, filed on 22 Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-847503, filed on 6 Mar 1992, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Elliott, George C.
ASSISTANT EXAMINER: M'Garry, Sean
LEGAL REPRESENTATIVE: Gaul, Timothy J., Bogden, James M.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 3
NUMBER OF DRAWINGS: 14 Drawing Figure(s); 8 Drawing Page(s)
LINE COUNT: 4815
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nucleic acid sequences, particularly DNA sequences, coding for all or part of the high molecular weight subunit of microsomal triglyceride transfer protein, expression vectors containing the DNA sequences, host cells containing the expression vectors, and methods utilizing these materials. The invention also concerns polypeptide molecules comprising all or part of the high molecular weight subunit of microsomal triglyceride transfer protein, and methods for producing these polypeptide molecules. The invention additionally concerns novel methods for preventing, stabilizing or causing regression of atherosclerosis and **therapeutic** agents having such activity. The invention concerns further novel methods for lowering serum liquid levels and **therapeutic** agents having such activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 15 OF 25 USPATFULL
ACCESSION NUMBER: 1998:39526 USPATFULL
TITLE: Inhibitors of microsomal triglyceride transfer protein and method
INVENTOR(S): Biller, Scott A., Hopewell, NJ, United States
Dickson, John K., Eastampton, NJ, United States
Lawrence, R. Michael, Yardley, PA, United States
Magnin, David R., Hamilton, NJ, United States
Poss, Michael A., Lawrenceville, NJ, United States
Sulsky, Richard B., Franklin Park, NJ, United States
Tino, Joseph A., Lawrenceville, NJ, United States
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5739135		19980414
APPLICATION INFO.:	US 1995-472067		19950606 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-391901, filed on 21 Feb 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-284808, filed on 5 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-117362, filed on 3 Sep 1993, now patented, Pat. No. US 5595872

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Wong, King Lit
LEGAL REPRESENTATIVE: Rodney, Burton
NUMBER OF CLAIMS: 38
EXEMPLARY CLAIM: 1
LINE COUNT: 6562
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds are provided which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and **treating** atherosclerosis and related **diseases**. The compounds have the structure ##STR1## wherein R.sup.1 to R.sup.7, Q, X and Y are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 16 OF 25 USPATFULL
ACCESSION NUMBER: 1998:14840 USPATFULL
TITLE: Anthranilic acid derivatives
INVENTOR(S): Ozaki, Fumihiro, Ibaraki, Japan
Ishibashi, Keiji, Ibaraki, Japan
Ikuta, Hironori, Ibaraki, Japan
Ishihara, Hiroki, Ibaraki, Japan
Souda, Shigeru, Ibaraki, Japan
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5716993		19980210	
	WO 9518097		19950706	
APPLICATION INFO.:	US 1995-507476		19950914	(8)
	WO 1994-JP2262		19941227	
			19950916	PCT 371 date
			19950916	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-347092	19931227
	JP 1994-299110	19941009

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Owens, Amelia
LEGAL REPRESENTATIVE: Nixon & Vanderhye
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 3902

Searcher : Shears 308-4994

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an anthranilic acid derivative having a cGMP-PDE inhibitory activity.

An anthranilic acid derivative represented by the general formula (I) or a pharmacologically acceptable salt thereof: ##STR1## [wherein R.sup.1, R.sup.2, R.sup.3 and R.sup.4 represent the same or different from each other, a hydrogen atom, a halogen atom, a hydroxy group, an optionally halogenated lower alkyl group, an optionally halogenated lower alkoxy group, a nitro group, a hydroxyalkyl group, a cyano group or the like; R.sup.5 and R.sup.6 represent the same or different from each other, a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, an optionally halogenated lower alkyl group, an optionally halogenated lower alkoxy group or the like;

W represents a group of the formula: --N.dbd. or --CH.dbd.; R.sup.7 and R.sup.8 represent the same or different from each other, a hydrogen atom, an optionally halogenated lower alkyl group or the like;

A represents a hydrogen atom, an optionally halogenated lower alkyl group or the like;

Y represents an oxygen atom or a sulfur atom; and

n is an integer of 0 to 6].

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 17 OF 25 USPATFULL

ACCESSION NUMBER:	1998:9505 USPATFULL
TITLE:	Inhibitors of microsomal triglyceride transfer protein and method
INVENTOR(S):	Biller, Scott A., Hopewell, NJ, United States Dickson, John K., Eastampton, NJ, United States Lawrence, R. Michael, Yardley, PA, United States Magnin, David R., Hamilton, NJ, United States Poss, Michael A., Lawrenceville, NJ, United States Robl, Jeffrey A., Newtown, PA, United States Sulsky, Richard B., Franklin Park, NJ, United States Tino, Joseph A., Lawrenceville, NJ, United States
PATENT ASSIGNEE(S):	Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5712279		19980127
APPLICATION INFO.:	US 1996-548811		19960111 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-472067, filed on 6 Jun 1995 which is a continuation-in-part of Ser. No. US 1995-391901, filed on 21 Feb 1995, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Shah, Mukund J.		

Searcher : Shears 308-4994

ASSISTANT EXAMINER: Wong, King Lit
LEGAL REPRESENTATIVE: Rodney, Burton
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 2204
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds are provided which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and **treating** atherosclerosis and related **diseases**. The compounds have the structure ##STR1## wherein Z, X.sup.1, X.sup.2, x and R.sup.5 are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 18 OF 25 USPATFULL
ACCESSION NUMBER: 97:16212 USPATFULL
TITLE: Thioformamide derivatives
INVENTOR(S): Kabasawa, Yasuhiro, Ibaraki, Japan
Ozaki, Fumihiro, Ibaraki, Japan
Ishibashi, Keiji, Ibaraki, Japan
Hasegawa, Takashi, Ibaraki, Japan
Oinuma, Hitoshi, Ibaraki, Japan
Ogawa, Toshiaki, Ibaraki, Japan
Adachi, Hideyuki, Ibaraki, Japan
Katoh, Hiroshi, Ibaraki, Japan
Kodama, Kohtarou, Ibaraki, Japan
Ohara, Hideto, Ibaraki, Japan
Mori, Nobuyuki, Ibaraki, Japan
Minami, Norio, Ibaraki, Japan
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5606061		19970225
APPLICATION INFO.:	US 1995-531335		19950920 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1995-380589, filed on 30 Jan 1995, now patented, Pat. No. US 5498634 which is a division of Ser. No. US 1994-211701, filed on 26 Apr 1994, now patented, Pat. No. US 5444066

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-264622	19911014
	JP 1992-197	19920106

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dentz, Bernard
LEGAL REPRESENTATIVE: Nixon & Vanderhye
NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1
LINE COUNT: 2083
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a thioformamide derivative represented by the following general formula (I) or a pharmacologically acceptable salt thereof, which is highly safe, easy to use, and useful as an excellent hypotensive or heart **disease** remedy: ##STR1## wherein Y represents ##STR2## or the like [wherein R.sup.7 represents benzyloxy or the like;

R.sup.11 and R.sup.12 each represent hydrogen, hydroxyl, benzoyloxy, benzyloxy, ##STR3## (wherein R.sup.14 and R.sup.15 each represent hydrogen, benzyl or the like) or the like];

Z represents --CH.sub.2 -- or the like; A represents imidazolyl or imidazopyridyl which may have one or two substituents, or the like; R.sup.1 and R.sup.2 each represent hydrogen, lower alkyl or the like; and R.sup.3 and R.sup.4 each represent hydrogen, lower alkyl or the like.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 19 OF 25 USPATFULL

ACCESSION NUMBER:	97:5853 USPATFULL
TITLE:	Nucleic acids encoding microsomal trigyceride transfer protein
INVENTOR(S):	Wetterau, II, John R., Langhorne, PA, United States Sharp, Daru Y., Perrineville, NJ, United States Gregg, Richard E., Pennington, NJ, United States Biller, Scott A., Ewing, NJ, United States Dickson, John K., Mount Holly, NJ, United States Lawrence, R. Michael, Yardley, PA, United States Lawson, John E., Wallingford, CT, United States Holava, Henry M., Meriden, CT, United States Partyka, Richard A., Neshanic, NJ, United States
PATENT ASSIGNEE(S):	Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5595872		19970121
APPLICATION INFO.:	US 1993-117362		19930903 (8)

RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1993-15449, filed on 22 Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-847503, filed on 6 Mar 1992, now abandoned
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Zitomer, Stephanie W.
LEGAL REPRESENTATIVE:	Gaul, Timothy J., Bogden, James M.
NUMBER OF CLAIMS:	14
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	14 Drawing Figure(s); 8 Drawing Page(s)
LINE COUNT:	5232

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nucleic acid sequences, particularly DNA sequences, coding for all or part of the high molecular weight subunit of microsomal triglyceride transfer protein, expression vectors containing the DNA sequences, host cells containing the expression vectors, and methods utilizing these materials. The invention also concerns polypeptide molecules comprising all or part of the high molecular weight subunit of microsomal triglyceride transfer protein, and methods for producing these polypeptide molecules. The invention additionally concerns novel methods for preventing, stabilizing or causing regression of atherosclerosis and **therapeutic** agents having such activity. The invention concerns further novel methods for lowering serum liquid levels and **therapeutic**

agents having such activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 20 OF 25 USPATFULL

ACCESSION NUMBER:	96:21114 USPATFULL
TITLE:	Thioformamide derivatives
INVENTOR(S):	Kabasawa, Yasuhiro, Ibaraki, Japan Ozaki, Fumihiro, Ibaraki, Japan Ishibashi, Keiji, Ibaraki, Japan Hasegawa, Takashi, Ibaraki, Japan Oinuma, Hitoshi, Ibaraki, Japan Ogawa, Toshiaki, Ibaraki, Japan Adachi, Hideyuki, Ibaraki, Japan Katoh, Hiroshi, Ibaraki, Japan Kodama, Kohtarou, Ibaraki, Japan Ohara, Hideto, Ibaraki, Japan Mori, Nobuyuki, Ibaraki, Japan Minami, Norio, Ibaraki, Japan
PATENT ASSIGNEE(S):	Eisai Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5498634		19960312
APPLICATION INFO.:	US 1995-380589		19950130 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-211701, filed on 13 Apr 1994, now patented, Pat. No. US 5444066		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-264622	19911014
	JP 1992-197	19920106

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Dentz, Bernard
LEGAL REPRESENTATIVE:	Nixon & Vanderhye
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
LINE COUNT:	2100

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a thioformamide derivative represented by the following general formula (I) or a pharmacologically acceptable salt thereof, which is highly safe, easy to use, and useful as an excellent hypotensive or heart **disease** remedy: ##STR1## wherein Y represents ##STR2## or the like [wherein R.sup.7 represents benzyloxy or the like; R.sup.11 and R.sup.12 each represent hydrogen, hydroxyl, benzoyloxy, benzyloxy, ##STR3## (wherein R.sup.14 and R.sup.15 each represent hydrogen, benzyl or the like) or the like];

Z represents --CH.sub.2 -- or the like; A represents imidazolyl or imidazopyridyl which may have one or two substituents, or the like; R.sup.1 and R.sup.2 each represent hydrogen, lower alkyl or the like; and R.sup.3 and R.sup.4 each represent hydrogen, lower alkyl or the like.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 21 OF 25 USPATFULL
ACCESSION NUMBER: 95:75975 USPATFULL
TITLE: Thioformamide derivatives having hypotensive activity
INVENTOR(S): Kabasawa, Yasuhiro, Ibaraki, Japan
Ozaki, Fumihiro, Ibaraki, Japan
Ishibashi, Keiji, Ibaraki, Japan
Hasegawa, Takashi, Ibaraki, Japan
Oinuma, Hitoshi, Ibaraki, Japan
Ogawa, Toshiaki, Ibaraki, Japan
Adachi, Hideyuki, Ibaraki, Japan
Katoh, Hiroshi, Ibaraki, Japan
Kodama, Kohtarou, Ibaraki, Japan
Ohara, Hideto, Ibaraki, Japan
Mori, Nobuyuki, Ibaraki, Japan
Minami, Norio, Ibaraki, Japan
PATENT ASSIGNEE(S): Eisai Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5444066		19950822	
	WO 9308168		19930429	
APPLICATION INFO.:	US 1994-211701		19940426	(8)
	WO 1992-JP1297		19921006	
			19940426	PCT 371 date
			19940426	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-264622	19911014
	JP 1992-4197	19920106

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dentz, Bernard
LEGAL REPRESENTATIVE: Nixon & Vanderhye
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 2109
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a thioformamide derivative represented by the following general formula (I) or a pharmacologically acceptable salt thereof, which is highly safe, easy to use, and useful as an excellent hypotensive or heart **disease** remedy: ##STR1## wherein Y represents ##STR2## or the like [wherein R.sup.7 represents benzyloxy or the like; R.sup.11 and R.sup.12 each represent hydrogen, hydroxyl, benzoyloxy, benzyloxy, ##STR3## (wherein R.sup.14 and R.sup.15 each represent hydrogen, benzyl or the like) or the like];

Z represents --CH.sub.2 -- or the like; A represents imidazolyl or imidazopyridyl which may have one or two substituents, or the like; R.sup.1 and R.sup.2 each represent hydrogen, lower alkyl or the like; and R.sup.3 and R.sup.4 each represent hydrogen, lower alkyl or the like.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 22 OF 25 USPATFULL
ACCESSION NUMBER: 94:1438 USPATFULL
TITLE: Thioformamide derivative, process for its preparation, pharmaceutical composition thereof and **treatment** method
INVENTOR(S): Hart, Terance W., Brentwood, England
Vacher, Bernard Y. J., Dageham, England
Walsh, Roger J. A., Rayleigh, England
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5276045		19940104
APPLICATION INFO.:	US 1992-860599		19920330 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1990-538714, filed on 15 Jun 1990, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1989-13863	19890616
	GB 1989-13864	19890616

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Grumbling, Matthew V.
LEGAL REPRESENTATIVE: Morgan & Finnegan
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 786
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A thioformamide derivative of the formula: ##STR1## wherein R represents alkyl, A represents optionally substituted pyrid-3-yl, isoquinolin-4-yl, tetrahydroquinolin-3-yl, quinolin-3-yl, pyridazin-4-yl, pyrimid-5-yl, thiazol-5-yl, thieno[2,3-b]pyridin-5-yl, pyrazin-2-yl, indol-3-yl and thieno[3,2-b]pyridin-6-yl, or phenyl and Y represents a valency bond, methylene or ethylene, R.sup.2 represents hydrogen, optionally substituted alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, aryloxyalkyl, aromatic heterocyclylalkyl or aromatic heterocyclyloxyalkyl group or a group ZC(.dbd.O)-- in which Z represents optionally substituted alkyl, aryl, or aromatic heterocyclic, n represents 0 or 1, and when n represents 0, R.sup.1 may represent a hydrogen atom, optionally substituted alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, aryloxyalkyl, aromatic heterocyclylalkyl or aromatic heterocyclyloxyalkyl group or a group ZC(.dbd.O)-- or ZSO.sub.2 --, and when n represents 1, R.sup.1 represents optionally substituted alkyl, benzyl, phenethyl, 1-naphthylmethyl, 2-naphthylmethyl or pyrid-3-ylmethyl radical and pharmaceutically acceptable salts thereof possess pharmacological properties.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 23 OF 25 USPATFULL
ACCESSION NUMBER: 93:98381 USPATFULL
TITLE: Optionally substituted pyrido[2,3-d]pyridine-2,4(1H,3H)-diones and pyrido[2,]pyrimidine-

2(1H,3H)-ones

INVENTOR(S): Wilhelm, Robert S., Mountain View, CA, United States
Chin, Ronnie L., Mountain View, CA, United States
Devens, Bruce H., Palo Alto, CA, United States
Alvarez, Robert, Menlo Park, CA, United States

PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5264437		19931123
APPLICATION INFO.:	US 1992-855179		19920320 (7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Venkat, Jyothsna
LEGAL REPRESENTATIVE: Wong, James J., Lowin, David A., Krubiner, Alan M.
NUMBER OF CLAIMS: 53
EXEMPLARY CLAIM: 1
LINE COUNT: 3516
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to optionally substituted pyrido[2,3-d]pyrimidine-2,4(1H,3H)-diones or optionally substituted pyrido[2,3-d]pyrimidine-2(1H,3H)-ones, i.e., compounds of Formula I: ##STR1## wherein: Y is --CH.sub.2 -- or --C(O)--;

R.sup.1 is hydrogen or --(CH.sub.2).sub.n --R.sup.7, wherein:

R.sup.7 is aryl or heteroaryl, and

n is 1 or 2,

provided that when Y is --C(O)--, R.sup.7 is heteroaryl; and

R.sup.2, R.sup.3, R.sup.4, R.sup.5 and R.sup.6 are hydrogen, or one is selected from lower alkyl, halo, carboxy, methoxycarbonyl, carbamoyl, methylcarbamoyl, di-methylcarbamoyl, methylcarbonyl, methylthio, methylsulfinyl, methylsulfonyl, hydroxymethyl, amino, trifluoromethyl, cyano or nitro; or

R.sup.2, R.sup.3, R.sup.4 and R.sup.5 are independently selected from hydrogen, lower alkyl, nitro, chloro, fluoro, methoxycarbonyl or methylcarbonyl, provided at least one is hydrogen, and R.sup.6 is hydrogen;

or a pharmaceutically acceptable ester, ether or salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 24 OF 25 USPATFULL
ACCESSION NUMBER: 93:65400 USPATFULL
TITLE: Quinazoline-3-alkanoic acid derivatives, their salts and their preparation processes
INVENTOR(S): Fujimori, Shizuyoshi, Marubayashi, Japan
Ohnota, Michiro, Nogi, Japan
Hirata, Yoshihiro, Omiya, Japan

	Murakami, Koji, Nogi, Japan			
PATENT ASSIGNEE(S):	Kyorin Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5234928		19930810	
	WO 9109024		19910627	
APPLICATION INFO.:	US 1991-721610		19910717	(7)
	WO 1990-JP1600		19901210	
			19910717	PCT 371 date
			19910717	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1989-321097	19891211

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Grumbling, Matthew V.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 1070
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to quinazoline-3-alkanoic acid derivatives having both an inhibitory effect on platelet aggregation and a hindering effect on aldose reductase together, represented by a general formula [I] ##STR1## [wherein R is hydrogen or a protecting group for carboxyl group, R.sup.1 is a lower alkyl group, alkenyl group, alkinyl group, lower alkoxy group, lower alkylthio group, halogen, phenyl group (this phenyl group may be substituted by one to three of lower alkyls, lower alkoxys, halogens, trifluoromethyls, carboxyethylenes or ethoxycarbonylethylenes, naphthyl group, heterocycle (this heterocycle may be substituted by one to three of lower alkyls), cycloalkyl group or benzoyl group (this benzoyl group may be substituted by lower alkyl or halogen), R.sup.2 and R.sup.3 are identically or differently hydrogens, halogens, lower alkyl groups, lower alkoxy groups, aralkyl groups which may be substituted, nitro groups, imidazolyl groups, imidazolylmethyl groups or ##STR2## (R.sup.4 and R.sup.5 indicate identically or differently hydrogens or lower alkyl groups, or connected with each other to make five- or six-membered heterocycles which may contain other hetero atom, X is carbonyl, thiocarbonyl or methylene group (this methylene group may be substituted by lower alkyl group), A is lower alkylene or lower alkenylene, and n indicates an integer of 1 to 3],

their salts, their preparation processes and medicinal drugs containing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L21 ANSWER 25 OF 25 USPATFULL
ACCESSION NUMBER: 86:29854 USPATFULL
TITLE: Acridinecarboxamide compounds
INVENTOR(S): Atwell, Graham J., Auckland, New Zealand

Baguley, Bruce C., Auckland, New Zealand
Denny, William A., Auckland, New Zealand
Rewcastle, Gordon W., Auckland, New Zealand
PATENT ASSIGNEE(S): Development Finance Corporation of New Zealand, New Zealand (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4590277		19860520	
APPLICATION INFO.:	US 1983-506335		19830621	(6)

	NUMBER	DATE
PRIORITY INFORMATION:	NZ 1982-201084	19820625

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Daus, Donald G.
ASSISTANT EXAMINER: Rivers, Diana G.
LEGAL REPRESENTATIVE: Pennie & Edmonds
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 1063
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 4-Carboxamidoacridine compounds represented by the general formula (I), ##STR1## where R.sub.1 represents H, CH.sub.3 or NHR.sub.3, where R.sub.3 is H, COCH.sub.3, SO.sub.2 CH.sub.3, COPh, SO.sub.2 Ph or lower alkyl optionally substituted with hydroxyl and/or amino functions;

R.sub.2 represents H or up to two of the groups CH.sub.3, OCH.sub.3, halogen, CF.sub.3, NO.sub.2, NH.sub.2, NHCOCH.sub.3, and NHCOOCH.sub.3 placed at positions 1-3 or 5-8;

Y represents C(NH)NH.sub.2, NHC(NH)NH.sub.2, or NR.sub.4 R.sub.5, where each of R.sub.4 and R.sub.5 is H or lower alkyl optionally substituted with hydroxyl and/or amino functions; and

x is from 2 to 6,

and the acid addition salts thereof, possess antibacterial and antitumor properties.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.